10691937

```
     FILE 'REGISTRY' ENTERED AT 10:38:26 ON 20 MAY 2004
L1              STRUCTURE UPLOADED
L2           24 S L1

     FILE 'STNGUIDE' ENTERED AT 10:42:06 ON 20 MAY 2004

     FILE 'REGISTRY' ENTERED AT 10:53:57 ON 20 MAY 2004
L3         1301 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L5           50 S L4  SUB=L3 SAMPLE
L6          955 S L4 SSS FULL SUB=L3

     FILE 'CAPLUS' ENTERED AT 10:56:50 ON 20 MAY 2004
L7           64 S L6
L8            3 S L7 AND SULFONYL

     FILE 'REGISTRY' ENTERED AT 10:59:27 ON 20 MAY 2004
L9              STRUCTURE UPLOADED
L10           6 S L9  SUB=L3 SAMPLE
L11          47 S L9 SSS FULL SUB=L3

     FILE 'CAPLUS' ENTERED AT 11:00:58 ON 20 MAY 2004
L12           7 S L11
L13          60 S L7 NOT L12
L14          57 S L13 NOT L8
L15           1 S L14 AND 5HT
L16          56 S L14 NOT L15
L17          49 S L16 AND PATENT/DT
L18           0 S L17 AND AZAPAN?
L19           0 S L18 AND HYDROXYTRYPTAMINE
L20           0 S L17 AND HYDROXYTRYPTAMINE
L21           0 S L17 AND WYETH

     FILE 'REGISTRY' ENTERED AT 11:51:38 ON 20 MAY 2004
L22             STRUCTURE UPLOADED
L23           4 S L22  SUB=L3 SAMPLE
L24         102 S L22 SSS FULL SUB=L3

     FILE 'CAPLUS' ENTERED AT 11:52:59 ON 20 MAY 2004
L25          12 S L24
L26           9 S L25 NOT L8
L27           8 S L26 NOT L12
L28           8 S L27 NOT  L15

=> d l22
L22 HAS NO ANSWERS
L22             STR
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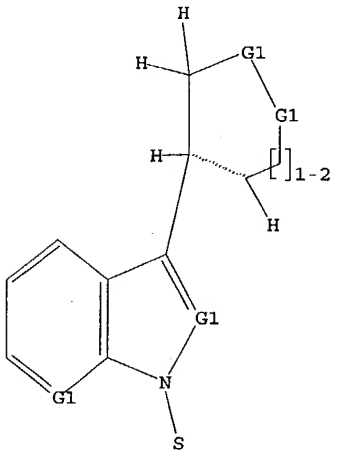

G1 C,N

G2 C,S

Structure attributes must be viewed using STN Express qu

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=> d his

(FILE 'HOME' ENTERED AT 10:38:07 ON 20 MAY 2004)

FILE 'REGISTRY' ENTERED AT 10:38:26 ON 20 MAY 2004
L1 STRUCTURE UPLOADED
L2 24 S L1

FILE 'STNGUIDE' ENTERED AT 10:42:06 ON 20 MAY 2004

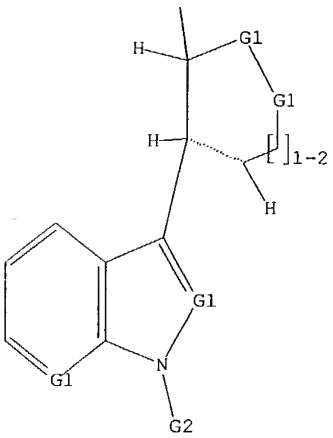
FILE 'REGISTRY' ENTERED AT 10:53:57 ON 20 MAY 2004
L3 1301 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 50 S L4 SUB=L3 SAMPLE
L6 955 S L4 SSS FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 10:56:50 ON 20 MAY 2004
L7 64 S L6
L8 3 S L7 AND SULFONYL

FILE 'REGISTRY' ENTERED AT 10:59:27 ON 20 MAY 2004
L9 STRUCTURE UPLOADED
L10 6 S L9 SUB=L3 SAMPLE
L11 47 S L9 SSS FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 11:00:58 ON 20 MAY 2004
L12 7 S L11
L13 60 S L7 NOT L12
L14 57 S L13 NOT L8
L15 1 S L14 AND 5HT
L16 56 S L14 NOT L15
L17 49 S L16 AND PATENT/DT
L18 0 S L17 AND AZAPAN?
L19 0 S L18 AND HYDROXYTRYPTAMINE
L20 0 S L17 AND HYDROXYTRYPTAMINE
L21 0 S L17 AND WYETH

=> d l1
L1 HAS NO ANSWERS
L1 STR

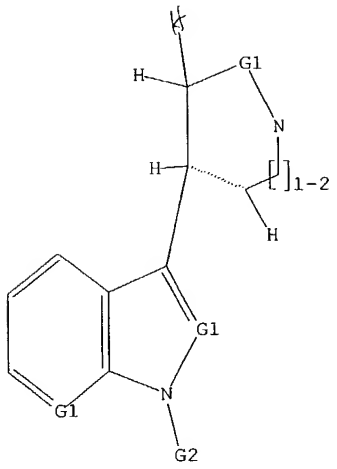


G1 C,N
G2 C,S

Structure attributes must be viewed using STN Express query preparation.

=> d l4
L4 HAS NO ANSWERS
L4 STR

10691937

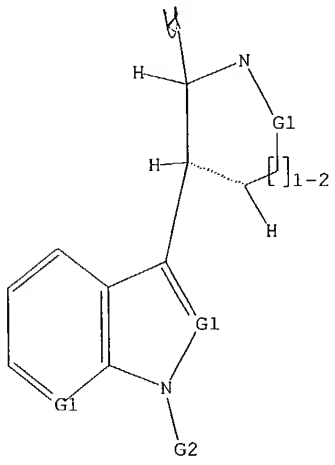


G1 C,N

G2 C,S

Structure attributes must be viewed using STN Express query preparation.

=> d 19
L9 HAS NO ANSWERS
L9 STR



G1 C,N

G2 C,S

10691937

=> d 1-3 bib abs hitstr

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594818 CAPLUS
DN 137:154854
TI Preparation of benzenesulfonic acid indol-5-yl esters as antagonists of the 5-HT6 receptor
IN Filla, Sandra Ann; Flaugh, Michael Edward; Gillig, James Ronald; Heinz, Lawrence Joseph; Krushinski, Joseph Herman, Jr.; Liu, Bin; Pineiro-Nunez, Marta Maria; Schaus, John Mehnert; Ward, John Stanley
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060871	A2	20020808	WO 2002-US502	20020117
	WO 2002060871	A3	20030912		
	WO 2002060871	C1	20031218		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	EP 1377580	A2	20040107	EP 2002-703087	20020117

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PRAI	US 2001-264996P	P	20010130
	WO 2002-US502	W	20020117

OS MARPAT 137:154854
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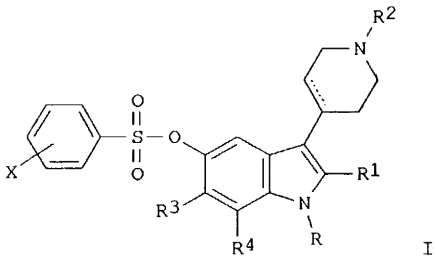

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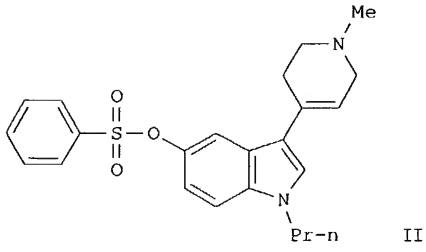


II

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R1 = H, alkyl; or where R4 = H, alkyl or halo then R1 and R may be taken together to form (CH2)3 or (CH2)4; R2 = H, alkyl; R3 = H, halo; R4 = H, alkyl, vinyl, etc.; X = H, halo, alkyl, etc.], useful for treating disorders associated with the 5-HT6 receptor such as cognitive disorders, Alzheimer's disease, and

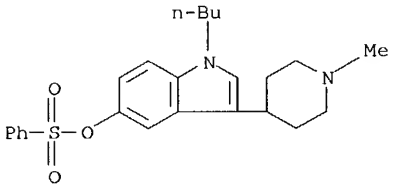
schizophrenia, were prepared Thus, alkylation of 3-(1-methyl-1,2,3,4-tetrahydropyridin-4-yl)-1H-indol-5-yl benzenesulfonate (preparation given) with PrBr in the presence of NaH in DMF afforded 59% II.

IT **445440-86-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indol-5-yl benzenesulfonates as antagonists of the 5-HT6 receptor)

RN 445440-86-8 CAPLUS
CN 1H-Indol-5-ol, 1-butyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

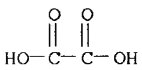
CM 1

CRN 445440-85-7
CMF C24 H30 N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4



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445440-66-4P 445440-67-5P 445440-68-6P
445440-69-7P 445440-70-0P 445440-71-1P
445440-72-2P 445440-73-3P 445440-74-4P
445440-75-5P 445440-76-6P 445440-77-7P
445440-78-8P 445440-79-9P 445440-80-2P
445440-81-3P 445440-82-4P 445440-83-5P
445440-84-6P 445440-85-7P 445440-87-9P
445440-88-0P 445440-89-1P 445440-90-4P
445440-91-5P 445440-92-6P 445440-93-7P
445440-94-8P 445440-95-9P 445440-96-0P
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445441-36-1P 445441-41-8P 445441-42-9P
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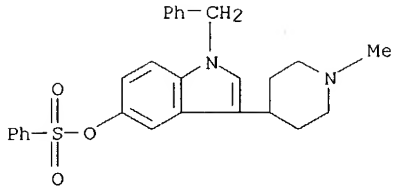
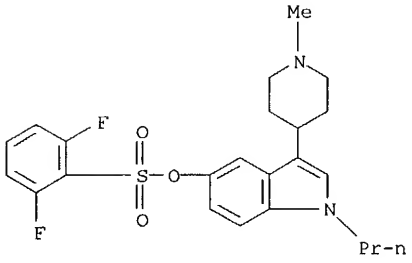
445441-49-6P 445441-50-9P 445441-51-0P
445441-52-1P 445441-54-3P 445441-56-5P
445441-99-6P 445442-00-2P 445442-01-3P
445442-02-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indol-5-yl benzenesulfonates as antagonists of the 5-HT6 receptor)

RN 445440-57-3 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



● HCl

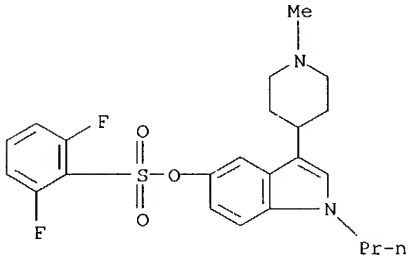
RN 445440-58-4 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-propyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 445440-59-5 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-propyl-1H-indol-5-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

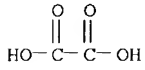
CM 1

CRN 445440-58-4
CMF C23 H26 F2 N2 O3 S



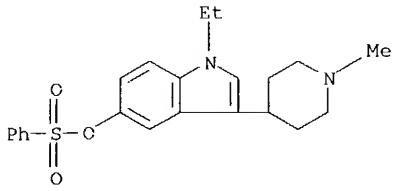
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 445440-60-8 CAPLUS

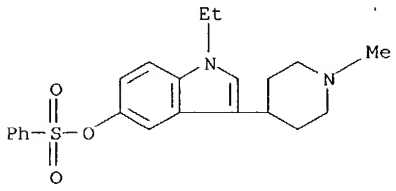
CN 1H-Indol-5-ol, 1-ethyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-61-9 CAPLUS
CN 1H-Indol-5-ol, 1-ethyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

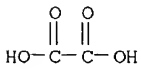
CM 1

CRN 445440-60-8
CMF C22 H26 N2 O3 S

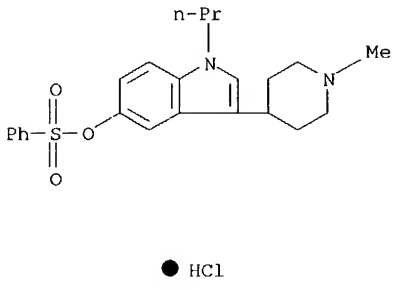


CM 2

CRN 144-62-7
CMF C2 H2 O4

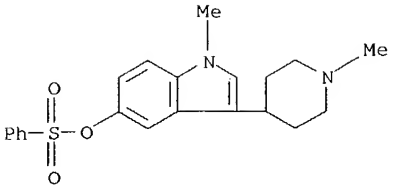


RN 445440-62-0 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-propyl-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



● HCl

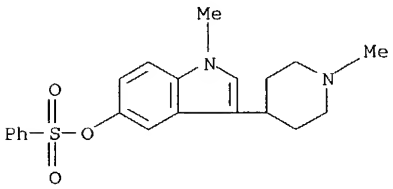
RN 445440-63-1 CAPLUS
CN 1H-Indol-5-ol, 1-methyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-64-2 CAPLUS
CN 1H-Indol-5-ol, 1-methyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

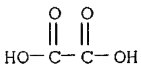
CM 1

CRN 445440-63-1
CMF C21 H24 N2 O3 S

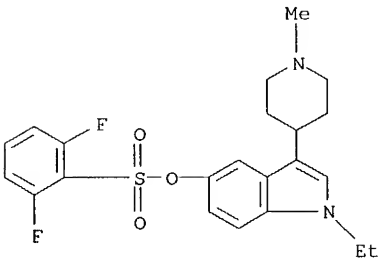


CM 2

CRN 144-62-7
CMF C2 H2 O4



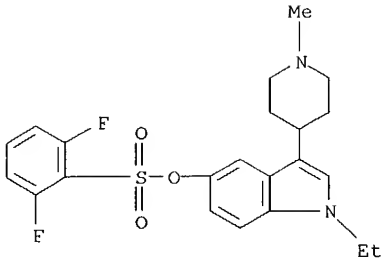
RN 445440-65-3 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-ethyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 445440-66-4 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-ethyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

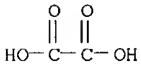
CM 1

CRN 445440-65-3
CMF C22 H24 F2 N2 O3 S

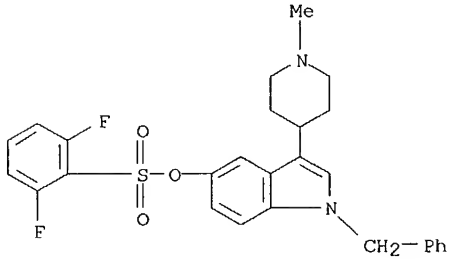


CM 2

CRN 144-62-7
CMF C2 H2 O4



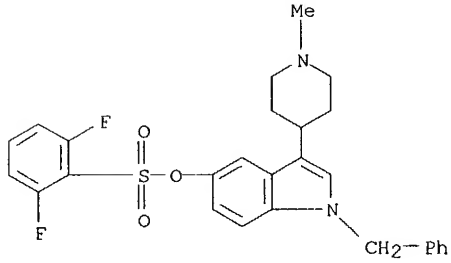
RN 445440-67-5 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 445440-68-6 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)-1H-indol-5-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

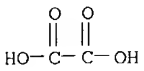
CM 1

CRN 445440-67-5
CMF C27 H26 F2 N2 O3 S

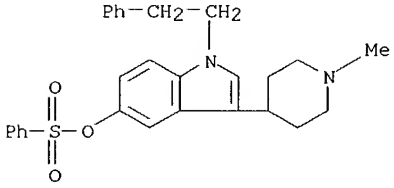


CM 2

CRN 144-62-7
CMF C2 H2 O4



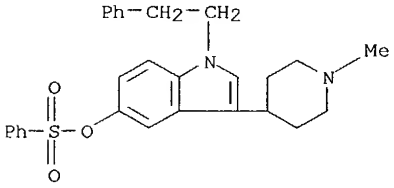
RN 445440-69-7 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-70-0 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

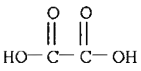
CM 1

CRN 445440-69-7
CMF C28 H30 N2 O3 S

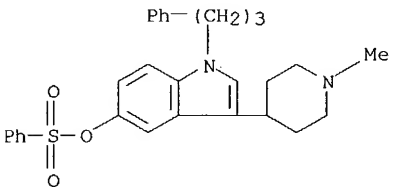


CM 2

CRN 144-62-7
CMF C2 H2 O4



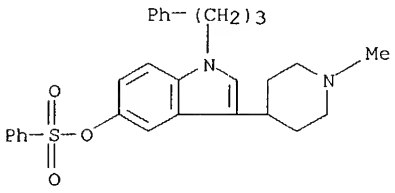
RN 445440-71-1 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(3-phenylpropyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-72-2 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(3-phenylpropyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

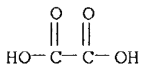
CM 1

CRN 445440-71-1
CMF C29 H32 N2 O3 S

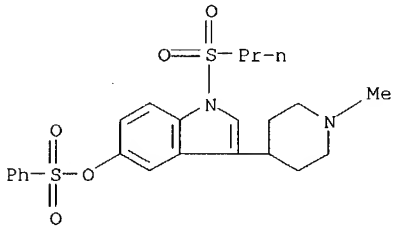


CM 2

CRN 144-62-7
CMF C2 H2 O4



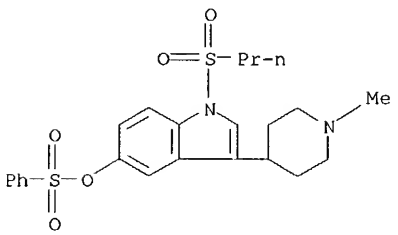
RN 445440-73-3 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(propylsulfonyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-74-4 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(propylsulfonyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

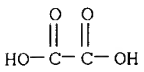
CM 1

CRN 445440-73-3
CMF C23 H28 N2 O5 S2

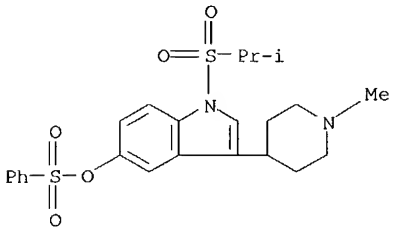


CM 2

CRN 144-62-7
CMF C2 H2 O4



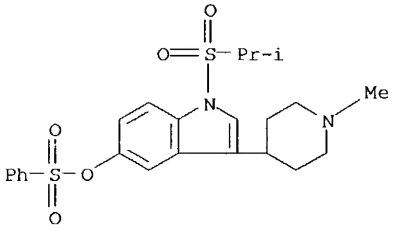
RN 445440-75-5 CAPLUS
CN 1H-Indol-5-ol, 1-[(1-methylethyl)sulfonyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-76-6 CAPLUS
CN 1H-Indol-5-ol, 1-[(1-methylethyl)sulfonyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

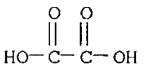
CM 1

CRN 445440-75-5
CMF C23 H28 N2 O5 S2

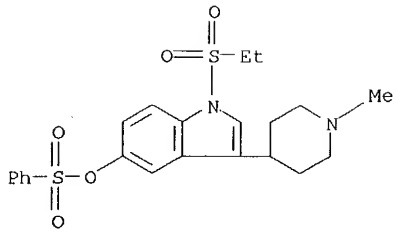


CM 2

CRN 144-62-7
CMF C2 H2 O4



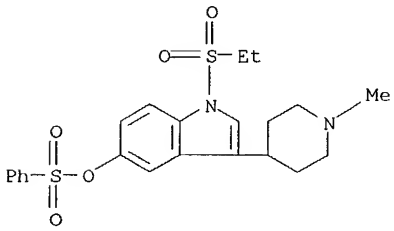
RN 445440-77-7 CAPLUS
CN 1H-Indol-5-ol, 1-(ethylsulfonyl)-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-78-8 CAPLUS
CN 1H-Indol-5-ol, 1-(ethylsulfonyl)-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

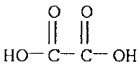
CM 1

CRN 445440-77-7
CMF C22 H26 N2 O5 S2

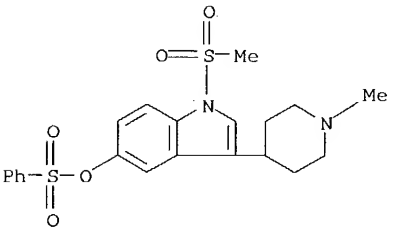


CM 2

CRN 144-62-7
CMF C2 H2 O4



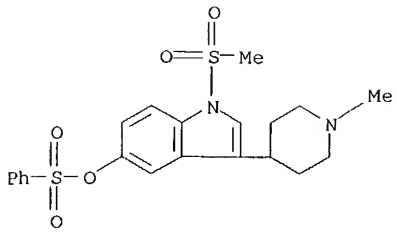
RN 445440-79-9 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(methylsulfonyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-80-2 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(methylsulfonyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

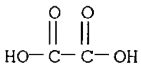
CM 1

CRN 445440-79-9
CMF C21 H24 N2 O5 S2

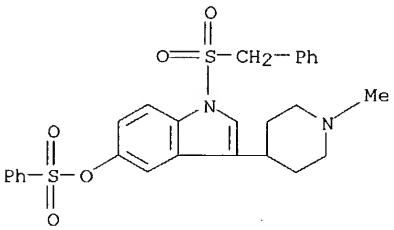


CM 2

CRN 144-62-7
CMF C2 H2 O4



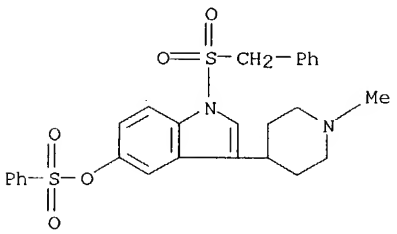
RN 445440-81-3 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-[(phenylmethyl)sulfonyl]-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-82-4 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-[(phenylmethyl)sulfonyl]-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

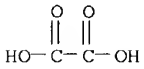
CM 1

CRN 445440-81-3
CMF C27 H28 N2 O5 S2

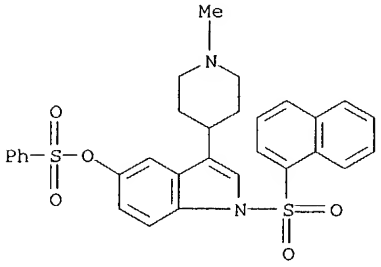


CM 2

CRN 144-62-7
CMF C2 H2 O4



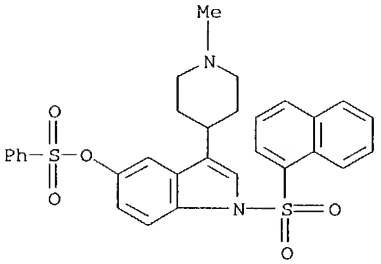
RN 445440-83-5 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(1-naphthalenylsulfonyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-84-6 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(1-naphthalenylsulfonyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

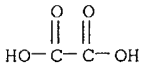
CM 1

CRN 445440-83-5
CMF C30 H28 N2 O5 S2

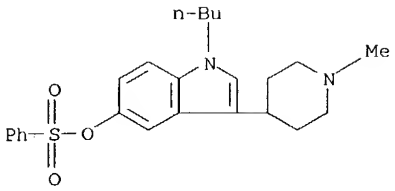


CM 2

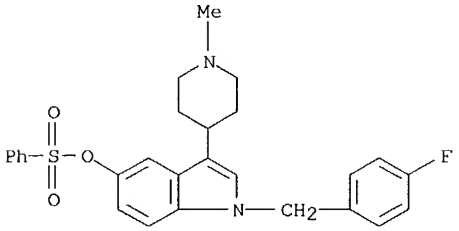
CRN 144-62-7
CMF C2 H2 O4



RN 445440-85-7 CAPLUS
CN 1H-Indol-5-ol, 1-butyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



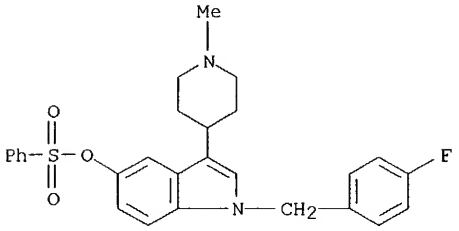
RN 445440-87-9 CAPLUS
CN 1H-Indol-5-ol, 1-[(4-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-88-0 CAPLUS
CN 1H-Indol-5-ol, 1-[(4-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

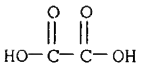
CM 1

CRN 445440-87-9
CMF C27 H27 F N2 O3 S

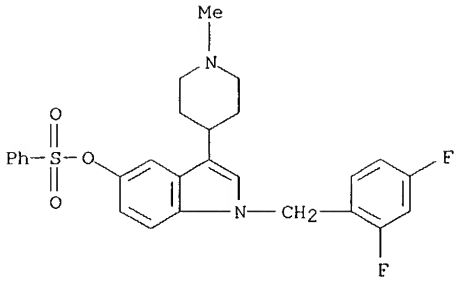


CM 2

CRN 144-62-7
CMF C2 H2 O4



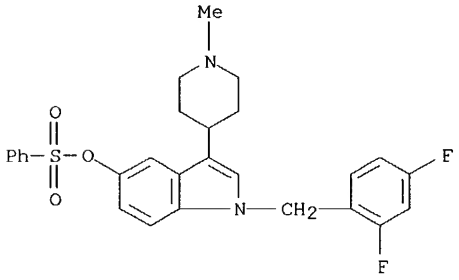
RN 445440-89-1 CAPLUS
CN 1H-Indol-5-ol, 1-[(2,4-difluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-90-4 CAPLUS
CN 1H-Indol-5-ol, 1-[(2,4-difluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

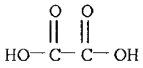
CM 1

CRN 445440-89-1
CMF C27 H26 F2 N2 O3 S

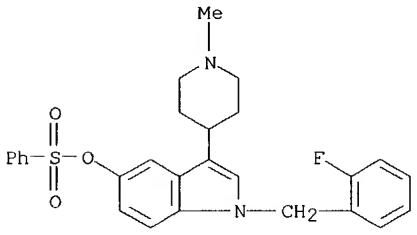


CM 2

CRN 144-62-7
CMF C2 H2 O4

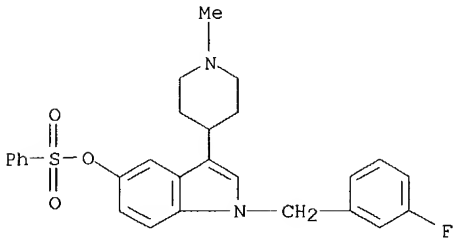


RN 445440-91-5 CAPLUS
CN 1H-Indol-5-ol, 1-[(2-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



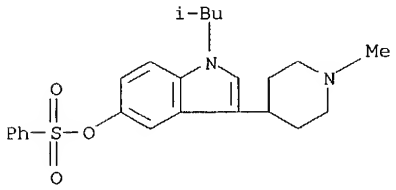
● HCl

RN 445440-92-6 CAPLUS
CN 1H-Indol-5-ol, 1-[(3-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



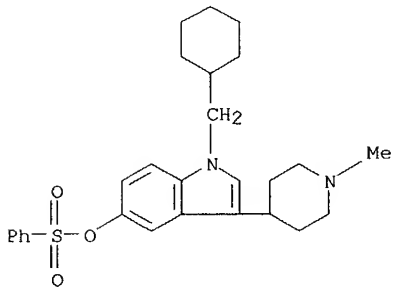
● HCl

RN 445440-93-7 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-methylpropyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



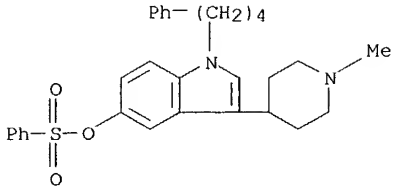
● HCl

RN 445440-94-8 CAPLUS
CN 1H-Indol-5-ol, 1-(cyclohexylmethyl)-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



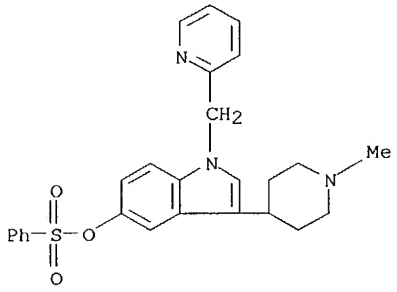
● HCl

RN 445440-95-9 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(4-phenylbutyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



● HCl

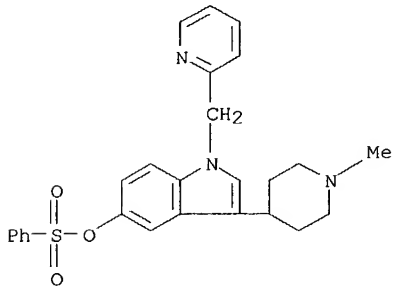
RN 445440-96-0 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-pyridinylmethyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445440-97-1 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-pyridinylmethyl)-, benzenesulfonate (ester), mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

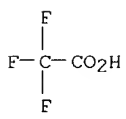
CM 1

CRN 445440-96-0
CMF C26 H27 N3 O3 S

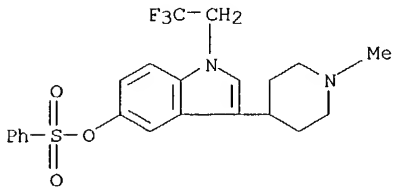


CM 2

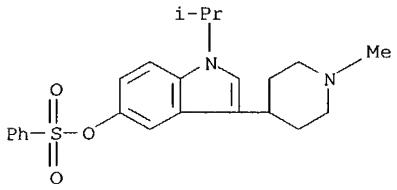
CRN 76-05-1
CMF C2 H F3 O2



RN 445440-98-2 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2,2,2-trifluoroethyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



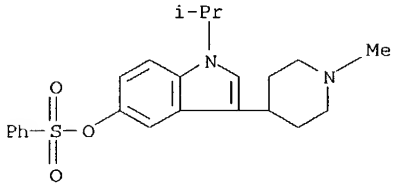
RN 445440-99-3 CAPLUS
CN 1H-Indol-5-ol, 1-(1-methylethyl)-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 445441-00-9 CAPLUS
CN 1H-Indol-5-ol, 1-(1-methylethyl)-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

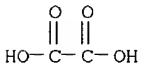
CM 1

CRN 445440-99-3
CMF C23 H28 N2 O3 S

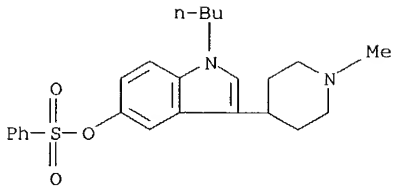


CM 2

CRN 144-62-7
CMF C2 H2 O4

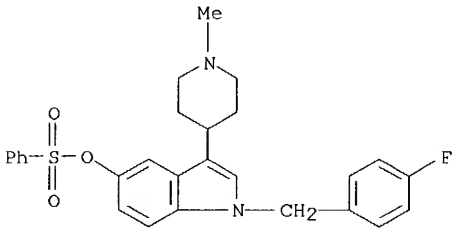


RN 445441-03-2 CAPLUS
CN 1H-Indol-5-ol, 1-butyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



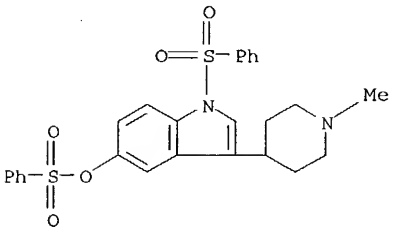
● HCl

RN 445441-04-3 CAPLUS
CN 1H-Indol-5-ol, 1-[(4-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



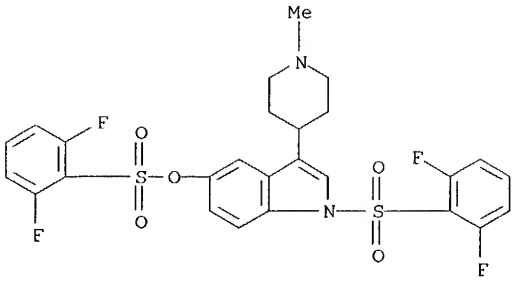
● HCl

RN 445441-19-0 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)-, benzenesulfonate (ester), monohydrochloride (9CI) (CA INDEX NAME)



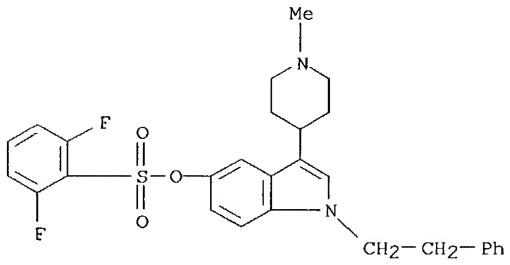
● HCl

RN 445441-21-4 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-[(2,6-difluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



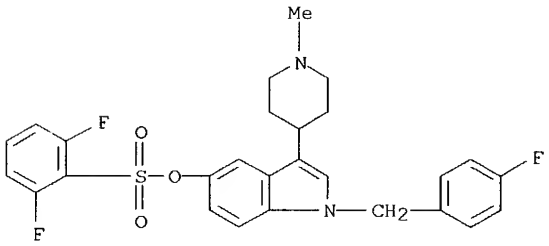
● HCl

RN 445441-22-5 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



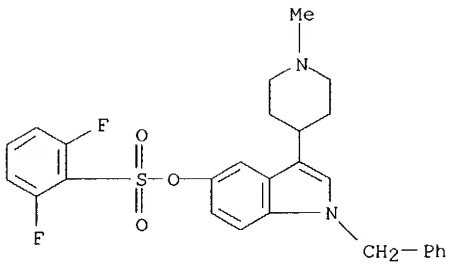
● HCl

RN 445441-23-6 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-[(4-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



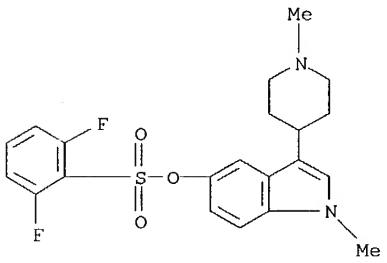
● HCl

RN 445441-24-7 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

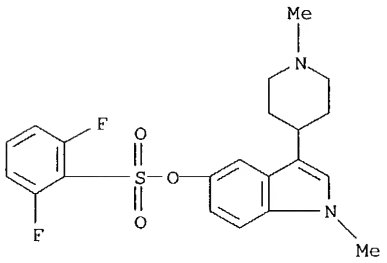
RN 445441-26-9 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-methyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 445441-27-0 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-methyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

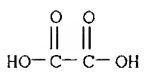
CM 1

CRN 445441-26-9
CMF C21 H22 F2 N2 O3 S

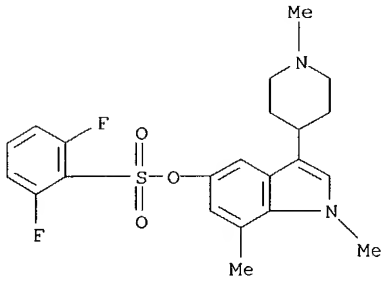


CM 2

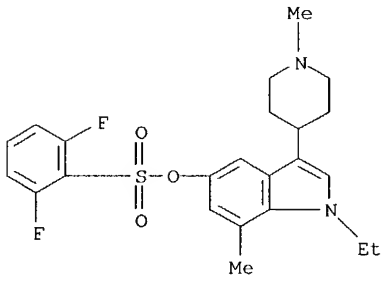
CRN 144-62-7
CMF C2 H2 O4



RN 445441-31-6 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1,7-dimethyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

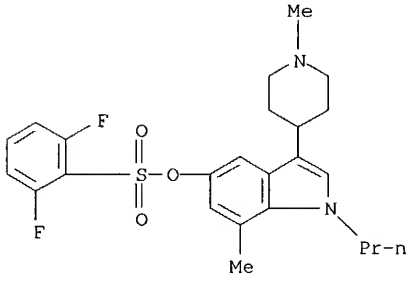


RN 445441-32-7 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-ethyl-7-methyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)



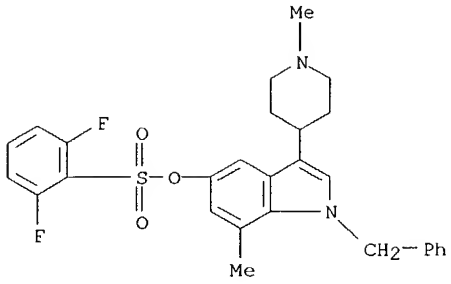
● HCl

RN 445441-33-8 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 7-methyl-3-(1-methyl-4-piperidinyl)-1-propyl-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

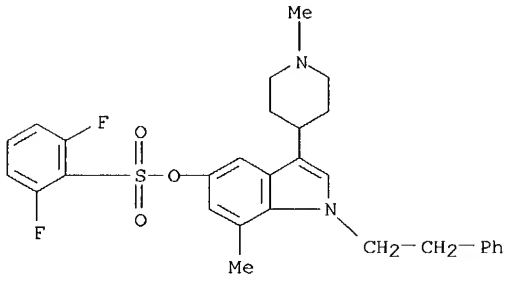


● HCl

RN 445441-34-9 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 7-methyl-3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

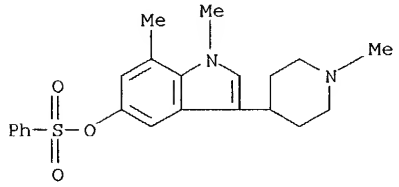


RN 445441-35-0 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 7-methyl-3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-1H-indol-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

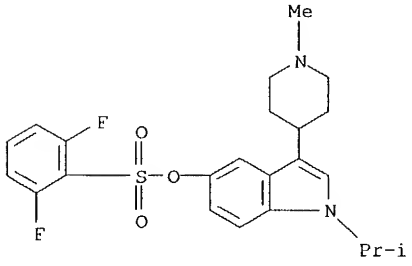


● HCl

RN 445441-36-1 CAPLUS
CN 1H-Indol-5-ol, 1,7-dimethyl-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



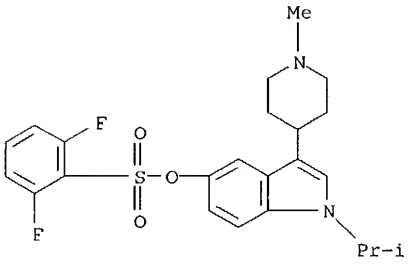
RN 445441-41-8 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-(1-methylethyl)-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 445441-42-9 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-(1-methylethyl)-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

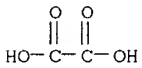
CM 1

CRN 445441-41-8
CMF C23 H26 F2 N2 O3 S

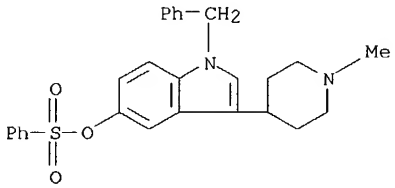


CM 2

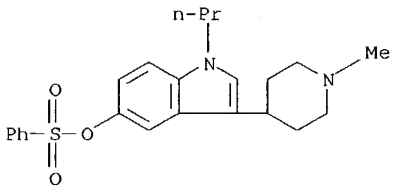
CRN 144-62-7
CMF C2 H2 O4



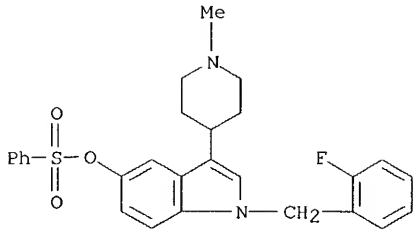
RN 445441-46-3 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



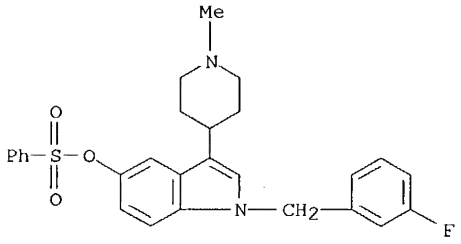
RN 445441-47-4 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-propyl-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



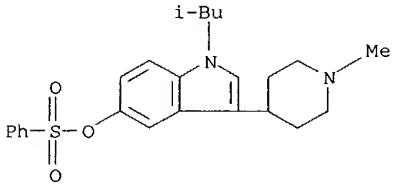
RN 445441-48-5 CAPLUS
CN 1H-Indol-5-ol, 1-[(2-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



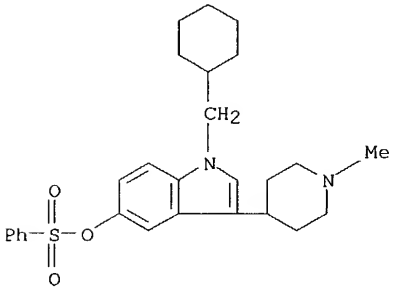
RN 445441-49-6 CAPLUS
CN 1H-Indol-5-ol, 1-[(3-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



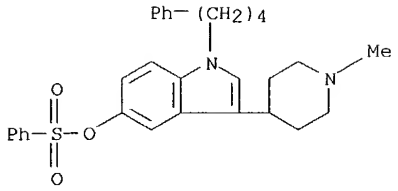
RN 445441-50-9 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-methylpropyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



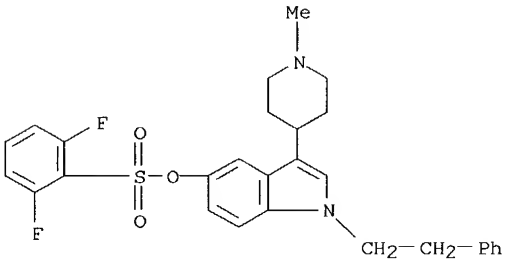
RN 445441-51-0 CAPLUS
CN 1H-Indol-5-ol, 1-(cyclohexylmethyl)-3-(1-methyl-4-piperidinyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



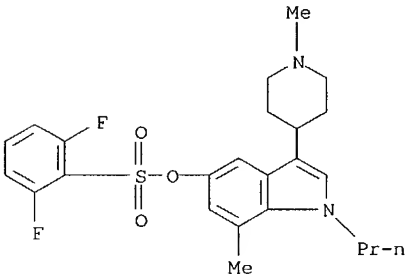
RN 445441-52-1 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(4-phenylbutyl)-, benzenesulfonate (ester) (9CI) (CA INDEX NAME)



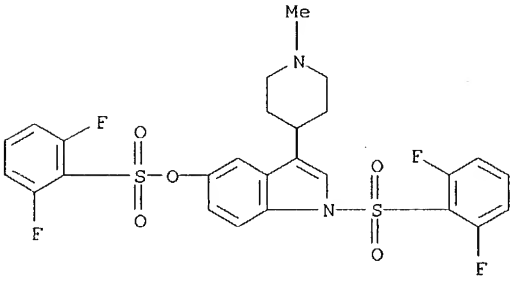
RN 445441-54-3 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



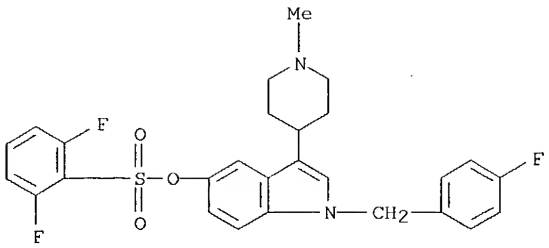
RN 445441-56-5 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 7-methyl-3-(1-methyl-4-piperidinyl)-1-propyl-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



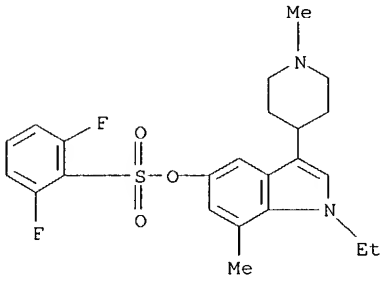
RN 445441-99-6 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-[(2,6-difluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



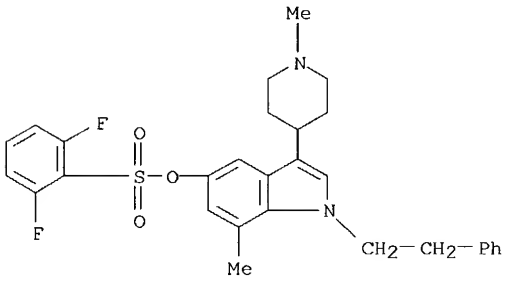
RN 445442-00-2 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-[(4-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)



RN 445442-01-3 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 1-ethyl-7-methyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

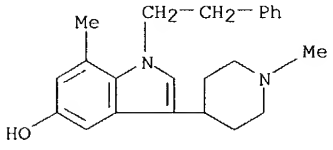


RN 445442-02-4 CAPLUS
CN Benzenesulfonic acid, 2,6-difluoro-, 7-methyl-3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-1H-indol-5-yl ester (9CI) (CA INDEX NAME)

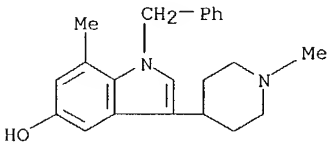


IT **445441-66-7P 445441-68-9P 445441-69-0P**
445441-70-3P 445441-71-4P 445441-74-7P
445441-75-8P 445441-85-0P 445441-86-1P
445441-87-2P 445441-88-3P 445441-89-4P
445441-90-7P 445441-91-8P 445441-92-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indol-5-yl benzenesulfonates as antagonists of the 5-HT6 receptor)

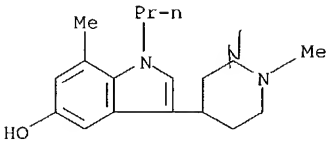
RN 445441-66-7 CAPLUS
CN 1H-Indol-5-ol, 7-methyl-3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)-(9CI) (CA INDEX NAME)



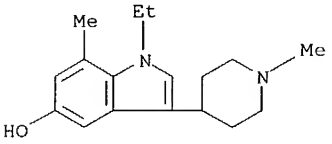
RN 445441-68-9 CAPLUS
CN 1H-Indol-5-ol, 7-methyl-3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



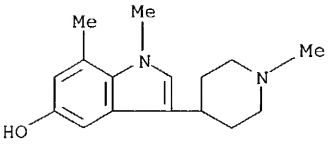
RN 445441-69-0 CAPLUS
CN 1H-Indol-5-ol, 7-methyl-3-(1-methyl-4-piperidinyl)-1-propyl- (9CI) (CA INDEX NAME)



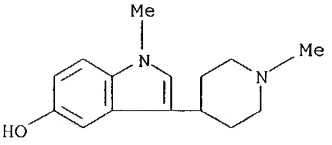
RN 445441-70-3 CAPLUS
CN 1H-Indol-5-ol, 1-ethyl-7-methyl-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 445441-71-4 CAPLUS
CN 1H-Indol-5-ol, 1,7-dimethyl-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



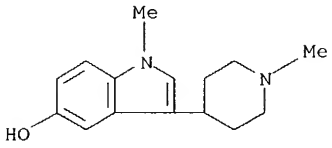
RN 445441-74-7 CAPLUS
CN 1H-Indol-5-ol, 1-methyl-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 445441-75-8 CAPLUS
CN 1H-Indol-5-ol, 1-methyl-3-(1-methyl-4-piperidinyl)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

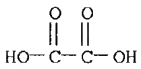
CM 1

CRN 445441-74-7
CMF C15 H20 N2 O

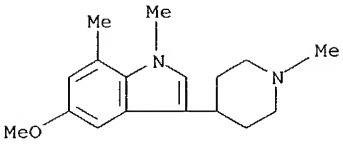


CM 2

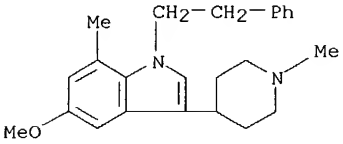
CRN 144-62-7
CMF C2 H2 O4



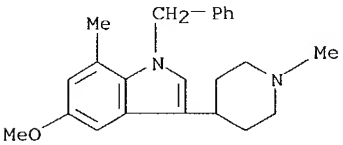
RN 445441-85-0 CAPLUS
CN 1H-Indole, 5-methoxy-1,7-dimethyl-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



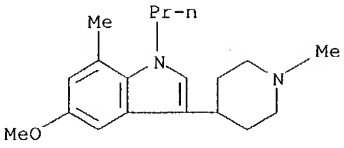
RN 445441-86-1 CAPLUS
CN 1H-Indole, 5-methoxy-7-methyl-3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



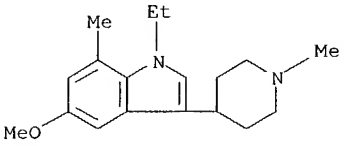
RN 445441-87-2 CAPLUS
CN 1H-Indole, 5-methoxy-7-methyl-3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



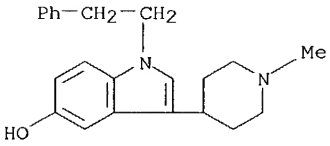
RN 445441-88-3 CAPLUS
CN 1H-Indole, 5-methoxy-7-methyl-3-(1-methyl-4-piperidinyl)-1-propyl- (9CI) (CA INDEX NAME)



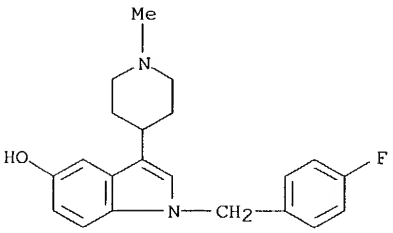
RN 445441-89-4 CAPLUS
CN 1H-Indole, 1-ethyl-5-methoxy-7-methyl-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



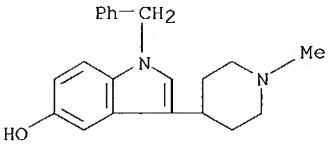
RN 445441-90-7 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 445441-91-8 CAPLUS
CN 1H-Indol-5-ol, 1-[(4-fluorophenyl)methyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 445441-92-9 CAPLUS
CN 1H-Indol-5-ol, 3-(1-methyl-4-piperidinyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:312012 CAPLUS
DN 136:340996
TI Preparation of sulfamides as metalloprotease inhibitors
IN Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph;

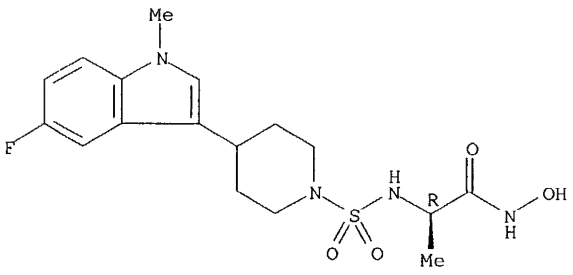
10691937

Walker, Keith Adrian Murray
PA Syntex (U.S.A.) LLC, USA; Agouron Pharmaceuticals, Inc.
SO U.S., 47 pp., Cont.-in-part of U.S. 6,143,744.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376506	B1	20020423	US 1999-469677	19991222
	AU 9866140	A1	19980818	AU 1998-66140	19980114
	AU 730127	B2	20010222		
	EP 958287	A1	19991124	EP 1998-907943	19980114
	EP 958287	B1	20020911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9807508	A	20000321	BR 1998-7508	19980114
	NZ 336625	A	20010427	NZ 1998-336625	19980114
	JP 2001523222	T2	20011120	JP 1998-531537	19980114
	AT 223909	E	20020915	AT 1998-907943	19980114
	ZA 9800376	A	19980723	ZA 1998-376	19980116
	US 5998412	A	19991207	US 1998-9951	19980121
	NO 9903587	A	19990922	NO 1999-3587	19990722
	MX 9906822	A	20000131	MX 1999-6822	19990722
	US 6130220	A	20001010	US 1999-369677	19990805
	US 6143744	A	20001107	US 1999-369501	19990805
PRAI	US 1997-36714P	P	19970123		
	US 1997-62209P	P	19971016		
	US 1998-9951	A3	19980121		
	US 1999-369501	A2	19990805		
	WO 1998-EP180	W	19980114		

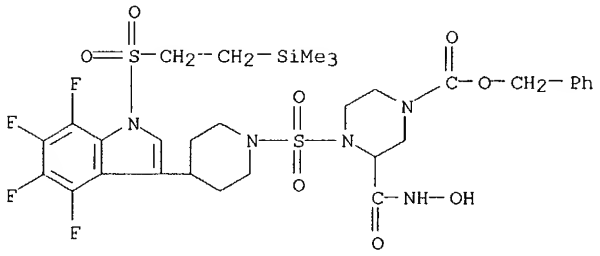
OS MARPAT 136:340996
AB Sulfamides RCOCR1R2NR3SO2NR4R5 [R = OH, NHOH or N/O-alkyl or -aryl derivs.; R1, R2, R3 = H, alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkylalkyl,(hetero)aryl, acylalkyl, etc.; R1R2C may be a (hetero)carbocycle or R3 together with R1 or R2 form a heterocycloamino group; R4, R5 = H, alkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, aryl, (hetero)aralkyl or -aralkenyl; R4R5N may be a heterocycloamino group or R4 or R5 together with R3 forms an alkylene group (with provisos)], as individual isomers or mixts. of isomers, or their pharmaceutically-acceptable salts or prodrugs were prepared as inhibitors of metalloproteases. Thus, 2-(R)-[(1,2,3,4-tetrahydro-β-carbolino-2-**sulfonyl**)amino]propionic acid (claimed compound) was prepared by treating D-alanine Me ester hydrochloride with chlorosulfonyl isocyanate/2-chloroethanol, reaction of the oxazolidone formed with 1,2,3,4-tetrahydro-β-carboline, and saponification Metalloprotease and TNF-α inhibitory test data are tabulated.
IT **210914-56-0P 210915-87-0P 210916-08-8P 210916-16-8P 210916-17-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfamides as metalloprotease inhibitors)
RN 210914-56-0 CAPLUS
CN Propanamide, 2-[[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



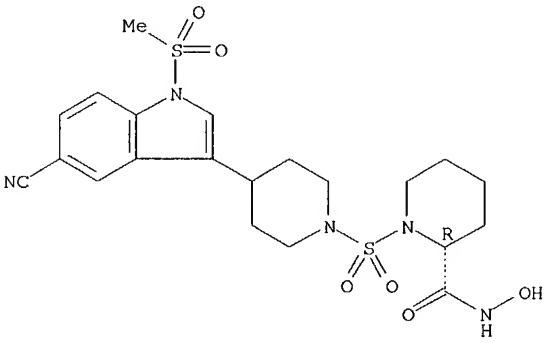
RN 210915-87-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[4-[4,5,6,7-

tetrafluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

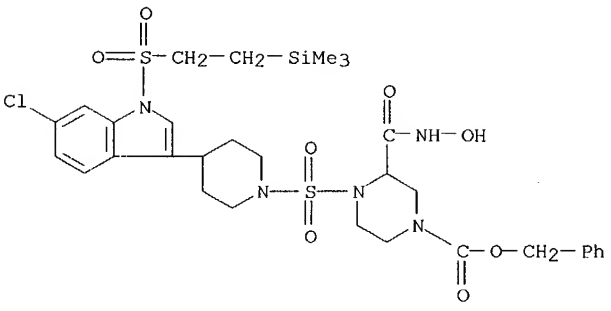


RN 210916-08-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-[5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

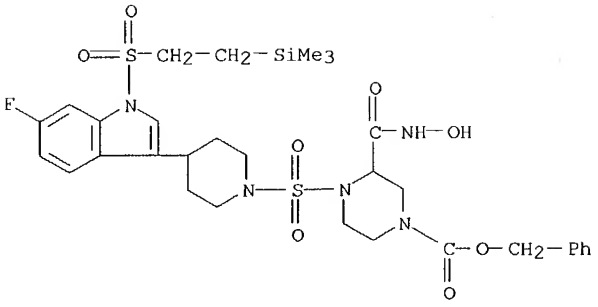
Absolute stereochemistry.



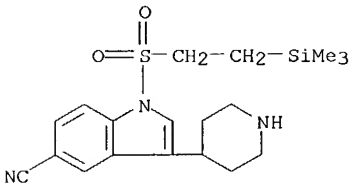
RN 210916-16-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-chloro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



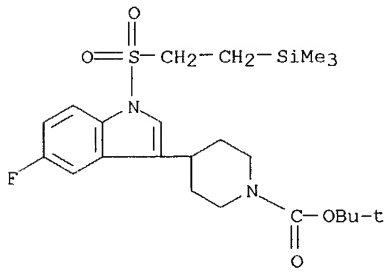
RN 210916-17-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



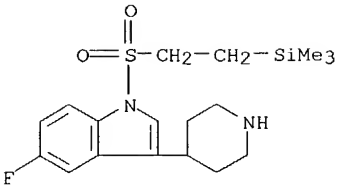
IT **210917-90-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfamides as metalloprotease inhibitors)
RN 210917-90-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-(4-piperidinyl)-1-[[2-(trimethylsilyl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)



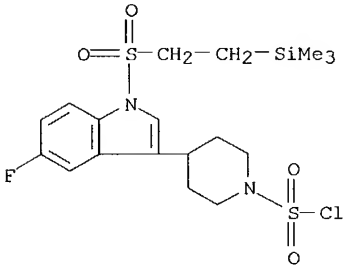
IT **210917-42-3P 210917-43-4P 210917-44-5P**
210917-46-7P 210917-47-8P 210917-65-0P
210917-66-1P 210917-68-3P 210917-69-4P
416846-40-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamides as metalloprotease inhibitors)
RN 210917-42-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



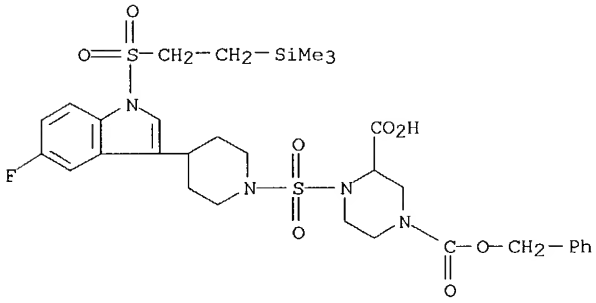
RN 210917-43-4 CAPLUS
CN 1H-Indole, 5-fluoro-3-(4-piperidinyl)-1-[[2-(trimethylsilyl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)



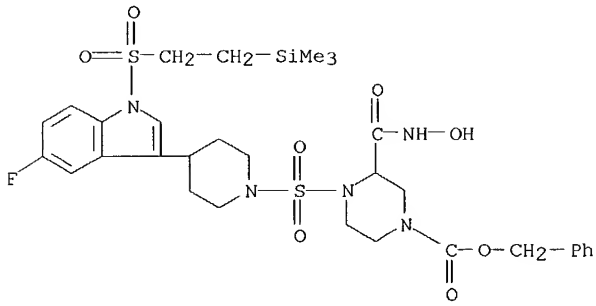
RN 210917-44-5 CAPLUS
CN 1-Piperidinesulfonyl chloride, 4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



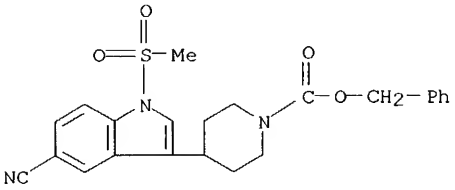
RN 210917-46-7 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



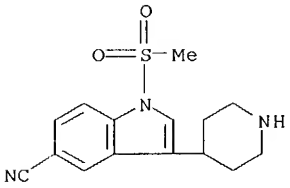
RN 210917-47-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210917-65-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

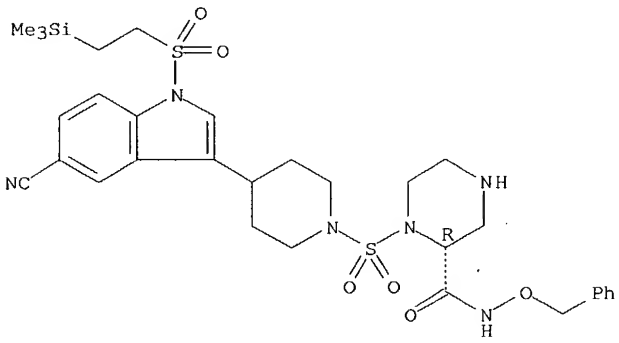


RN 210917-66-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 1-(methylsulfonyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 210917-68-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

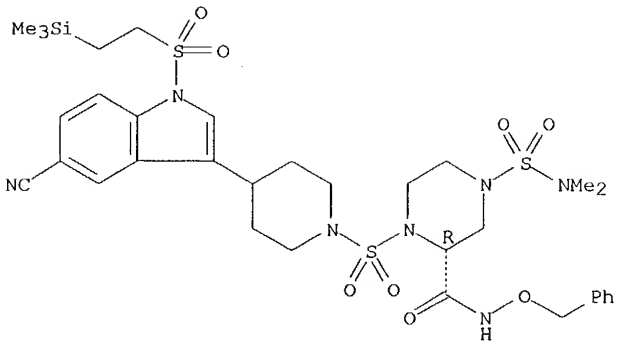
Absolute stereochemistry.



RN 210917-69-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-4-[(dimethylamino)sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

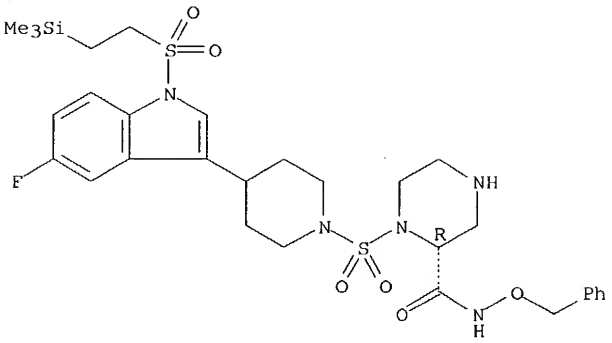
Absolute stereochemistry.

10691937



RN 416846-40-7 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:498326 CAPLUS
DN 129:148991
TI Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors
IN Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PA F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.
SO Ger. Offen., 84 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19802350	A1	19980730	DE 1998-19802350	19980122
	WO 9832748	A1	19980730	WO 1998-EP180	19980114
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	AU 730127	B2	20010222		
	EP 958287	A1	19991124	EP 1998-907943	19980114
	EP 958287	B1	20020911		

	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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	CN 1093125	B	20021023	CN 1998-803233	19980114
	ES 2183331	T3	20030316	ES 1998-907943	19980114
	ZA 9800376	A	19980723	ZA 1998-376	19980116
	IT 1298163	B1	19991220	IT 1998-MI91	19980120
	FR 2758559	A1	19980724	FR 1998-601	19980121
	GB 2321641	A1	19980805	GB 1998-1393	19980122
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	US 1997-62209P	P	19971016		
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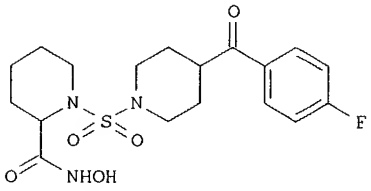
OS MARPAT 129:148991

GI



II

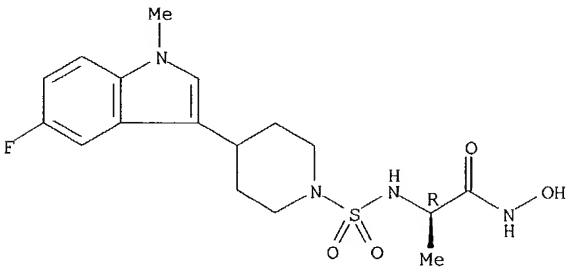
AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepared Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-**1-sulfonyl**]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compound (R)-II. Data for biol. activity of I were given.

IT **210914-56-0P 210915-87-0P 210916-08-8P 210916-16-8P 210916-17-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

RN 210914-56-0 CAPLUS

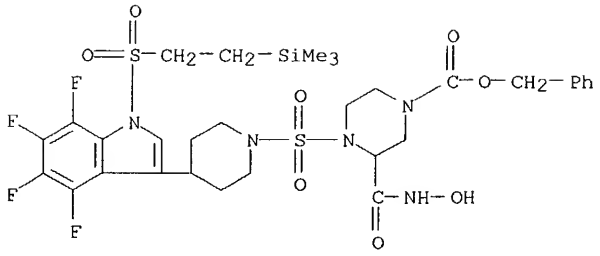
CN Propanamide, 2-[[[4-(5-fluoro-1-methyl-1H-indol-3-yl)-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



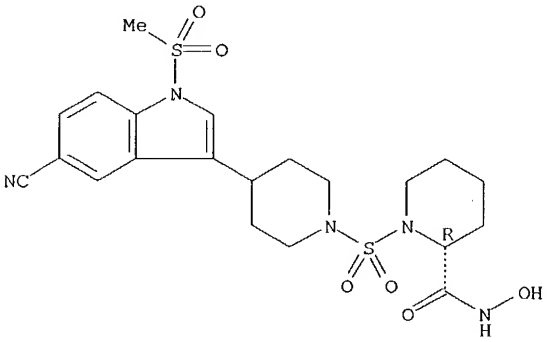
RN 210915-87-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[4-[4,5,6,7-tetrafluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

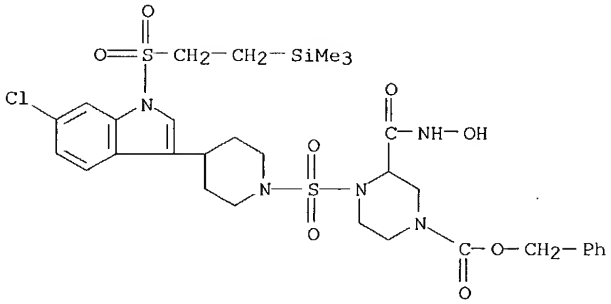


RN 210916-08-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[4-[5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

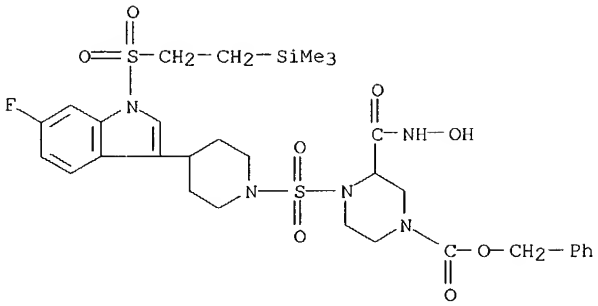
Absolute stereochemistry.



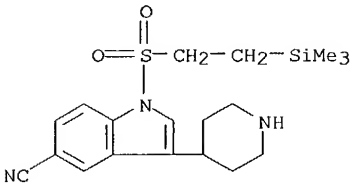
RN 210916-16-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-chloro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



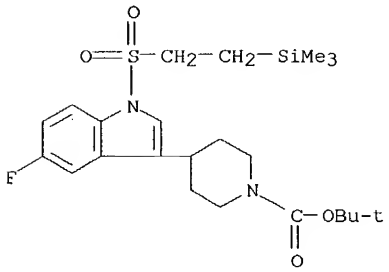
RN 210916-17-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[6-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



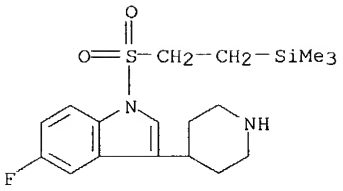
IT **210917-90-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)
RN 210917-90-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-(4-piperidinyl)-1-[[2-(trimethylsilyl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)



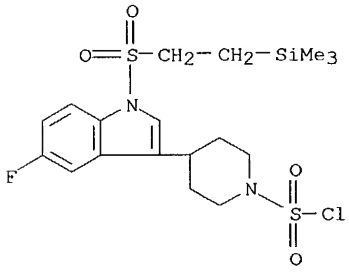
IT **210917-42-3P 210917-43-4P 210917-44-5P**
210917-46-7P 210917-47-8P 210917-65-0P
210917-66-1P 210917-67-2P 210917-68-3P
210917-69-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)
RN 210917-42-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



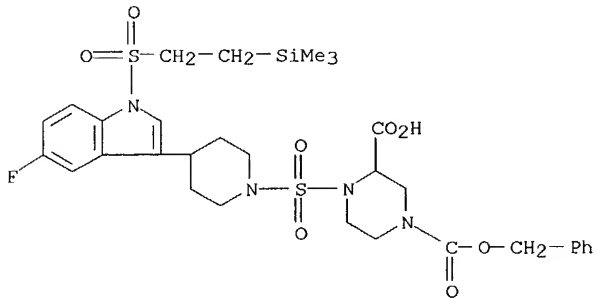
RN 210917-43-4 CAPLUS
CN 1H-Indole, 5-fluoro-3-(4-piperidinyl)-1-[[2-(trimethylsilyl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)



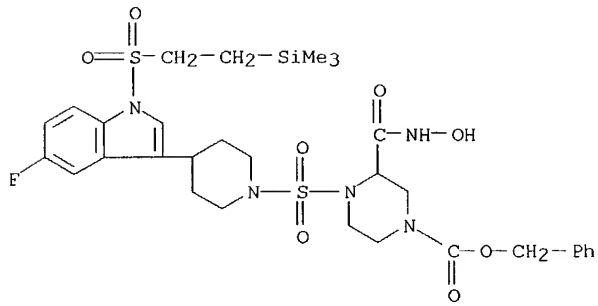
RN 210917-44-5 CAPLUS
CN 1-Piperidinesulfonyl chloride, 4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



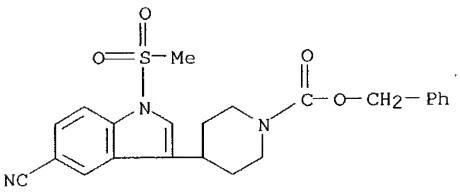
RN 210917-46-7 CAPLUS
CN 1,3-Piperazinedicarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



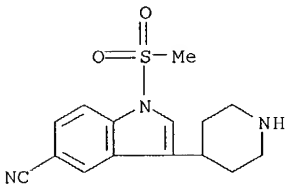
RN 210917-47-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-[5-fluoro-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-3-[(hydroxyamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210917-65-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

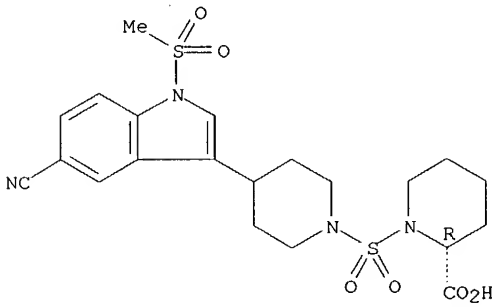


RN 210917-66-1 CAPLUS
CN 1H-Indole-5-carbonitrile, 1-(methylsulfonyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 210917-67-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-[5-cyano-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

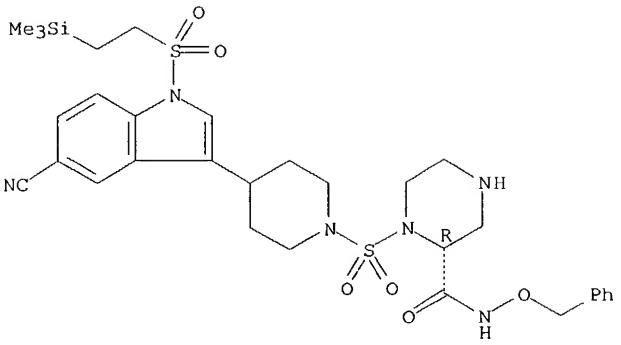
Absolute stereochemistry.



RN 210917-68-3 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

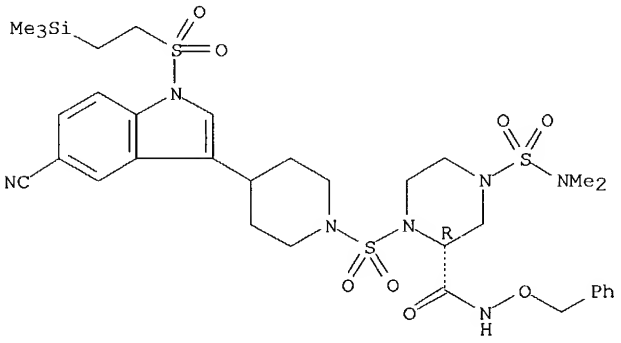
Absolute stereochemistry.

10691937



RN 210917-69-4 CAPLUS
CN 2-Piperazinecarboxamide, 1-[[4-[5-cyano-1-[[2-(trimethylsilyl)ethyl]sulfonyl]-1H-indol-3-yl]-1-piperidinyl]sulfonyl]-4-[(dimethylamino)sulfonyl]-N-(phenylmethoxy)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10691937

=> d 1-7 bib abs hitstr

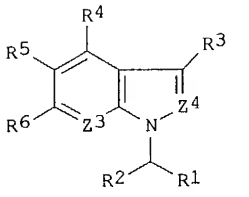
L12 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:931327 CAPLUS
DN 140:4959
TI Preparation of indole derivatives as PGD2 receptor antagonists
IN Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori, Susumu; Inagaki, Masanao
PA Shionogi & Co., Ltd., Japan
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003097598	A1	20031127	WO 2003-JP6076	20030515

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2002-142126 A 20020516
OS MARPAT 140:4959
GI



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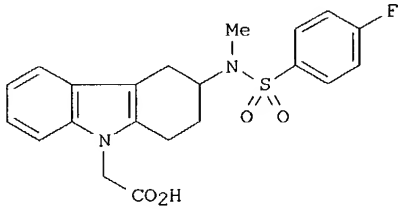
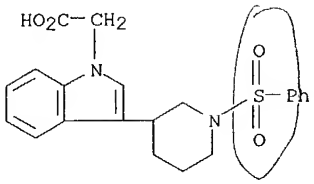


II

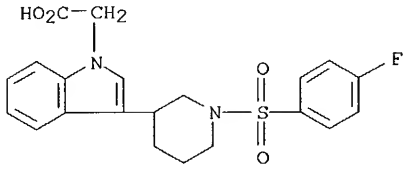
AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxycarbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxycarbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 = H or alkyl; R3 = -(CH2)n-N(Y)-SO2-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.0036 μM against human CRTH2 receptor. Formulations containing I as an active ingredient were also described.

IT **627866-02-8P 627866-03-9P 627866-04-0P**
627866-05-1P 627866-06-2P 627866-07-3P
627866-08-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole derivs. as PGD2 receptor antagonists)

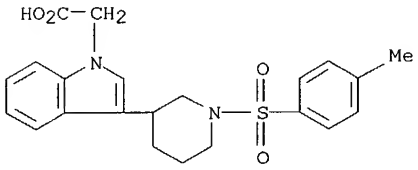
RN 627866-02-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[1-(phenylsulfonyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)



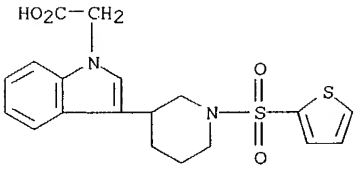
RN 627866-03-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[1-[(4-fluorophenyl)sulfonyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)



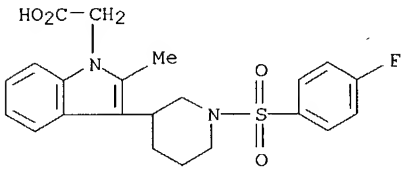
RN 627866-04-0 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[1-[(4-methylphenyl)sulfonyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)



RN 627866-05-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[1-(2-thienylsulfonyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

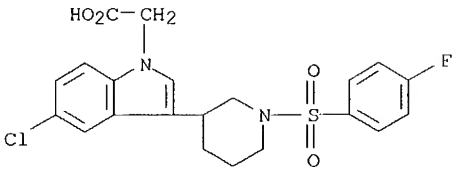


RN 627866-06-2 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[1-[(4-fluorophenyl)sulfonyl]-3-piperidinyl]-2-methyl- (9CI) (CA INDEX NAME)

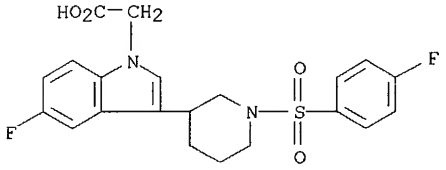


RN 627866-07-3 CAPLUS
CN 1H-Indole-1-acetic acid, 5-chloro-3-[1-[(4-fluorophenyl)sulfonyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

10691937



RN 627866-08-4 CAPLUS
CN 1H-Indole-1-acetic acid, 5-fluoro-3-[1-[(4-fluorophenyl)sulfonyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:504783 CAPLUS
DN 137:78951
TI Preparation of heterocyclylindoles, -indazoles, -azaindoles and -azaindazoles as 5-hydroxytryptamine-6 ligands
IN Zhou, Ping; Cole, Derek Cecil; Kelly, Michael Gerard; Lennox, William Joseph
PA American Home Products Corporation, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002051837	A2	20020704	WO 2001-US47935	20011211
	WO 2002051837	A3	20030116		
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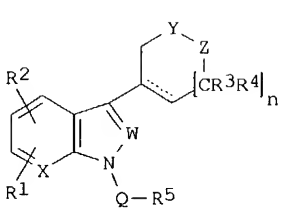
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	BR 2001016323	A	20031014	BR 2001-16323	20011211
	EP 1355904	A2	20031029	EP 2001-986147	20011211
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2002198213	A1	20021226	US 2001-28168	20011220
	NO 2003002840	A	20030820	NO 2003-2840	20030620
	US 2004092526	A1	20040513	US 2003-691937	20031023
PRAI	US 2000-257627P	P	20001222		
	WO 2001-US47935	W	20011211		
	US 2001-28168	A3	20011220		

OS MARPAT 137:78951
GI

Two app'n.



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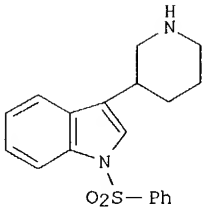

II

AB The title compds. [I; Q = SO2, CO, CONR24, CSNR25, CH2; W = N, CR6; X = N, CR7; Y = NR8, CR9R10; n = 0-2; Z = NR11, CR12R13; R1, R2, R7 = H, halo, CN, etc.; R3, R4, R9, R10, R12, R13 = H, alkyl; R5 = alkyl, aryl, heteroaryl; R6 = H, halo, alkyl, etc.; R8, R11 = H, alkyl, cycloalkyl, etc.; R24, R25 = H, alkyl, aryl, heteroaryl], useful in the therapeutic treatment of disorders related to or affected by the 5-HT6 receptor, were prepared Thus, reacting tert-Bu 3-(1H-indol-3-yl)piperidine-1-carboxylate (preparation given) with PhSO2Cl in the presence of tert-BuOK in THF followed by treatment with 4N HCl/dioxane afforded II which showed Ki of 2 nM against 5-HT6 binding.

IT **440081-67-4P 440081-68-5P 440081-69-6P**
440081-70-9P 440081-71-0P 440081-72-1P
440081-73-2P 440081-74-3P 440081-75-4P
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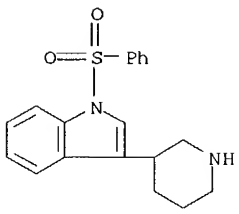
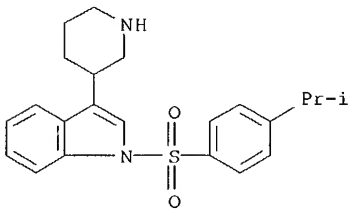
440081-79-8P 440081-80-1P 440081-81-2P
440081-82-3P 440081-83-4P 440081-84-5P
440081-85-6P 440081-86-7P 440081-87-8P
440081-88-9P 440081-89-0P 440081-90-3P
440081-91-4P 440081-92-5P 440081-93-6P
440082-40-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylindoles, -indazoles, -azaindoles and -azaindazoles as 5-hydroxytryptamine-6 ligands)

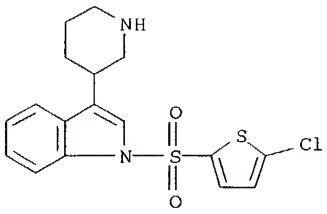
RN 440081-67-4 CAPLUS
CN 1H-Indole, 1-(phenylsulfonyl)-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



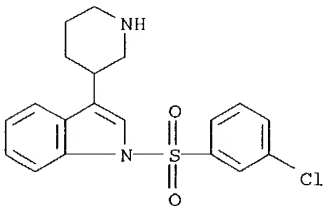
RN 440081-68-5 CAPLUS
CN 1H-Indole, 1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



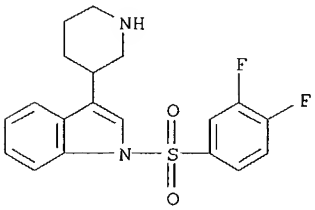
RN 440081-69-6 CAPLUS
CN 1H-Indole, 1-[(5-chloro-2-thienyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



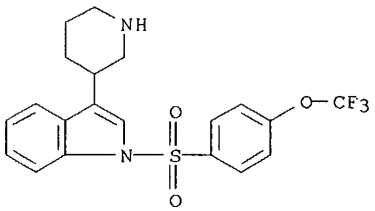
RN 440081-70-9 CAPLUS
CN 1H-Indole, 1-[(3-chlorophenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



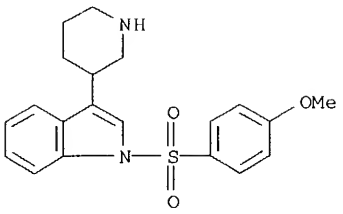
RN 440081-71-0 CAPLUS
CN 1H-Indole, 1-[(3,4-difluorophenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



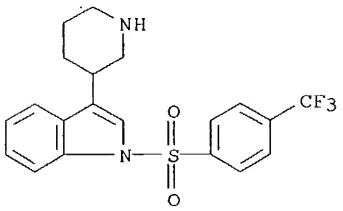
RN 440081-72-1 CAPLUS
CN 1H-Indole, 3-(3-piperidinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



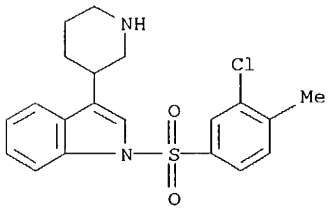
RN 440081-73-2 CAPLUS
CN 1H-Indole, 1-[(4-methoxyphenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



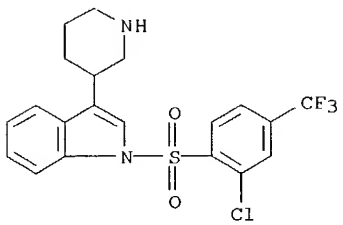
RN 440081-74-3 CAPLUS
CN 1H-Indole, 3-(3-piperidinyl)-1-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



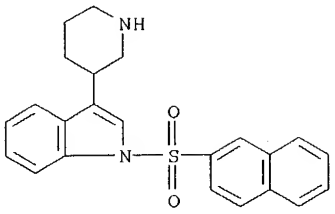
RN 440081-75-4 CAPLUS
CN 1H-Indole, 1-[(3-chloro-4-methylphenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



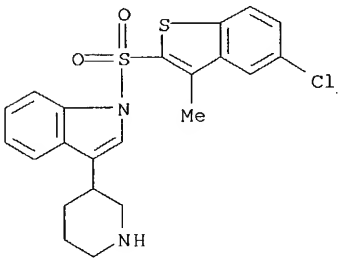
RN 440081-76-5 CAPLUS
CN 1H-Indole, 1-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



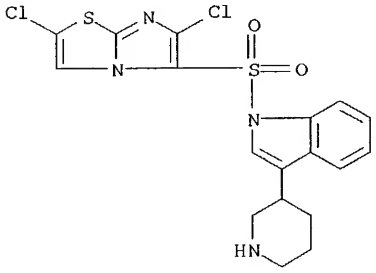
RN 440081-77-6 CAPLUS
CN 1H-Indole, 1-(2-naphthalenylsulfonyl)-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



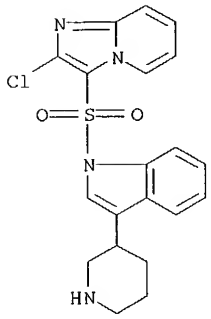
RN 440081-78-7 CAPLUS
CN 1H-Indole, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



RN 440081-79-8 CAPLUS
CN 1H-Indole, 1-[(2,6-dichloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)

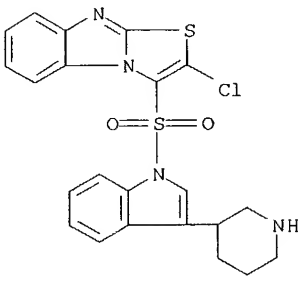


RN 440081-80-1 CAPLUS
CN 1H-Indole, 1-[(2-chloroimidazo[1,2-a]pyridin-3-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)

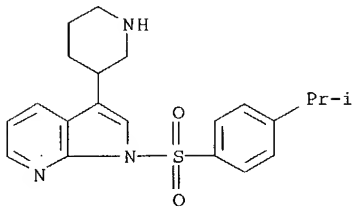


RN 440081-81-2 CAPLUS
CN 1H-Indole, 1-[(2-chlorothiazolo[3,2-a]benzimidazol-3-yl)sulfonyl]-3-(3-

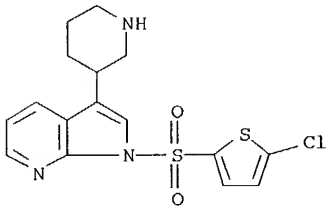
piperidinyl)- (9CI) (CA INDEX NAME)



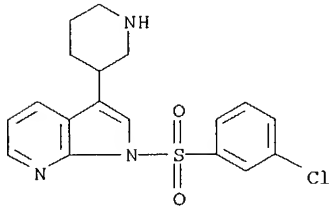
RN 440081-82-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[[4-(1-methylethyl)phenyl]sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



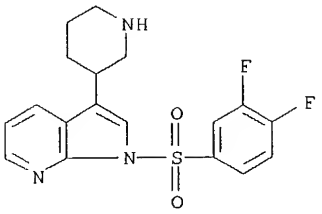
RN 440081-83-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(5-chloro-2-thienyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



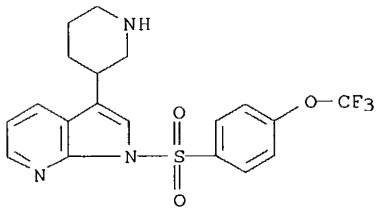
RN 440081-84-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(3-chlorophenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



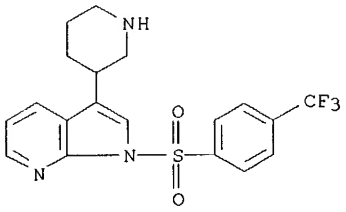
RN 440081-85-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(3,4-difluorophenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



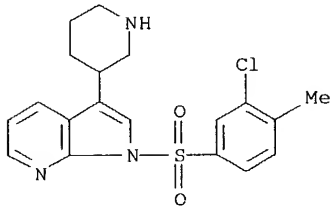
RN 440081-86-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(3-piperidinyl)-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



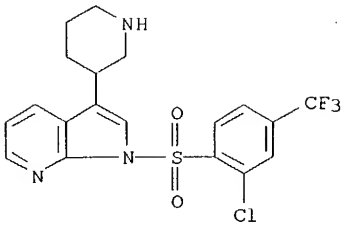
RN 440081-87-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(3-piperidinyl)-1-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



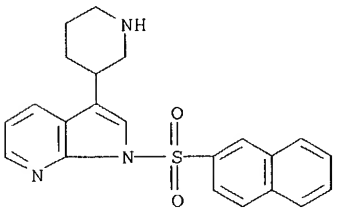
RN 440081-88-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(3-chloro-4-methylphenyl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



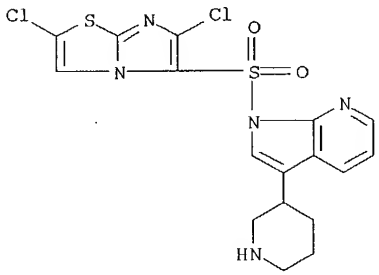
RN 440081-89-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[[2-chloro-4-(trifluoromethyl)phenyl]sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



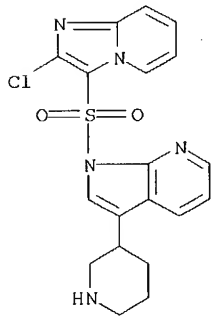
RN 440081-90-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2-naphthalenylsulfonyl)-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



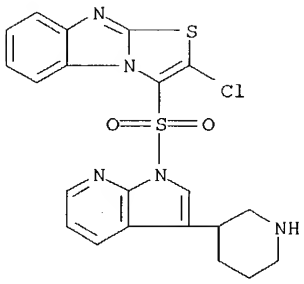
RN 440081-91-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(2,6-dichloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



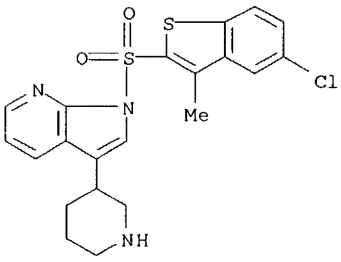
RN 440081-92-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(2-chloroimidazo[1,2-a]pyridin-3-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



RN 440081-93-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(2-chlorothiazolo[3,2-a]benzimidazol-3-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



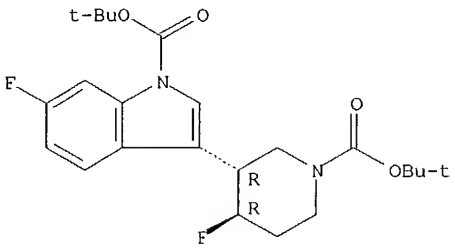
RN 440082-40-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-3-(3-piperidinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:238413 CAPLUS
DN 135:13873
TI 3-(4-Fluoropiperidin-3-yl)-2-phenylindoles as high affinity, selective, and orally bioavailable h5-HT2A receptor antagonists
AU Rowley, Michael; Hallett, David J.; Goodacre, Simon; Moyes, Christopher; Crawforth, James; Sparey, Timothy J.; Patel, Smita; Marwood, Rose; Patel, Shil; Thomas, Steven; Hitzel, Laure; O'Connor, Desmond; Szeto, Nicola; Castro, Jose L.; Hutson, Peter H.; MacLeod, Angus M.
CS Merck Sharp and Dohme The Neuroscience Research Centre, Harlow Essex, CM20 2QR, UK
SO Journal of Medicinal Chemistry (2001), 44(10), 1603-1614
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The development of very high affinity, selective, and bioavailable h5-HT2A receptor antagonists is described. By investigation of the optimal position for the basic nitrogen in a series of 2-phenyl-3-piperidylindoles, it was found that with the basic nitrogen at the 3-position of the piperidine it was not necessary to further substitute the piperidine in order to obtain good binding at h5-HT2A receptors. This meant the compds. no longer had high affinity at the IKr potassium channel, an issue with previous series of 2-aryl-3-(4-piperidyl)indoles. Improvements could be made to oral bioavailability in this series by reduction of the pKa of the basic nitrogen, by adding a fluorine atom to the piperidine ring, leading to 3-(4-fluoropiperidin-3-yl)-2-phenyl-1H-indole (17). Metabolic studies with this compound identified oxidation at the 6-position of the indole as a major route in vitro and in vivo in rats. Blocking this position with a fluorine atom led to 6-fluoro-3-(4-fluoropiperidin-3-yl)-2-phenyl-1H-indole (22), an antagonist with 0.06 nM affinity for h5-HT2A receptors, with bioavailability of 80% and half-life of 12 h in rats.
IT **342902-41-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluoropiperidinylphenylindoles as high affinity, selective, and orally bioavailable h5-HT2A receptor antagonists)
RN 342902-41-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(3R,4R)-1-[(1,1-dimethylethoxy)carbonyl]-4-

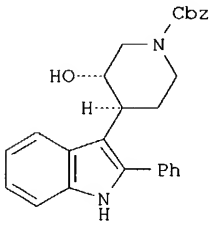
fluoro-3-piperidinyl]-6-fluoro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:489594 CAPLUS
DN 133:266685
TI Neighboring Group Participation of the Indole Nucleus: An Unusual DAST-Mediated Rearrangement Reaction
AU Hallett, David J.; Gerhard, Ute; Goodacre, Simon C.; Hitzel, Laure; Sparey, Timothy J.; Thomas, Steven; Rowley, Michael; Ball, Richard G.
CS Neuroscience Research Centre, Merck Sharp Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK
SO Journal of Organic Chemistry (2000), 65(16), 4984-4993
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 133:266685
GI



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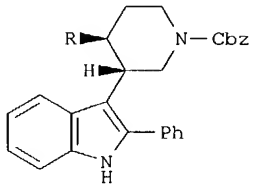


II

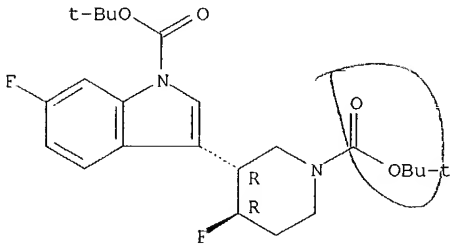
AB A rearrangement reaction involving the indole nucleus was investigated using stereochem. markers and low-temperature NMR expts. Treatment of nonracemic indolylhydroxypiperidine-1-carboxylic acid ester I with diethylaminosulfur trifluoride gave nonracemic indolylfluoropiperidine-1-carboxylate II (R = F) with complete regio- and stereoselectivity. E.g., I (91% ee) was stirred in Et acetate; Et2NSF3 was added and the mixture stirred at -50°; after workup, II (R = F) was isolated in 84% yield and 91% ee. The initial formation of a reactive spirocyclopropyl-3H-indole intermediate is believed to be responsible for the stereo- and regiochem. outcome of the reaction. Racemates of indolylhydroxypiperidine-1-carboxylic acid esters such as I undergo rearrangement in the presence of triflic anhydride followed by interception of the intermediates with acetic acid, benzylamine, or benzyl mercaptan to give rearranged racemic indolylpiperidine carboxylates II (R = AcO, PhCH2NH, PhCH2S) stereoselectively in 55-74% yields.

IT **244087-45-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indolylpiperidine derivs. by DAST-mediated regio- and stereoselective rearrangement of indolylhydroxypiperidines)

RN 244087-45-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(3R,4R)-1-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-3-piperidinyl]-6-fluoro-, 1,1-dimethylethyl ester, rel- (9CI) (CA

INDEX NAME)

Relative stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:613885 CAPLUS
DN 131:228657
TI Preparation of 3-(piperidin-3-yl)-1H-indole derivatives as 5-HR2A receptor antagonists for treatment of psychotic disorders such as schizophrenia
IN Hallett, David James; Rowley, Michael
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9947511	A1	19990923	WO 1999-GB802	19990316
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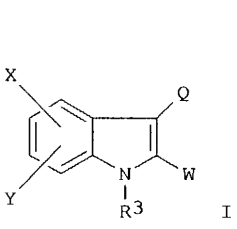
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9929438	A1	19991011	AU 1999-29438	19990316
PRAI	GB 1998-5716		19980317		
	WO 1999-GB802		19990316		

OS MARPAT 131:228657
GI



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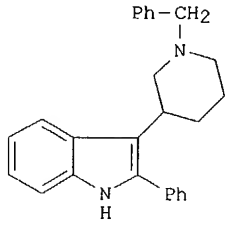


II

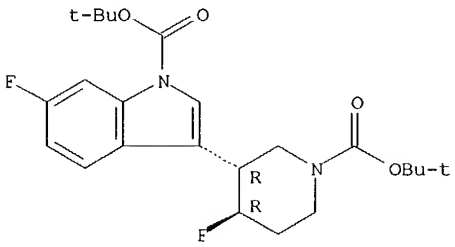
AB 3-(Piperidin-3-yl)-1H-indole derivs. and tetrahydropyridine analogs (I) [W = cyclohexyl, carboxylic acid ester, (un)substituted carboxamide, (un)substituted Ph, various (un)substituted heterocycles; X and Y = independently H, halogen, CF3, CF3-O, alkyl, alkoxy, Ph; Q = (un)substituted piperidin-3-yl or tetrahydropyridin-3-yl; R3 = H or alkyl] were prepared as selective antagonists of the human 5-HT2A receptor for the treatment and/or prevention of adverse conditions of the central nervous system, including psychotic disorders such as schizophrenia. For example,

1-benzyl-3-piperidone hydrochloride hydrate and H3PO4 were added to 2-phenylindole in AcOH and stirred for 4 h to form the tetrahydropyridine intermediate. The intermediate was hydrogenated over Pd/C in concentrated HCl overnight to give 3-(1-benzylpiperidin-3-yl)-2-phenyl-1H-indole (II) in 58% yield. Title compds. are claimed to be selective antagonists of the human 5-HT2A receptor and are expected to manifest fewer side effects than compds. which do not discriminate in their binding affinity as between 5-HT2A and D2 receptors (no data).

IT **244087-45-4P 244087-46-5P 244087-47-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3-(piperidin-3-yl)-1H-indole derivs. as 5-HR2A receptor antagonists for treatment of psychotic disorders such as schizophrenia)

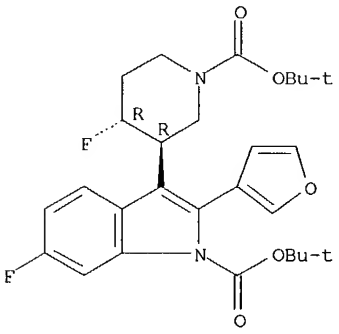
RN 244087-45-4 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(3R,4R)-1-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-3-piperidinyl]-6-fluoro-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 244087-46-5 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(3R,4R)-1-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-3-piperidinyl]-6-fluoro-2-(3-furanyl)-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

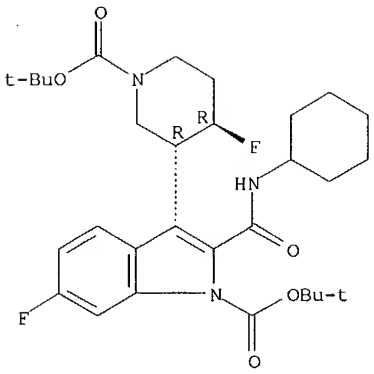
Relative stereochemistry.



RN 244087-47-6 CAPLUS
CN 1H-Indole-1-carboxylic acid, 2-[(cyclohexylamino)carbonyl]-3-[(3R,4R)-1-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-3-piperidinyl]-6-fluoro-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

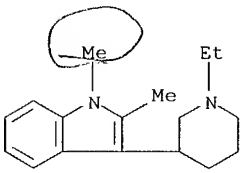
Relative stereochemistry.

10691937



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1975:531396 CAPLUS
DN 83:131396
TI 3-Cycloalkenylindoles
AU Freter, Kurt
CS Pharma-Res. Canada Ltd., Pointe Claire, QC, Can.
SO Journal of Organic Chemistry (1975), 40(17), 2525-9
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 83:131396
GI For diagram(s), see printed CA Issue.
AB The indoles I (X = CH2, S, NH, PhCH2N, etc.; R, R1 = H, Me; R2 = H, MeO) were prepared by treating II with III.
IT **55556-54-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 55556-54-2 CAPLUS
CN 1H-Indole, 3-(1-ethyl-3-piperidinyl)-1,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

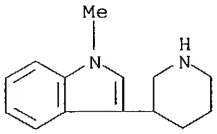
L12 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1964:492262 CAPLUS
DN 61:92262
OREF 61:16036g-h,16037a-h,16038a
TI Research in the indole series. X. Several 2-(3-indolyl)glutaric acids, glutarimides, and the corresponding piperidines
AU Julia, Marc; Bagot, Jean; Siffert, Odile
CS Inst. Pasteur, Paris
SO Bulletin de la Societe Chimique de France (1964), (8), 1939-45
CODEN: BSCFAS; ISSN: 0037-8968
DT Journal
LA French
AB A series of esters of I was prepared from BrCH2COCH(CO2Et)CH2CH2CO2Et (II) and the appropriate aromatic amines and converted into I. Also prepared were III, which were reduced to the corresponding IV. AcCH2CO2Et (390 g.) condensed with CH2:CHCO2Et in the presence of 1 g. K in 5 cc. MeOH yielded 475 g. AcCH(CO2Et)CH2CH2CO2Et (V), b14 162-5°. V (230 g.) in 350 cc. Et2O treated with 160 g. Br yielded 300 g. II, m. 78° (C6H6).

II (62 g.) condensed with 43 g. MeNHPh, and the product (70 g.) cyclized with ZnCl2 in absolute EtOH yielded 40 g. di-Et ester (VI) of I (R = Me, X = H) (VII), b0.1 185-9°, which saponified gave 28 g. VII, m. 153° (MeOH); mono-K salt m. 185°. VII decarboxylated gave 72% 4-(1-methyl-3-indolyl)butyric acid, m. 101-2° (25% aqueous EtOH). II (62 g.) condensed with 48.4 g. EtNHPh, and the oily product (40 g.) cyclized gave 29.8 g. di-Et ester of I (R = Et, X = H) (VIII), b0.1 182-3°, which saponified yielded 21 g. VIII, m. 156-7° (H2O); mono-K salt m. 180°. II (309 g.) condensed with 366 g. PhCH2NHPh, and the oily product (400 g.) cyclized yielded 112 g. di-Et ester (IX) of I (R = PhCH2, X = H)(X), b0.1 230-40°. IX (100 g.) saponified yielded 72 g. X, m. 129° (aqueous EtOH); mono-K salt m. 237° (H2O). II (100 g.) condensed with 92 g. p-MeOC6H4NHMe and the product cyclized gave 54 g. di-Et ester of I (R = Me, X = 5-MeO) (XI), b0.1 190-200°; a 35-g. portion saponified gave 23 g. XI, m. 157° (10% aqueous EtOH), which decarboxylated gave 4-(1-methyl-5-methoxy-3-indolyl)butyric acid, m. 119-20° (MeOH). VII (5 g.) with 50 cc. NH4OH yielded 3.2 g. III (R = Me, R1 = X = H), m. 198° (absolute EtOH). Similarly were prepared the following III: R, R1, X, m.p., % yield; Me, Me, H, 158°, 60; Me, Et, H, 70°, 38; Me, PhCH2, H, 186°, 97; PhCH2, H, H, 134°, 53; PhCH2, Me, H, 164°, 45; Me, H, 5-MeO, 129°, 30; Me, Me, 5-MeO, 156°, 40; Me, Et, 5-MeO, 135°, 40; Me, PhCH2, 5-MeO, 149°, 41; The appropriate III reduced with LiAlH4 in dry Et2O yielded the very hygroscopic IV, which were isolated as the HCl salts; in this manner were prepared the following IV.HCl which crystallized with 0.5, 1, or 2 moles H2O: R, R1, X, moles H2O, m.p., % yield; Me, Me, H, 0.5 (XII), 220°, 40; Me, PhCH2, H, 1, 130°, 77; PhCH2, Me, H, 1, 183°, 60; Me, Me, 5-MeO, 1 (XIIa), 137°, 64; Me, PhCH2, 5-MeO, 2, 165°, 45; Me, H, 5-MeO, 2 (XIII), 110°, 71; XII (6.8 g.) in 100 cc. absolute EtOH hydrogenated 7 hrs. at 55-60° over 0.2 g. 5% Pd-C gave 3.2 g. IV.HCl.H2O (R = Me, R1 = X = H) (XIV.HCl.H2O), m. 130° (EtOH-Et2O). 1-Methyl-3-indolylacetonitrile (XV) (20 g.) treated at 120° with 0.2 cc. 2N KOH-MeOH and 0.1 g. p-C6H4(OH)2 and then 6.3 cc. CH2:CHCO2Et (XVI) in 2 portions and the mixture heated 1.5 hrs. at 170° gave 9 g. unreacted XV, b0.04 127-30°, m. 57°, and 3.5 g. Et 4-cyano-4-(1-methyl-3-indolyl)butyrate (XVII), b0.04 180-200°. XV (20 g.), 13 cc. XVI, and 1 cc. Triton B heated 60 hrs. at 170° in a sealed tube gave 4.7 g. XVII. XVII refluxed 15 hrs. with KOH-MeOH gave VII, m. 152°. XVII (4 g.) refluxed 48 hrs. with 2 g. LiAlH4 in 250 cc. dry Et2O gave 2.5 g. XIV, isolated as XIV.HCl, m. 128-9°. IX (7 g.) in 100 cc. MeOH saturated with dry NH3 and the mixture heated 24 hrs. at .apprx.160° in an autoclave yielded 3.4 g. diamide (XVIII) of X, m. 226° (2:1 AcOH-H2O). XVIII (3.3 g.) refluxed 4 days with 1 g. LiAlH4 in 60 cc. Et2O, and the product treated with HCl gave 1.8 g. 1,5-diamino-2-(1-benzyl-3-indolyl)pentane-2HCl (XIX), very hygroscopic, m. 114°. X (10 g.) treated with 10 g. PhCH2NH2 in 40 cc. H2O gave 9 g. N,N'-dibenzyl-2-(1-benzyl-3-indolyl)glutaramide (XX), m. 175° (AcOH). XX (10 g.) refluxed 48 hrs. with 2.5 g. LiAlH4 in 160 cc. dry THF gave the N,N'-dibenzyl derivative of XIX, isolated as the di-HCl salt, 5.6 g., m. 109°; this treated with (CO2H)2 yielded the dioxolate of the N,N'-dibenzyl derivative of XIX, m. 148° (repptd. from MeOH with dry Et2O). X (3.37 g.) in 100 cc. dry Et2O refluxed 48 hrs. with 1 g. LiAlH4 yielded 1.86 g. 2-(1-benzyl-3-indolyl)-1,5-pentanediol, m. 102° (60% aqueous EtOH). V (100 g.) added dropwise with stirring to 10 g. powdered Na in 200 cc. dry Et2O, and the mixture treated slowly with stirring with 80 g. MeI, refluxed 4 hrs., diluted with 200 cc. EtOH, and refluxed 2 hrs. yielded 79 g. EtO2CCAcMeCH2CH2CO2Et (XXI), b9 148-50°. XXI (74 g.) in 250 cc. dry Et2O treated with 50 g. Br gave 84 g. EtO2CCMe(COCH2Br)CH2CH2CO2Et (XXII), yellow oil. XXII (84 g.) condensed with 56 g. MeNHPh, and the product cyclized yielded 42 g. di-Et ester of 2-methyl-2-(1-methyl-3-indolyl)glutaric acid (XXIII), b0.05 190-200°, which saponified gave 14.6 g. XXIII, m. 157° (EtOH). XXIII (4 g.) with 70 cc. NH4OH gave 1.8 g. imide (XXIV) of XXIII, m. 153°. XXIII (4 g.) with 55 cc. 33% aqueous MeNH2 gave 2 g. 1-Me derivative of XXIV, m. 142° (EtOH). The indolylglutarimides were less active as anticonvulsants than the succinimides. The indolylpiperidines exhibited the same toxicity as the corresponding pyrrolines; their antiserotonine activity in the rat uterus test was moderate; the most active one was XIIa. XII and XIV exhibited a prolonged sedative activity; XII was also active as an analgesic (1/5 as active as morphine).

IT **97045-86-8**, Indole, 1-methyl-3-(3-piperidyl)-, hydrochloride **97359-18-7**, Indole, 1-methyl-3-(1-methyl-3-piperidyl)-, hydrochloride **97376-04-0**, Indole, 5-methoxy-1-methyl-3-(3-piperidyl)-, hydrochloride **100105-92-8**, Indole, 1-benzyl-3-(1-methyl-3-piperidyl)-, hydrochloride **100105-94-0**,

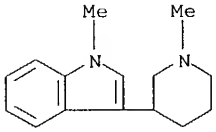
Indole, 3-(1-benzyl-3-piperidyl)-1-methyl-, hydrochloride **106506-22-3**, Indole, 5-methoxy-1-methyl-3-(1-methyl-3-piperidyl)-, hydrochloride **106545-92-0**, Indole, 3-(1-benzyl-3-piperidyl)-5-methoxy-1-methyl-, hydrochloride
(preparation of)

RN 97045-86-8 CAPLUS
CN Indole, 1-methyl-3-(3-piperidyl)-, hydrochloride (7CI) (CA INDEX NAME)



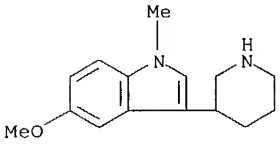
●x HCl

RN 97359-18-7 CAPLUS
CN Indole, 1-methyl-3-(1-methyl-3-piperidyl)-, hydrochloride (7CI) (CA INDEX NAME)



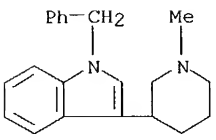
●x HCl

RN 97376-04-0 CAPLUS
CN Indole, 5-methoxy-1-methyl-3-(3-piperidyl)-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

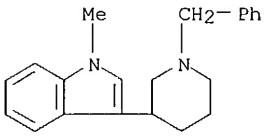
RN 100105-92-8 CAPLUS
CN Indole, 1-benzyl-3-(1-methyl-3-piperidyl)-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

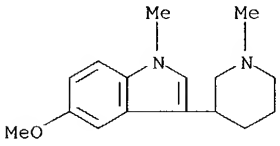
10691937

RN 100105-94-0 CAPLUS
CN Indole, 3-(1-benzyl-3-piperidyl)-1-methyl-, hydrochloride (7CI) (CA INDEX NAME)



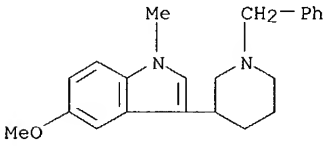
●x HCl

RN 106506-22-3 CAPLUS
CN Indole, 5-methoxy-1-methyl-3-(1-methyl-3-piperidyl)-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 106545-92-0 CAPLUS
CN Indole, 3-(1-benzyl-3-piperidyl)-5-methoxy-1-methyl-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

10691937

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L15 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:951016 CAPLUS
DN 139:395809
TI New indolylpiperidine derivatives as potent antihistaminic and antiallergic agents
IN Fonquerna Pou, Silvia; Pages Santacana, Luis Miguel
PA Almirall Prodesfarma S.A., Spain
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099807	A1	20031204	WO 2003-EP5222	20030519
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OS MARPAT 139:395809
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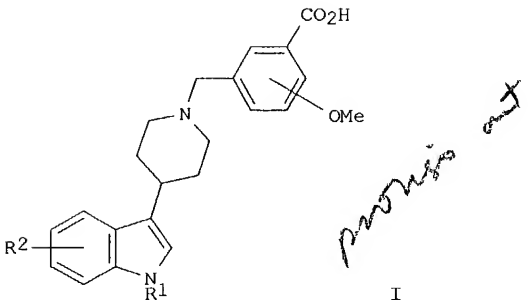
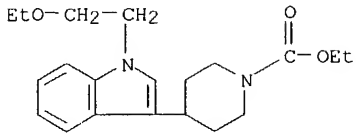


I

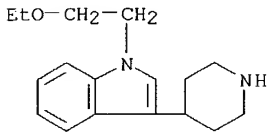
AB New potent and selective antagonists of H1 histamine receptors having the general formula I and pharmaceutically acceptable salts thereof are prepared wherein R1 represents an alkyl, alkenyl, alkoxyalkyl or cycloalkylalkyl group; R2 represents a hydrogen or halogen atom; the methoxy group substituting the benzoic acid is in position ortho with respect to the carboxy group. Thus, a mixture of 1.9 g 5-bromomethyl-2-methoxybenzoic acid Me ester in 5 mL Me iso-Bu ketone, 1.8 g 1-(2-ethoxyethyl)-3-piperidin-4-yl-1H-indole, 1.8 g potassium carbonate in 45 mL Me iso-Bu ketone was heated at 60° for 20 h to give 0.77 g 5-{4-[1-(2-ethoxyethyl)-1H-indol-3-yl]piperidin-1-ylmethyl}-2-methoxybenzoic acid having H1 bind IC50 comparable or slightly higher and the affinity for **5HT**-2 receptors lower compared to those of structurally similar indolylpiperidines without the ortho-methoxy group.

IT **312631-13-3P 312631-14-4P 627098-95-7P 627098-97-9P 627098-98-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; in preparation of indolylpiperidine derivs. as potent antihistaminic and antiallergic agents)

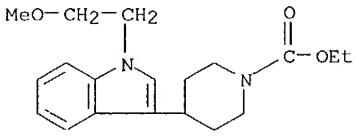
RN 312631-13-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-ethoxyethyl)-1H-indol-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



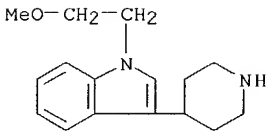
RN 312631-14-4 CAPLUS
CN 1H-Indole, 1-(2-ethoxyethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



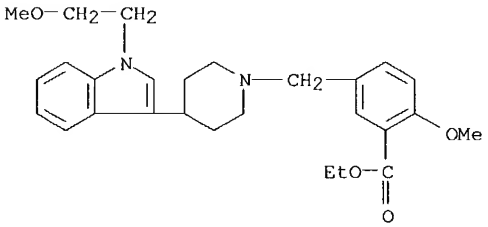
RN 627098-95-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-methoxyethyl)-1H-indol-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 627098-97-9 CAPLUS
CN 1H-Indole, 1-(2-methoxyethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



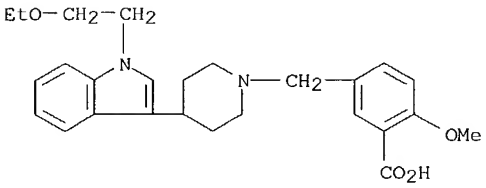
RN 627098-98-0 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(2-methoxyethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



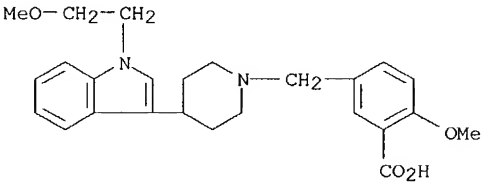
IT **627097-65-8P 627097-67-0P 627097-68-1P**
627097-69-2P 627097-70-5P 627097-71-6P
627097-72-7P 627097-73-8P 627097-74-9P
627097-75-0P 627097-76-1P 627097-77-2P
627097-78-3P 627097-79-4P 627097-80-7P
627098-90-2P 627098-91-3P 627098-92-4P
627098-93-5P 627098-94-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(new indolylpiperidine derivs. as potent antihistaminic and antiallergic agents)

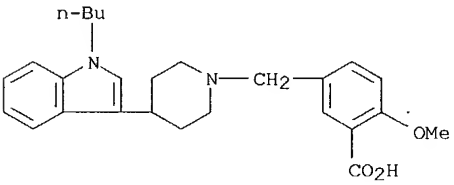
RN 627097-65-8 CAPLUS
CN Benzoic acid, 5-[[4-[1-(2-ethoxyethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



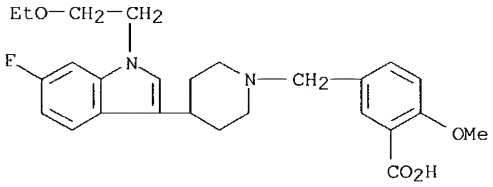
RN 627097-67-0 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(2-methoxyethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



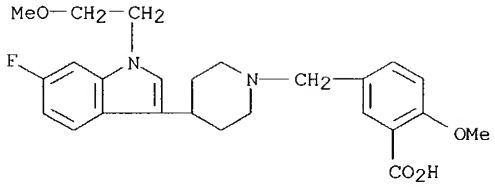
RN 627097-68-1 CAPLUS
CN Benzoic acid, 5-[[4-(1-butyl-1H-indol-3-yl)-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



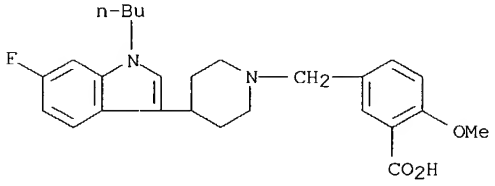
RN 627097-69-2 CAPLUS
CN Benzoic acid, 5-[[4-[1-(2-ethoxyethyl)-6-fluoro-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



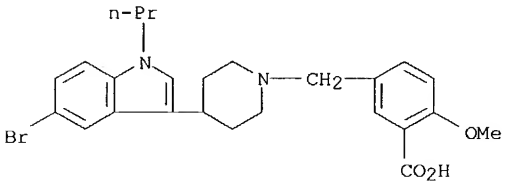
RN 627097-70-5 CAPLUS
CN Benzoic acid, 5-[[4-[6-fluoro-1-(2-methoxyethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



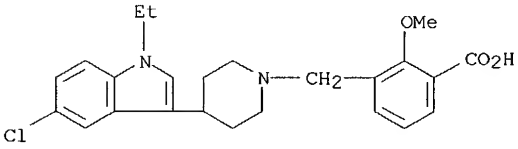
RN 627097-71-6 CAPLUS
CN Benzoic acid, 5-[[4-(1-butyl-6-fluoro-1H-indol-3-yl)-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



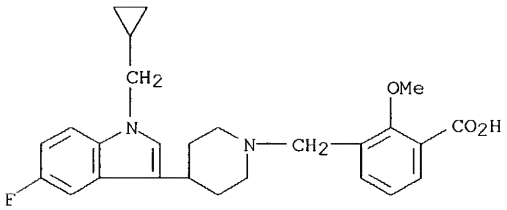
RN 627097-72-7 CAPLUS
CN Benzoic acid, 5-[[4-(5-bromo-1-propyl-1H-indol-3-yl)-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 627097-73-8 CAPLUS
CN Benzoic acid, 3-[[4-(5-chloro-1-ethyl-1H-indol-3-yl)-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)

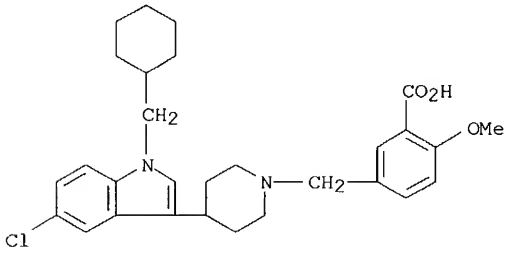


RN 627097-74-9 CAPLUS
CN Benzoic acid, 3-[[4-[1-(cyclopropylmethyl)-5-fluoro-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)

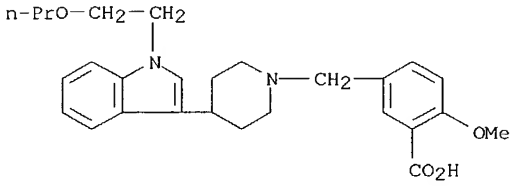


RN 627097-75-0 CAPLUS

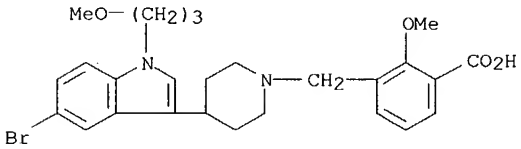
CN Benzoic acid, 5-[[4-[5-chloro-1-(cyclohexylmethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



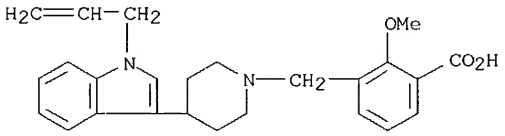
RN 627097-76-1 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(2-propoxyethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



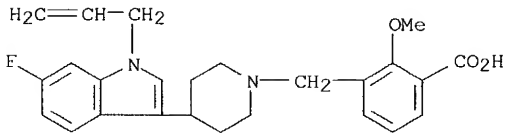
RN 627097-77-2 CAPLUS
CN Benzoic acid, 3-[[4-[5-bromo-1-(3-methoxypropyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



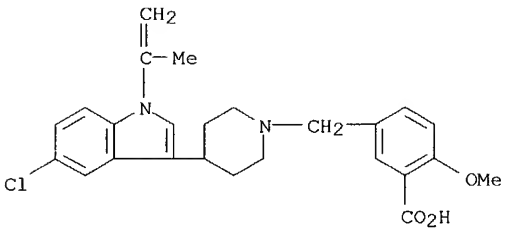
RN 627097-78-3 CAPLUS
CN Benzoic acid, 2-methoxy-3-[[4-[1-(2-propenyl)-1H-indol-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



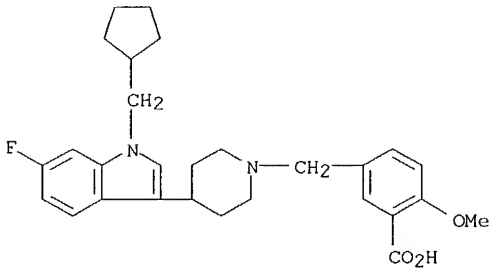
RN 627097-79-4 CAPLUS
CN Benzoic acid, 3-[[4-[6-fluoro-1-(2-propenyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



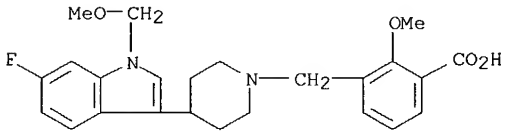
RN 627097-80-7 CAPLUS
CN Benzoic acid, 5-[[4-[5-chloro-1-(1-methylethenyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



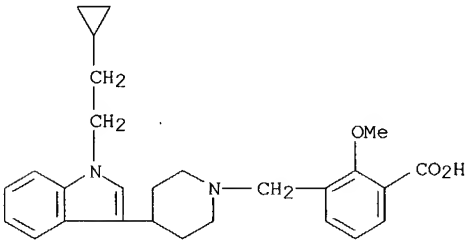
RN 627098-90-2 CAPLUS
CN Benzoic acid, 5-[[4-[1-(cyclopentylmethyl)-6-fluoro-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



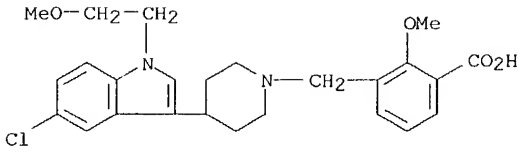
RN 627098-91-3 CAPLUS
CN Benzoic acid, 3-[[4-[6-fluoro-1-(methoxymethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



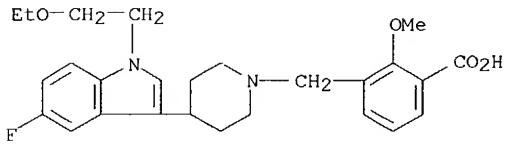
RN 627098-92-4 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-cyclopropylethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 627098-93-5 CAPLUS
CN Benzoic acid, 3-[[4-[5-chloro-1-(2-methoxyethyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 627098-94-6 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-ethoxyethyl)-5-fluoro-1H-indol-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10691937

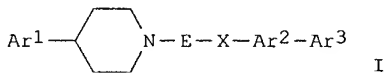
17 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:60507 CAPLUS
DN 140:128279
TI Preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia
IN Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004007493	A1	20040122	WO 2003-EP7617	20030711

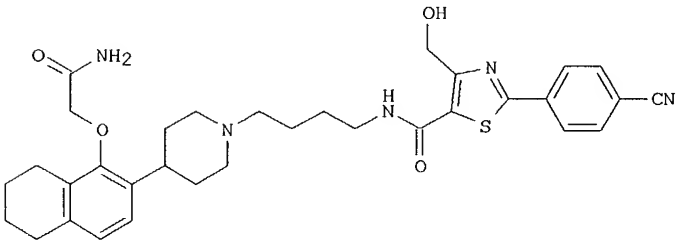
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-16230 A 20020712
OS MARPAT 140:128279
GI



I



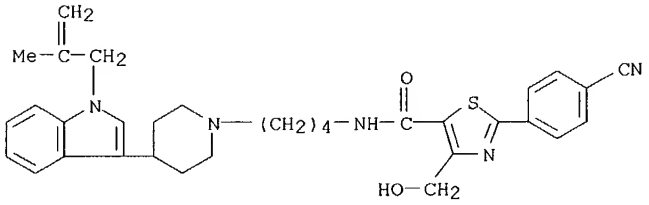
II

AB The title compds. [I; Ar1 = Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar2 = Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar3 = Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene; X = CONR2, NR2CO; R2 = alkyl, H] which up-regulate LDL receptor (LDL-r) expression, were prepared More particularly, this invention relates to the compds. I wherein Ar1 is substituted by at least one R1 group selected from O(CRaRb)nC(O)NRxRy, O(CH2)nCN, O(CH2)nO(CH2)mOR2, O(CH2)nCO2R2, OSO2NRxRy, OSO2(CH2)pCH3, (CRaRb)nCONRxRy, (CH2)nCN, (CH2)nO(CH2)mOR2, (CH2)nCO2R2, (CH2)nCOR2, SO2NRxRy, SO2(CH2)pCH3, CH:CHCONRxRy, CH:CHCN, CH:CHCO2R2, CO2R2, COR2, CONRxRy and alkenyl (wherein Rx, Ry = H, alkyl; Ra, Rb = H, alkyl, cycloalkyl, where Ra and Rb are not both cycloalkyl; n, m = 1-4; p = 0-4); and Ar2 is substituted by 1-4 groups independently selected from the group consisting of: (CH2)nOH and CO2(CH2)pCH3. E.g., a multi-step synthesis of II which showed EC50 of 26 nM in the luciferase assay, was given. The pharmaceutical composition comprising the title compound I is claimed.

IT **648882-52-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

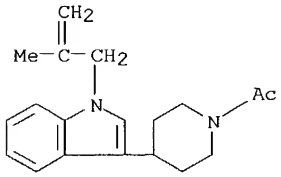
RN 648882-52-4 CAPLUS

CN 5-Thiazolecarboxamide, 2-(4-cyanophenyl)-4-(hydroxymethyl)-N-[4-[4-[1-(2-methyl-2-propenyl)-1H-indol-3-yl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

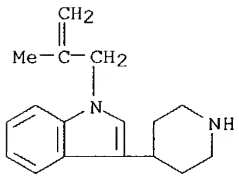


IT **648882-71-7P 648882-72-8P 648882-73-9P 648882-74-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

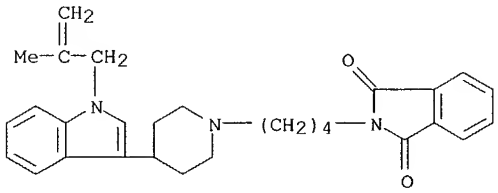
RN 648882-71-7 CAPLUS
CN Piperidine, 1-acetyl-4-[1-(2-methyl-2-propenyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



RN 648882-72-8 CAPLUS
CN 1H-Indole, 1-(2-methyl-2-propenyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)

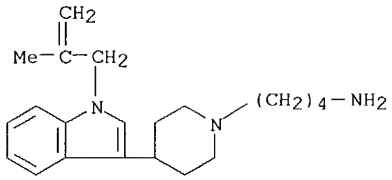


RN 648882-73-9 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4-[1-(2-methyl-2-propenyl)-1H-indol-3-yl]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



RN 648882-74-0 CAPLUS
CN 1-Piperidinebutanamine, 4-[1-(2-methyl-2-propenyl)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

10691937

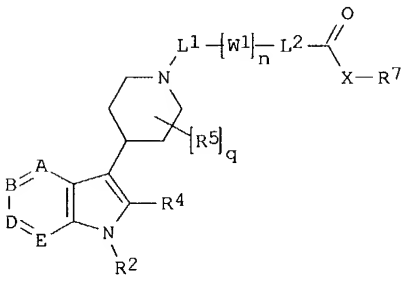


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:796703 CAPLUS
DN 139:307748
TI Preparation of azaindolylpiperidines as antihistaminic and antiallergic agents
IN Fonquerna Pou, Silvia; Pages Santacana, Luis Miguel; Puig Duran, Carlos; Cardus Figueras, Aranzazu
PA Almirall Prodesfarma S.A., Spain; Prieto Soto, Jose Manuel
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082867	A1	20031009	WO 2003-EP3377	20030401
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	ES 2201899	A1	20040316	ES 2002-753	20020401
PRAI	ES 2002-753	A	20020401		

OS MARPAT 139:307748
GI



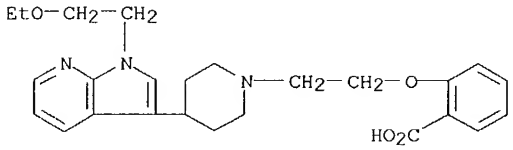
I

AB The title compds. [I; A, B, D and E = N, CR1 (with the proviso that at least one of A, B, D or E = N); R1 = H, halo, OH, etc; R2 = H, L3(W2)p; L1-L3 = a bond, (un)saturated hydrocarbon chain optionally containing 1-3 groups selected from S, O, NR3 (R3 = H, alkyl); R4, R5 = H, halo, OH, etc.; X = O, NR6; R6, R7 = H, alkyl, alkenyl, etc.; W1, W2 = (un)substituted 3-7 membered (non)aromatic ring containing 0-4 heteroatoms selected from N, O and S, which is optionally fused to another 3-7 membered (non)aromatic (hetero)cycle; n, p = 0-1; q = 1-9] which are new potent and selective antagonists of H1 histamine receptors, were prepared and formulated. E.g., a multi-step synthesis of 3-{4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]piperidin-1-ylmethyl}benzoic acid which showed IC50 of 240

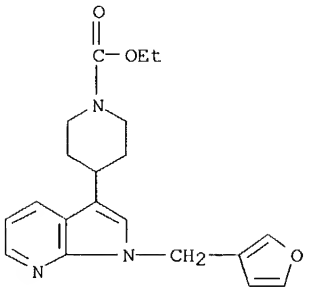
nM against histamine H1 receptor binding, was given.

IT **612096-75-0P 612097-78-6P 612097-80-0P**
612097-81-1P 612097-86-6P 612097-87-7P
612097-88-8P 612097-91-3P 612097-92-4P
612097-96-8P 612097-98-0P 612097-99-1P
612098-05-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

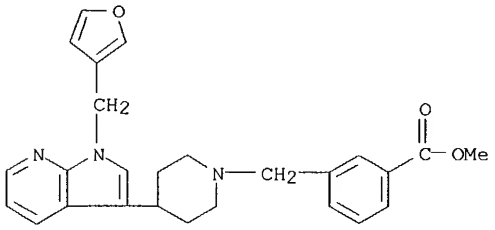
RN 612096-75-0 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



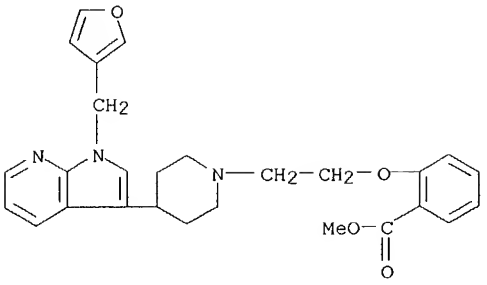
RN 612097-78-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



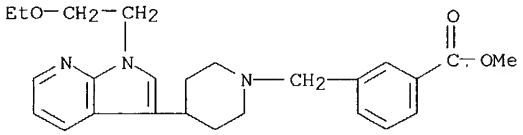
RN 612097-80-0 CAPLUS
CN Benzoic acid, 3-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



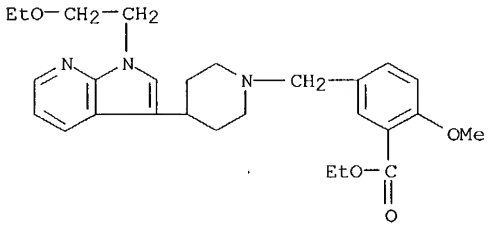
RN 612097-81-1 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



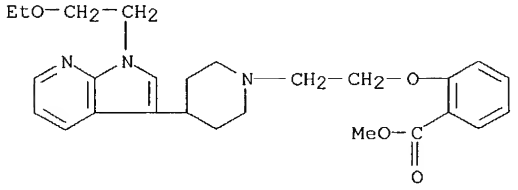
RN 612097-86-6 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



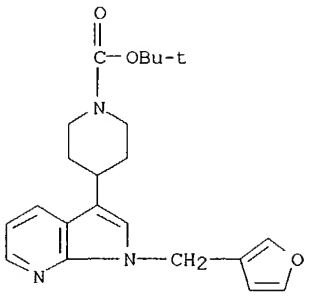
RN 612097-87-7 CAPLUS
CN Benzoic acid, 5-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy-, ethyl ester (9CI) (CA INDEX NAME)



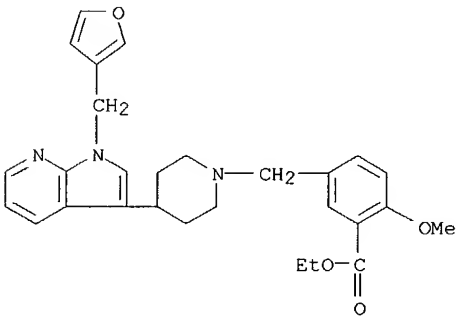
RN 612097-88-8 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



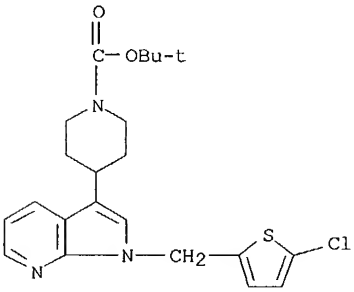
RN 612097-91-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



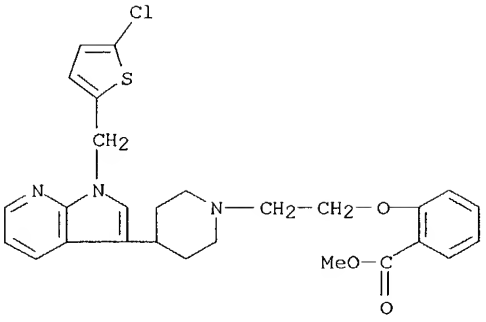
RN 612097-92-4 CAPLUS
CN Benzoic acid, 5-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy-, ethyl ester (9CI) (CA INDEX NAME)



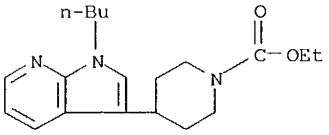
RN 612097-96-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



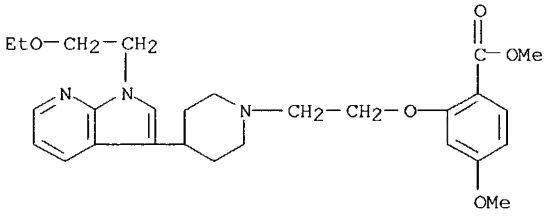
RN 612097-98-0 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 612097-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 612098-05-2 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-4-methoxy-, methyl ester (9CI) (CA INDEX NAME)



IT **612096-70-5P 612096-71-6P 612096-72-7P**
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612096-80-7P 612096-81-8P 612096-82-9P
612096-83-0P 612096-84-1P 612096-85-2P
612096-86-3P 612096-87-4P 612096-88-5P
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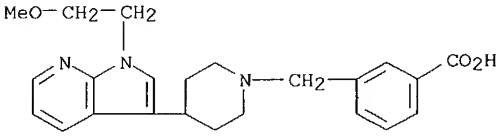
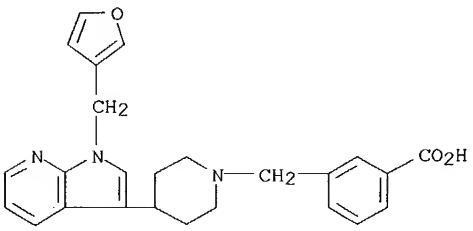
612097-34-4P 612097-35-5P 612097-36-6P
612097-37-7P 612097-38-8P 612097-71-9P
612098-24-5P 612098-25-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

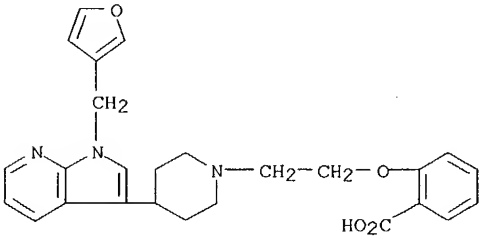
RN 612096-70-5 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



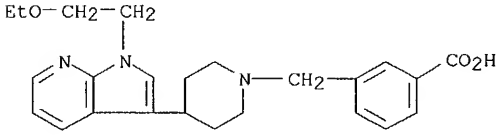
RN 612096-71-6 CAPLUS
CN Benzoic acid, 3-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



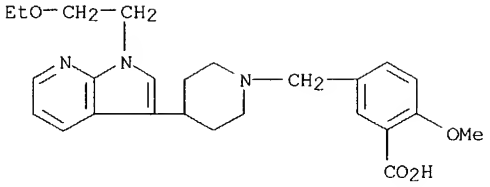
RN 612096-72-7 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



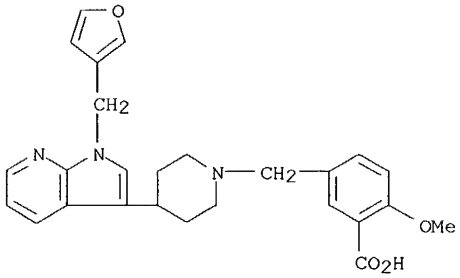
RN 612096-73-8 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



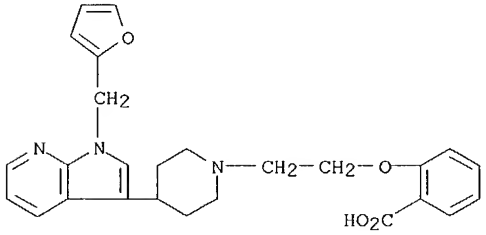
RN 612096-74-9 CAPLUS
CN Benzoic acid, 5-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



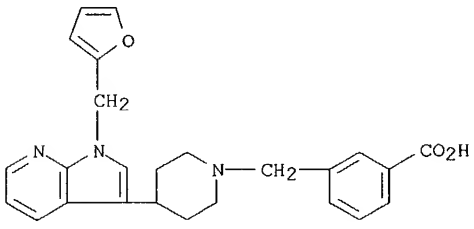
RN 612096-76-1 CAPLUS
CN Benzoic acid, 5-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



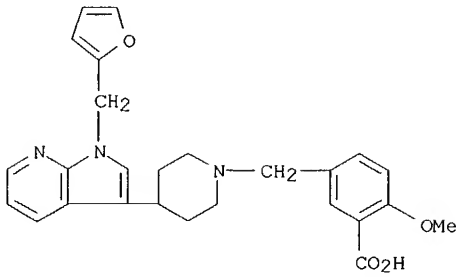
RN 612096-77-2 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



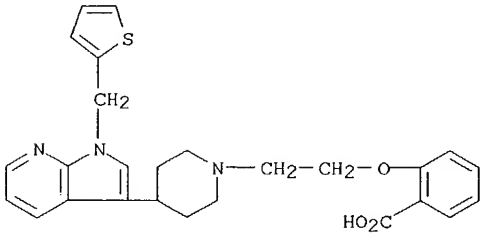
RN 612096-78-3 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



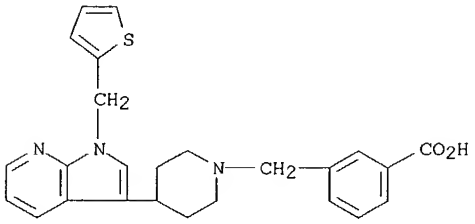
RN 612096-79-4 CAPLUS
CN Benzoic acid, 5-[[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



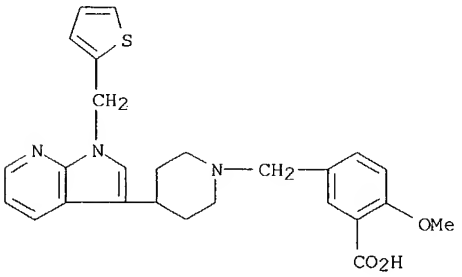
RN 612096-80-7 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-thienylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



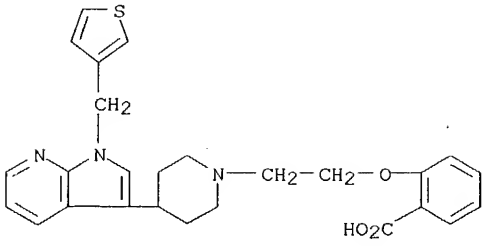
RN 612096-81-8 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-thienylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



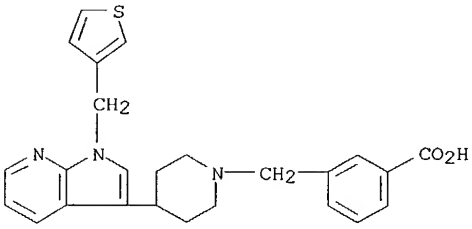
RN 612096-82-9 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(2-thienylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



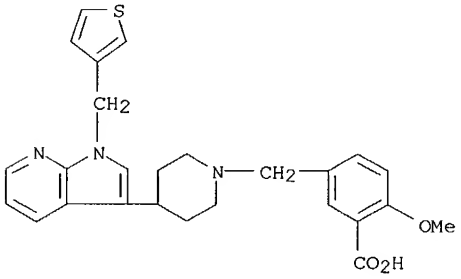
RN 612096-83-0 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(3-thienylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



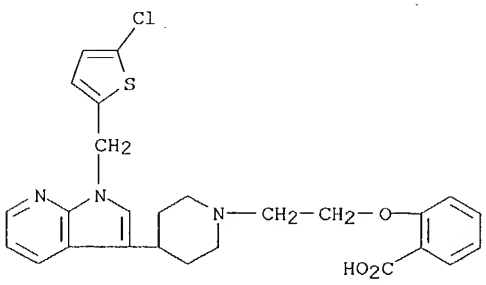
RN 612096-84-1 CAPLUS
CN Benzoic acid, 3-[[4-[1-(3-thienylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



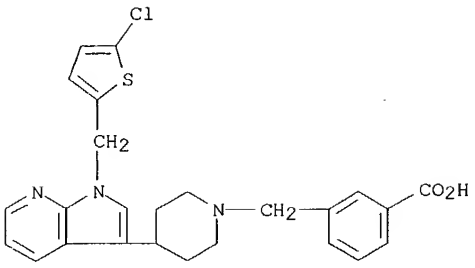
RN 612096-85-2 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(3-thienylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



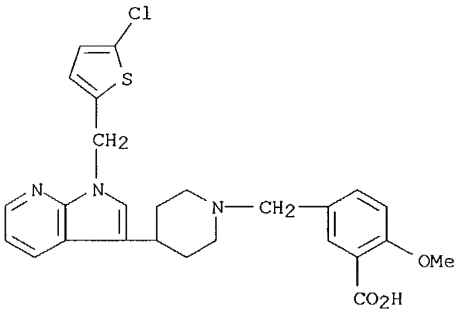
RN 612096-86-3 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



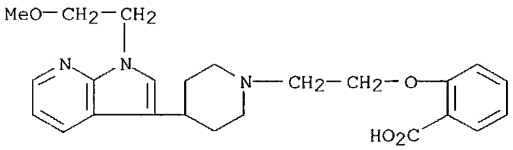
RN 612096-87-4 CAPLUS
CN Benzoic acid, 3-[[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



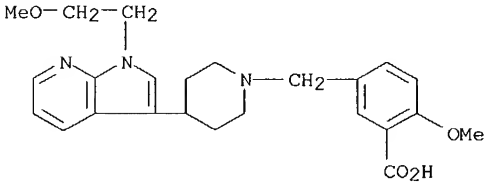
RN 612096-88-5 CAPLUS
CN Benzoic acid, 5-[[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



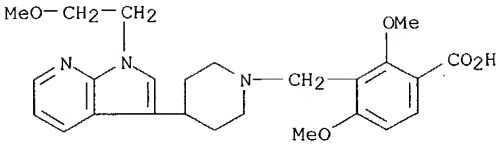
RN 612096-89-6 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



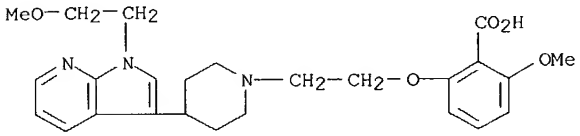
RN 612096-90-9 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



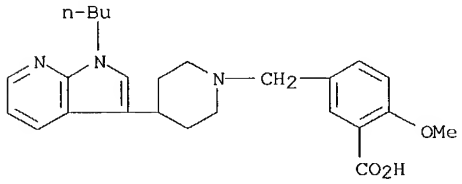
RN 612096-91-0 CAPLUS
CN Benzoic acid, 2,4-dimethoxy-3-[[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



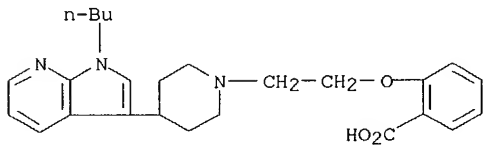
RN 612096-92-1 CAPLUS
CN Benzoic acid, 2-methoxy-6-[2-[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



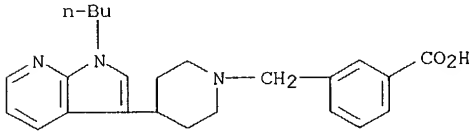
RN 612096-93-2 CAPLUS
CN Benzoic acid, 5-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



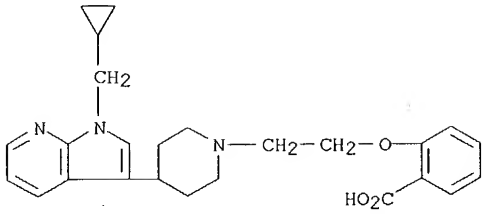
RN 612096-94-3 CAPLUS
CN Benzoic acid, 2-[2-[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



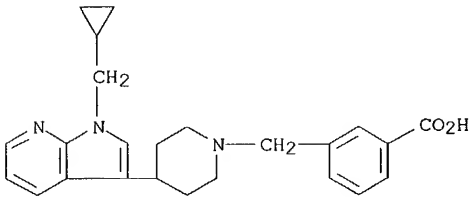
RN 612096-95-4 CAPLUS
CN Benzoic acid, 3-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



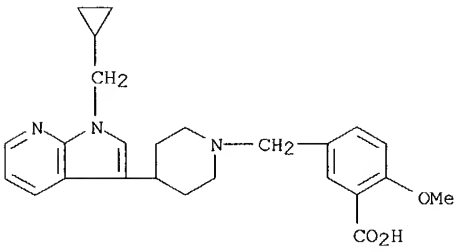
RN 612096-96-5 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(cyclopropylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



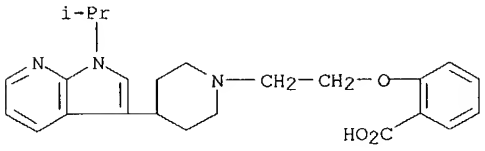
RN 612096-97-6 CAPLUS
CN Benzoic acid, 3-[[4-[1-(cyclopropylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



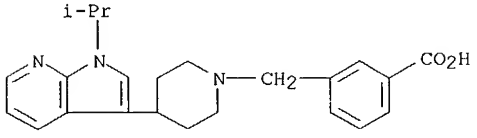
RN 612096-98-7 CAPLUS
CN Benzoic acid, 5-[[4-[1-(cyclopropylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



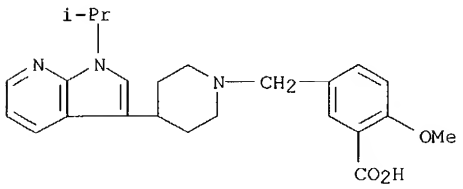
RN 612096-99-8 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(1-methylethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



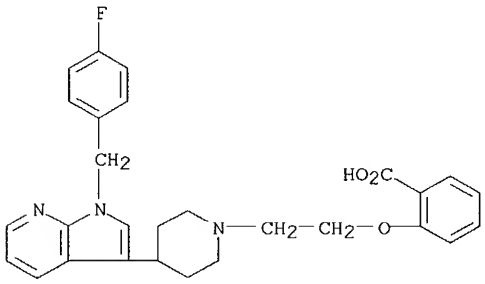
RN 612097-00-4 CAPLUS
CN Benzoic acid, 3-[[4-[1-(1-methylethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



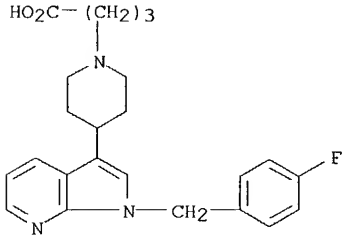
RN 612097-01-5 CAPLUS
CN Benzoic acid, 2-methoxy-5-[[4-[1-(1-methylethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



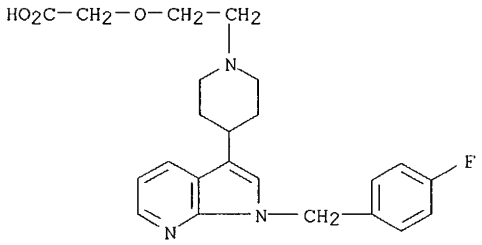
RN 612097-02-6 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-[(4-fluorophenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



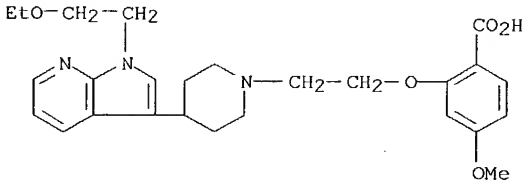
RN 612097-03-7 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[1-[(4-fluorophenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



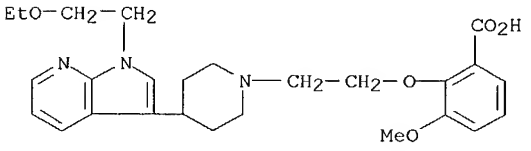
RN 612097-04-8 CAPLUS
CN Acetic acid, [2-[4-[1-[(4-fluorophenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



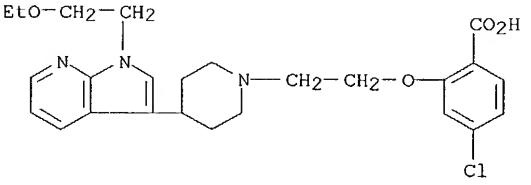
RN 612097-05-9 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)



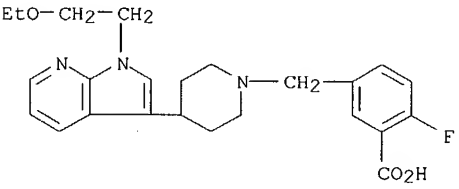
RN 612097-06-0 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)



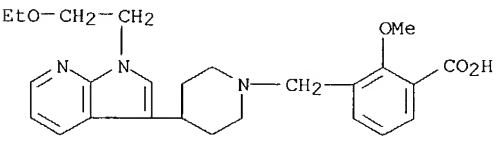
RN 612097-07-1 CAPLUS
CN Benzoic acid, 4-chloro-2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



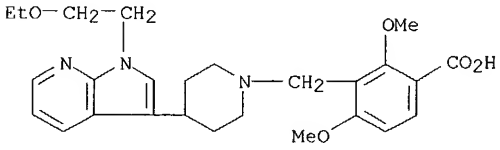
RN 612097-08-2 CAPLUS
CN Benzoic acid, 5-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



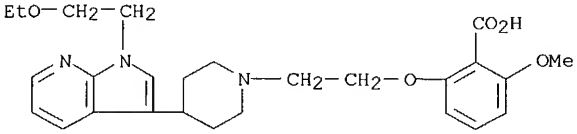
RN 612097-09-3 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



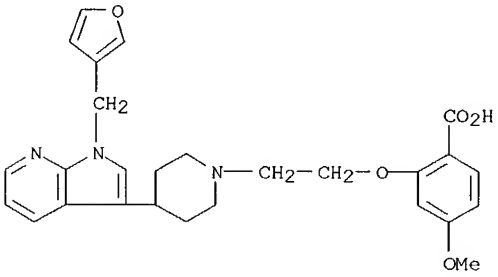
RN 612097-10-6 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



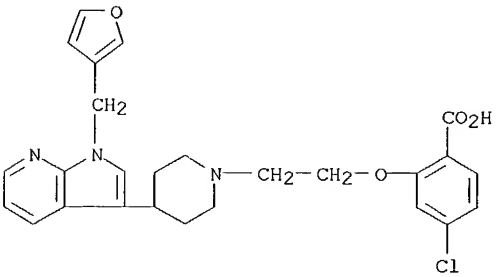
RN 612097-11-7 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



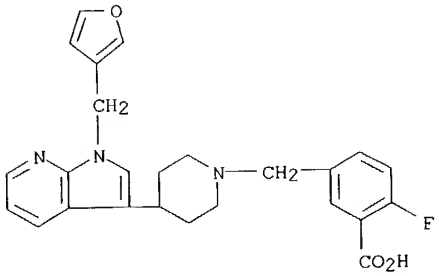
RN 612097-12-8 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)



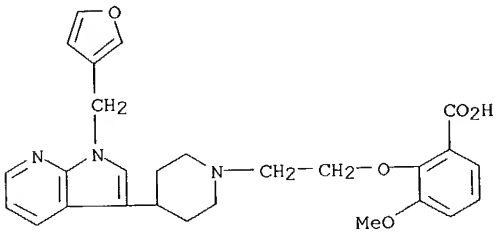
RN 612097-13-9 CAPLUS
CN Benzoic acid, 4-chloro-2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



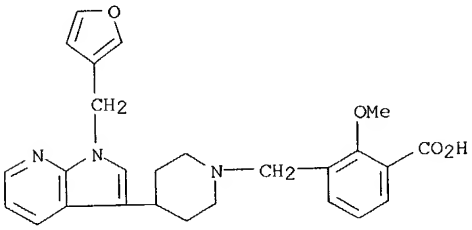
RN 612097-14-0 CAPLUS
CN Benzoic acid, 2-fluoro-5-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



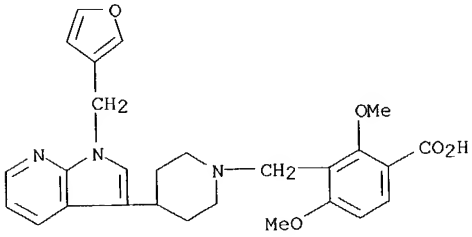
RN 612097-15-1 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)



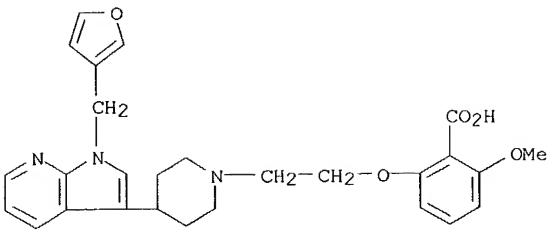
RN 612097-16-2 CAPLUS
CN Benzoic acid, 3-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



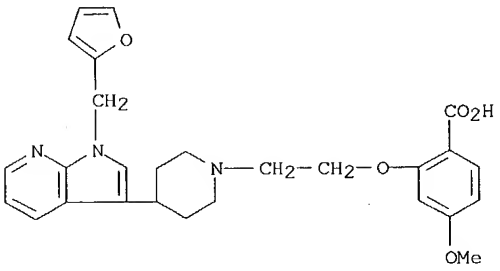
RN 612097-17-3 CAPLUS
CN Benzoic acid, 3-[[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



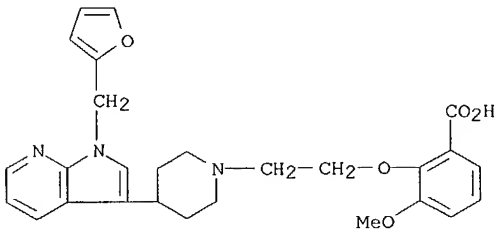
RN 612097-18-4 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



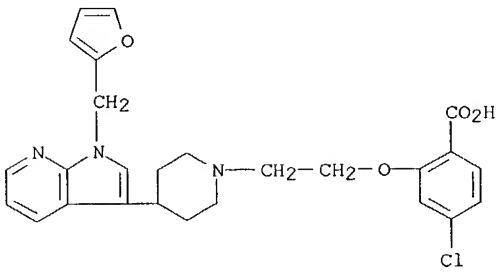
RN 612097-19-5 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)



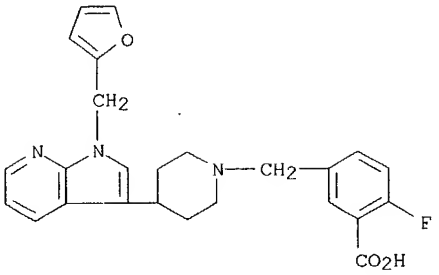
RN 612097-20-8 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)



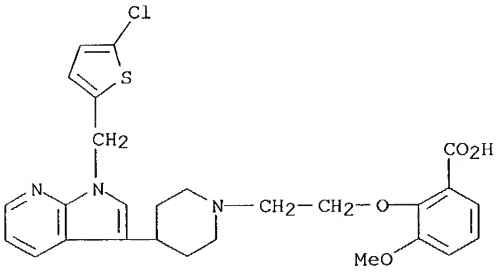
RN 612097-21-9 CAPLUS
CN Benzoic acid, 4-chloro-2-[2-[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



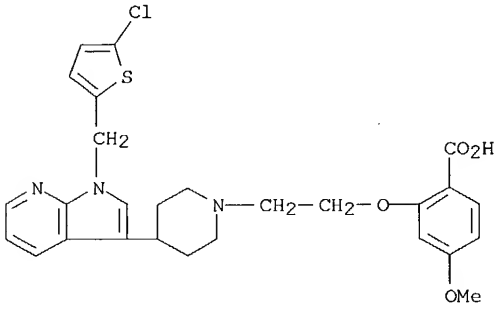
RN 612097-22-0 CAPLUS
CN Benzoic acid, 2-fluoro-5-[[4-[1-(2-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



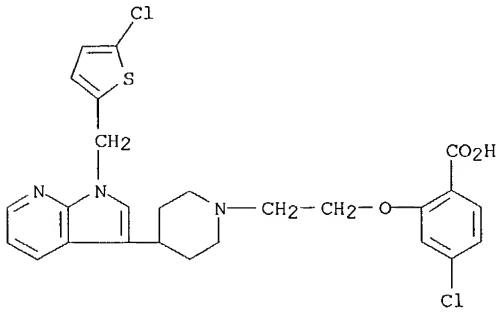
RN 612097-23-1 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)



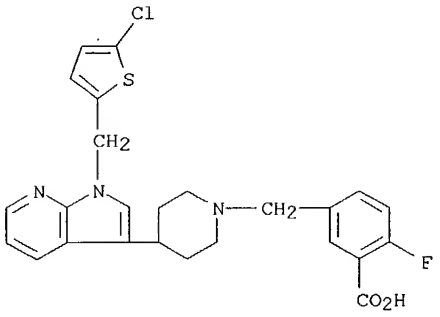
RN 612097-24-2 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)



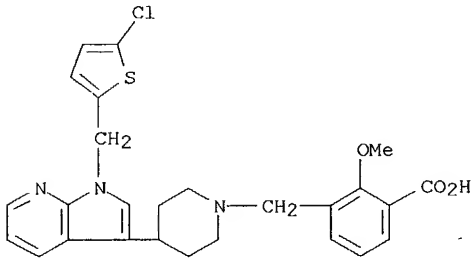
RN 612097-25-3 CAPLUS
CN Benzoic acid, 4-chloro-2-[2-[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



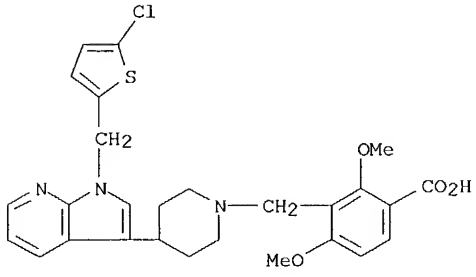
RN 612097-26-4 CAPLUS
CN Benzoic acid, 5-[[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



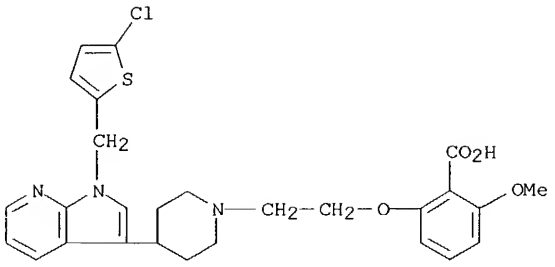
RN 612097-27-5 CAPLUS
CN Benzoic acid, 3-[[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



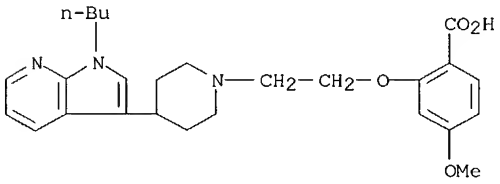
RN 612097-28-6 CAPLUS
CN Benzoic acid, 3-[[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



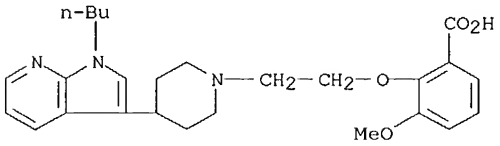
RN 612097-29-7 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



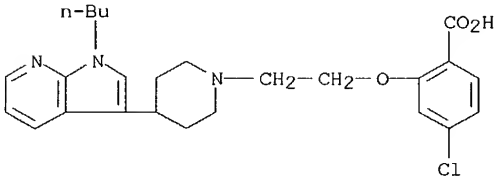
RN 612097-30-0 CAPLUS
CN Benzoic acid, 2-[2-[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)



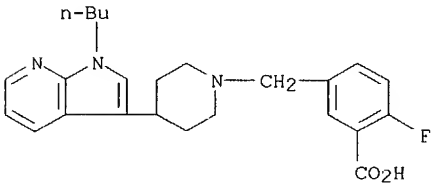
RN 612097-31-1 CAPLUS
CN Benzoic acid, 2-[2-[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)



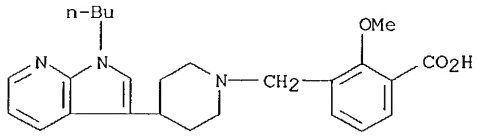
RN 612097-32-2 CAPLUS
CN Benzoic acid, 2-[2-[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]ethoxy]-4-chloro- (9CI) (CA INDEX NAME)



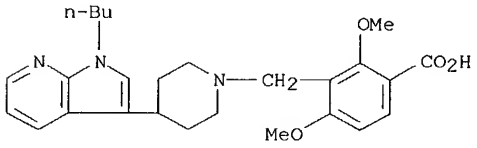
RN 612097-33-3 CAPLUS
CN Benzoic acid, 5-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]-2-fluoro- (9CI) (CA INDEX NAME)



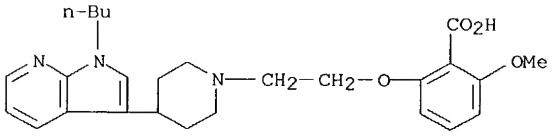
RN 612097-34-4 CAPLUS
CN Benzoic acid, 3-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



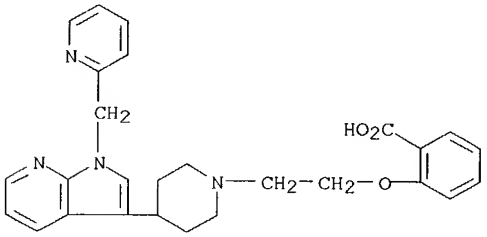
RN 612097-35-5 CAPLUS
CN Benzoic acid, 3-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



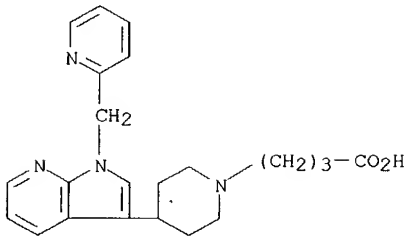
RN 612097-36-6 CAPLUS
CN Benzoic acid, 2-[2-[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



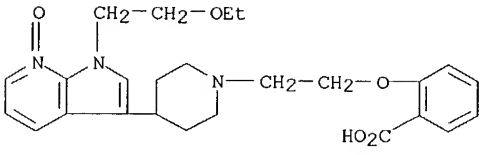
RN 612097-37-7 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-pyridinylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



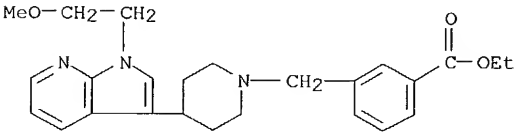
RN 612097-38-8 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[1-(2-pyridinylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



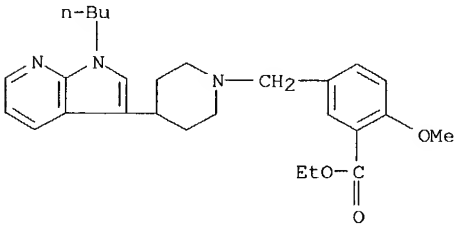
RN 612097-71-9 CAPLUS
CN Benzoic acid, 2-[2-[4-[1-(2-ethoxyethyl)-7-oxido-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)



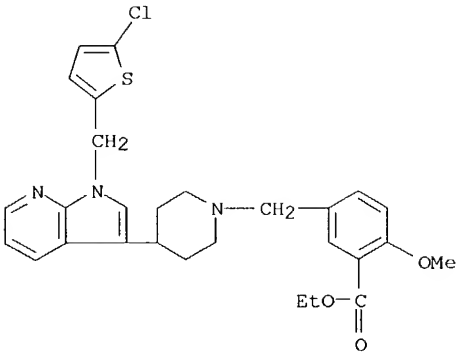
RN 612098-24-5 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 612098-25-6 CAPLUS
CN Benzoic acid, 5-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]-2-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

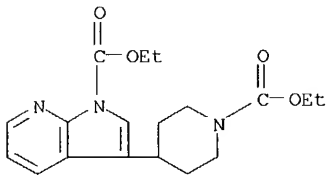


IT **612098-21-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)
RN 612098-21-2 CAPLUS
CN Benzoic acid, 5-[[4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-2-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

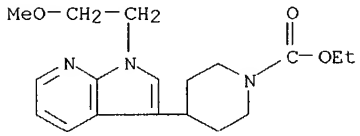


IT **612097-73-1P 612097-75-3P 612097-76-4P**
612097-77-5P 612097-79-7P 612097-84-4P
612097-85-5P 612097-90-2P 612097-93-5P
612097-94-6P 612097-95-7P 612097-97-9P
612098-00-7P 612098-01-8P 612098-02-9P
612098-03-0P 612098-04-1P 612098-06-3P
612098-07-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

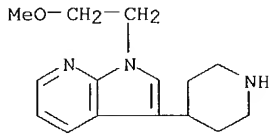
RN 612097-73-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[1-(ethoxycarbonyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 612097-75-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

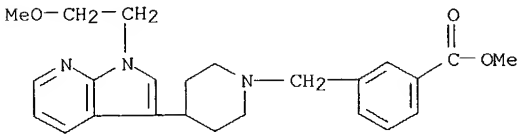


RN 612097-76-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2-methoxyethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)

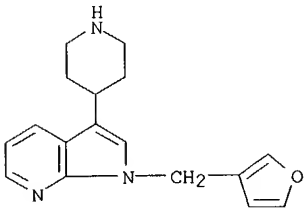


RN 612097-77-5 CAPLUS
CN Benzoic acid, 3-[[4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-

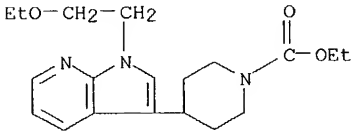
piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



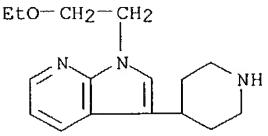
RN 612097-79-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(3-furanylmethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



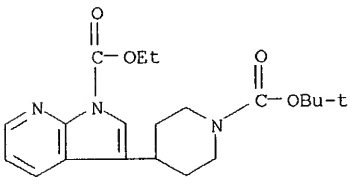
RN 612097-84-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 612097-85-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2-ethoxyethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)

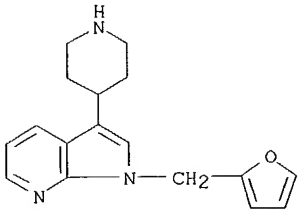


RN 612097-90-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

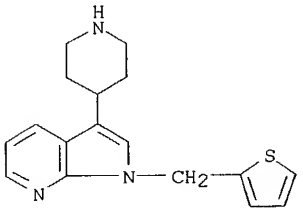


RN 612097-93-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(2-furanylmethyl)-3-(4-piperidinyl)- (9CI)

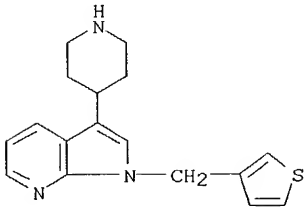
(CA INDEX NAME)



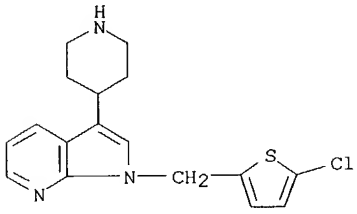
RN 612097-94-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(4-piperidinyl)-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



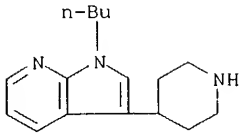
RN 612097-95-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(4-piperidinyl)-1-(3-thienylmethyl)- (9CI) (CA INDEX NAME)



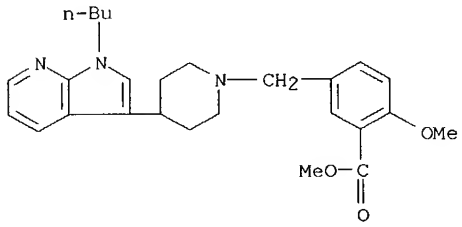
RN 612097-97-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(5-chloro-2-thienyl)methyl]-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



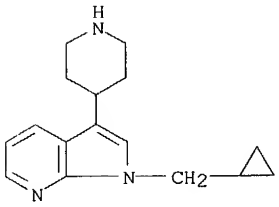
RN 612098-00-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-butyl-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



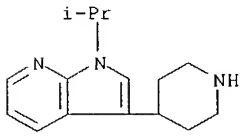
RN 612098-01-8 CAPLUS
CN Benzoic acid, 5-[[4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-1-piperidinyl]methyl]-2-methoxy-, methyl ester (9CI) (CA INDEX NAME)



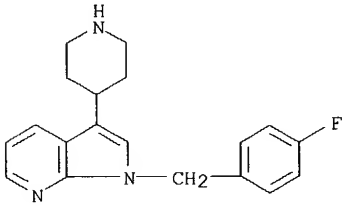
RN 612098-02-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(cyclopropylmethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 612098-03-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(1-methylethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



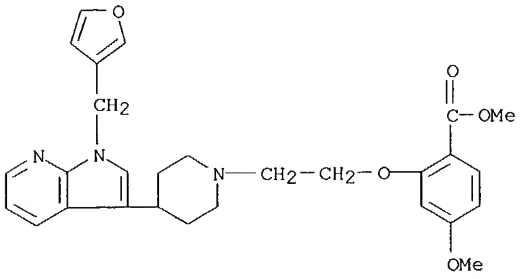
RN 612098-04-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



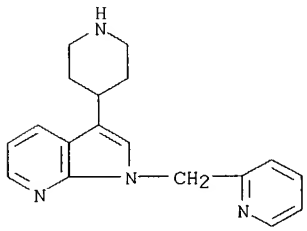
RN 612098-06-3 CAPLUS

10691937

CN Benzoic acid, 2-[2-[4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]ethoxy]-4-methoxy-, methyl ester (9CI) (CA INDEX NAME)



RN 612098-07-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 3-(4-piperidinyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:184900 CAPLUS
DN 136:247577
TI Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
IN Cai, Hui; Edwards, James P.; Gu, Yin; Karlsson, Lars; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Thurmond, Robin L.; Wei, Jianmei
PA Ortho McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020013	A2	20020314	WO 2001-US27480	20010905
	WO 2002020013	A3	20020620		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002040019	A1	20020404	US 2001-927188	20010810
	US 6635633	B2	20031021		
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	EP 1315492	A2	20030604	EP 2001-968487	20010905
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	JP 2004508330	T2	20040318	JP 2002-524497	20010905
PRAI	US 2000-230407P	P	20000906		
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	US 2000-225178P	P	20000814		

10691937

WO 2001-US27480 W 20010905
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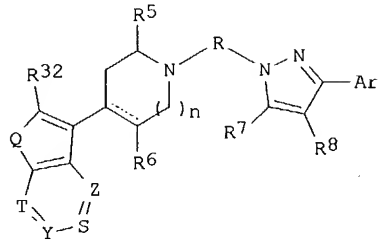
GI



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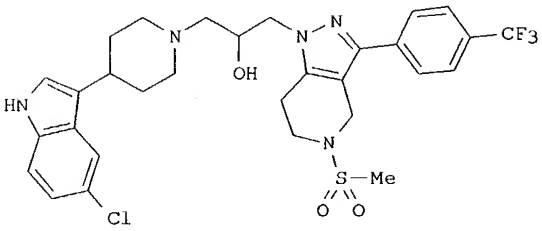
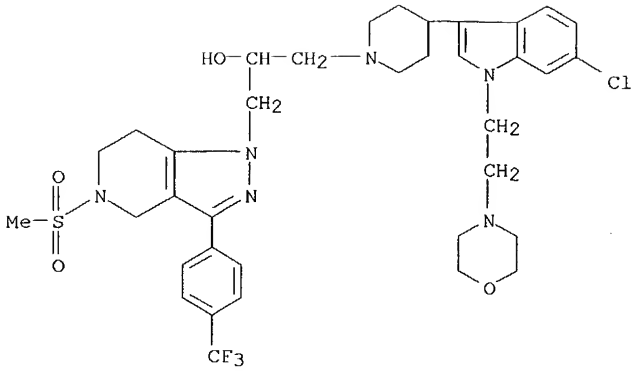


II

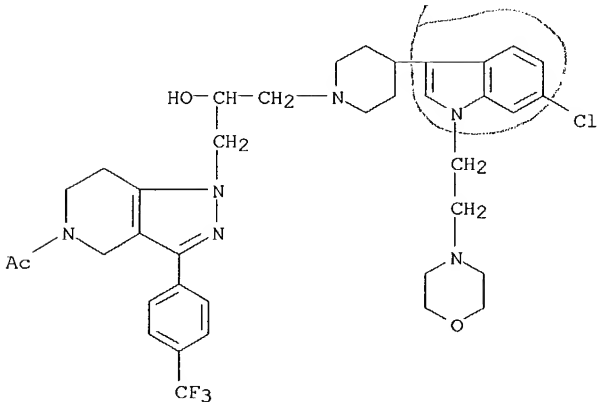
AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; Q = O, S, or (un)substituted N; S, T, Y, and Z = independently N or (un)substituted C; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R7R8 = (un)substituted carbocyclic or heterocyclic ring; R32 = H, (hydroxy)alkyl, CN, acyl, carbamoyl, CHO, or alkoxycarbonyl; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, 1-methanesulfonylpiperidin-4-one (preparation given) was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CF3C6H4COCl, followed by cycloaddn. with H2NNH2, gave 5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazol[4,3-c]pyridine (72%). Alkylation with epichlorohydrin (35%) and addition of 5-chloro-3-piperidin-4-yl-1H-indole (preparation given) afforded II (88%). The latter inhibited recombinant human cathepsin S with IC50 of 0.07 μM.

IT **400801-36-7P**, 1-[4-[6-Chloro-1-(2-morpholin-4-yl-ethyl)-1H-indol-3-yl]-piperidin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol
400801-55-0P, 1-[1-(3-[4-[6-Chloro-1-(2-morpholin-4-yl-ethyl)-1H-indol-3-yl]-piperidin-1-yl]-2-hydroxy-propyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-ethanone
400801-62-9P, 1-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-[4-[1-(2-morpholin-4-yl-ethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-piperidin-1-yl]-propan-2-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiallergy agent; preparation of phenylpyrazolopyridine antiallergy agents from piperidinones, benzoyl chlorides, and hydrazine)

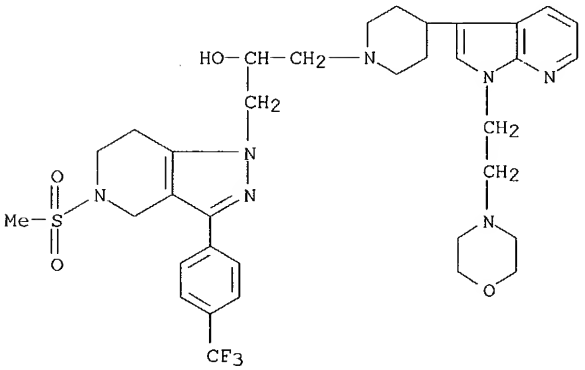
RN 400801-36-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, α-[[4-[6-chloro-1-[2-(4-morpholinyl)ethyl]-1H-indol-3-yl]-1-piperidinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 400801-55-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-α-[[4-[6-chloro-1-[2-(4-morpholinyl)ethyl]-1H-indol-3-yl]-1-piperidinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

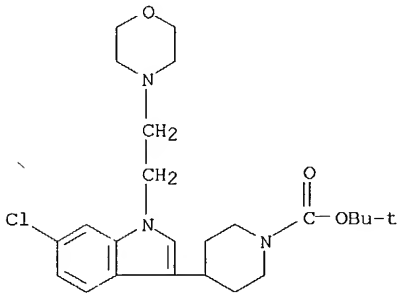


RN 400801-62-9 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-α-[[4-[1-[2-(4-morpholinyl)ethyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-1-piperidinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

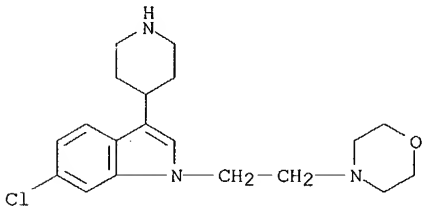


IT **400801-77-6P**, 4-[6-Chloro-1-(2-morpholin-4-yl-ethyl)-1H-indol-3-yl]-piperidine-1-carboxylic acid tert-butyl ester **400801-78-7P**, 6-Chloro-1-(2-morpholin-4-yl-ethyl)-3-piperidin-4-yl-1H-indole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpyrazolopyridine antiallergy agents from

piperidinones, benzoyl chlorides, and hydrazine)
RN 400801-77-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-chloro-1-[2-(4-morpholinyl)ethyl]-1H-indol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 400801-78-7 CAPLUS
CN 1H-Indole, 6-chloro-1-[2-(4-morpholinyl)ethyl]-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:736262 CAPLUS
DN 133:309845
TI Preparation of 1-(arylsulfonyl)-3-(tetrahydropyridinyl)indoles as 5-HT6 receptor inhibitors
IN Slassi, Abdelmalik; Edwards, Louise; O'Brien, Anne; Xin, Tao; Tehim, Ashok
PA Allelix Biopharmaceuticals Inc., Can.
SO U.S., 22 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6133287	A	20001017	US 1998-46669	19980324
	WO 2000063203	A1	20001026	WO 1999-CA342	19990421
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9934035	A1	20001102	AU 1999-34035	19990421
	EP 1173432	A1	20020123	EP 1999-915418	19990421
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-46669	A	19980324		
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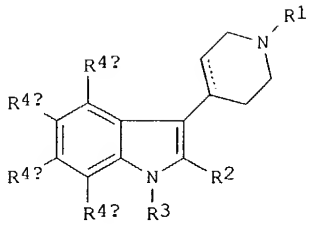
OS MARPAT 133:309845
GI



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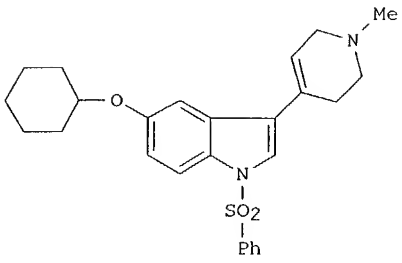


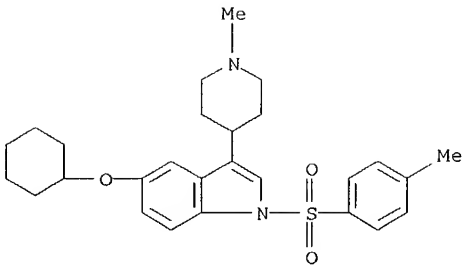
II

AB The title compds.(I) [wherein R1 = H or alkyl; R2 = H, alkyl, or benzyl; R3 = COR5 or SO2R5; R4a = H, OH, halo, alkyl, or alkoxy; R4b H, OH, halo, (cyclo)alkyloxy, alkyl, benzyloxy, phenoxy, trifluoromethyl, trifluoromethoxy, or vinyl; R4c and R4d = independently H, OH, halo, alkyl, or alkoxy; R5 = (un)substituted Ph, pyridyl, thienyl, quinolinyl, or naphthyl] were prepared as serotonin 5-HT6 receptor antagonists. For example, addition of Na bis(trimethylsilyl)amide to 5-cyclohexyloxy-3-(1-methyl-1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in THF followed by addition of PhSO2Cl yielded II (92%). In an assay assessing the binding affinity of test compds., II bound selectively to the human 5-HT6 receptor (Ki ≤ 50 nM), showing a 300-fold greater affinity for the 5-HT6 receptor relative to the human 5-HT2c and 5-HT7 receptors. Compds. of the invention inhibited serotonin-stimulated cAMP response of human 5-HT6 receptors in stably transfected HEK293 cells, establishing them as 5-HT6 receptor antagonists. I are useful for the treatment of conditions where inhibition of the 5-HT6 receptor is implicated, such as schizophrenia, psychosis, manic depression, depression, neurol. disturbances, memory disturbances, Parkinsonism, amyotrophic lateral sclerosis, Alzheimer's disease, and Huntington's disease (no data).

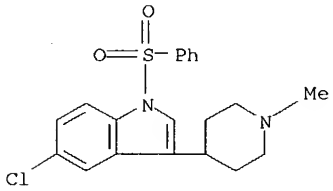
IT **301855-98-1P**, 5-Cyclohexyloxy-1-(4-methylphenylsulfonyl)-3-(1-methyl-4-piperidinyl)indole **301855-99-2P**, 5-Chloro-3-(1-methyl-4-piperidinyl)-1-phenylsulfonylindole **301856-00-8P**, 5-Chloro-1-(4-fluorophenylsulfonyl)-3-(1-methyl-4-piperidinyl)indole **301856-01-9P**, 3-(1-Methyl-4-piperidinyl)-1-phenylsulfonylindole **301856-02-0P**, 1-(4-Fluorophenylsulfonyl)-3-(1-methyl-4-piperidinyl)indole **301856-03-1P**, 6-Chloro-3-(1-methyl-4-piperidinyl)-1-phenylsulfonylindole **301856-04-2P**, 1-(4-Fluorophenylsulfonyl)-6-chloro-3-(1-methyl-4-piperidinyl)indole **301856-05-3P**, 5-Fluoro-1-phenylsulfonyl-3-(1-methyl-4-piperidinyl)indole **301856-06-4P**, 1-(4-Fluorophenylsulfonyl)-5-fluoro-3-(1-methyl-4-piperidinyl)indole **301856-07-5P**, 1-Benzoyl-5-chloro-3-(1-methyl-4-piperidinyl)indole **301856-08-6P**, 5-Chloro-1-(4-fluorobenzoyl)-3-(1-methyl-4-piperidinyl)indole **301856-09-7P**, 1-Benzoyl-3-(1-methyl-4-piperidinyl)indole **301856-10-0P**, 1-(4-Fluorobenzoyl)-3-(1-methyl-4-piperidinyl)indole **301856-11-1P**, 1-Benzoyl-6-chloro-3-(1-methyl-4-piperidinyl)indole **301856-12-2P**, 6-Chloro-1-(4-fluorobenzoyl)-3-(1-methyl-4-piperidinyl)indole **301856-13-3P**, 1-Benzoyl-5-fluoro-3-(1-methyl-4-piperidinyl)indole **301856-14-4P**, 1-(4-Fluorobenzoyl)-5-fluoro-3-(1-methyl-4-piperidinyl)indole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-substituted-3-(tetrahydropyridinyl or piperidinyl)indole 5-HT6 receptor inhibitors by reaction of 3-(tetrahydropyridinyl or piperidinyl)indoles with arylsulfonyl or arylcarbonyl chlorides)

RN 301855-98-1 CAPLUS

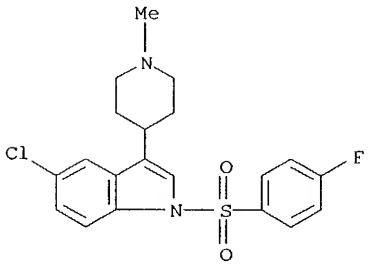
CN 1H-Indole, 5-(cyclohexyloxy)-1-[(4-methylphenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



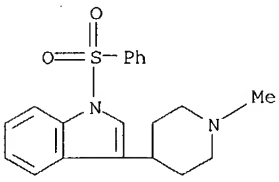
RN 301855-99-2 CAPLUS
CN 1H-Indole, 5-chloro-3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



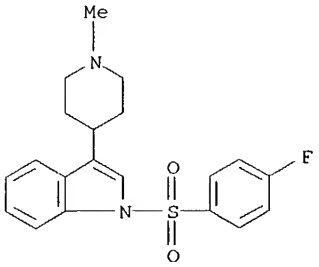
RN 301856-00-8 CAPLUS
CN 1H-Indole, 5-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



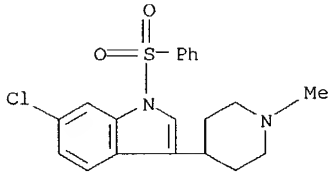
RN 301856-01-9 CAPLUS
CN 1H-Indole, 3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



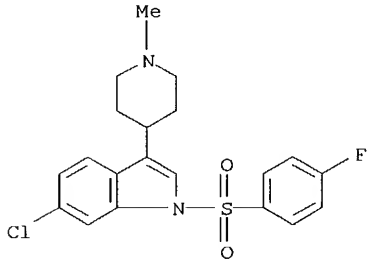
RN 301856-02-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



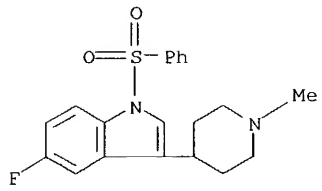
RN 301856-03-1 CAPLUS
CN 1H-Indole, 6-chloro-3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



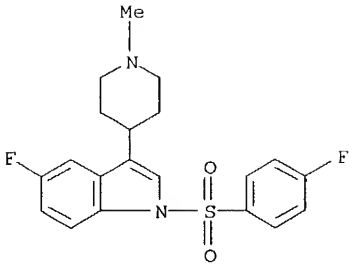
RN 301856-04-2 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



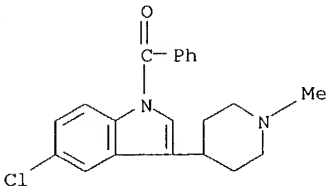
RN 301856-05-3 CAPLUS
CN 1H-Indole, 5-fluoro-3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



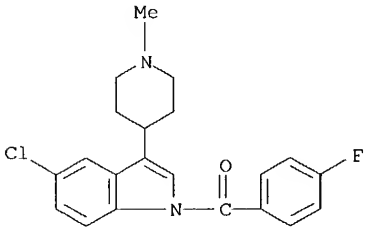
RN 301856-06-4 CAPLUS
CN 1H-Indole, 5-fluoro-1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



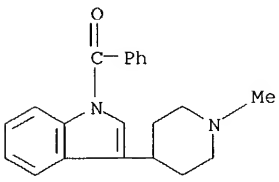
RN 301856-07-5 CAPLUS
CN 1H-Indole, 1-benzoyl-5-chloro-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



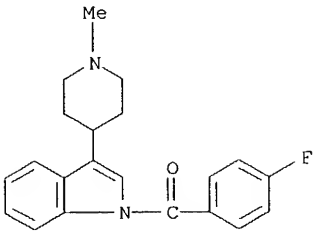
RN 301856-08-6 CAPLUS
CN 1H-Indole, 5-chloro-1-(4-fluorobenzoyl)-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



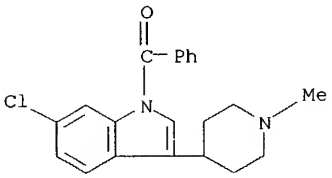
RN 301856-09-7 CAPLUS
CN 1H-Indole, 1-benzoyl-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



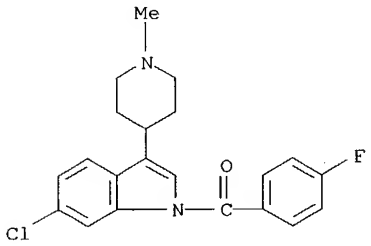
RN 301856-10-0 CAPLUS
CN 1H-Indole, 1-(4-fluorobenzoyl)-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



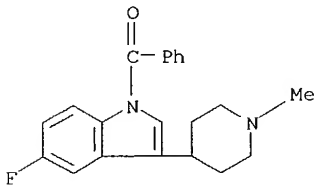
RN 301856-11-1 CAPLUS
CN 1H-Indole, 1-benzoyl-6-chloro-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 301856-12-2 CAPLUS
CN 1H-Indole, 6-chloro-1-(4-fluorobenzoyl)-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

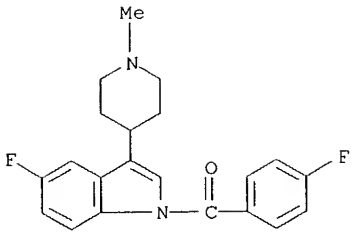


RN 301856-13-3 CAPLUS
CN 1H-Indole, 1-benzoyl-5-fluoro-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 301856-14-4 CAPLUS
CN 1H-Indole, 5-fluoro-1-(4-fluorobenzoyl)-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

10691937



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:487828 CAPLUS
DN 129:122674
TI 3-(Heteroaryl)-1-[(2,3-dihydro-1H-isoindol-2-yl)alkyl]pyrrolidines and 3-(heteroaryl)-1-[(2,3-dihydro-1H-indol-1-yl)alkyl]pyrrolidines and related compounds and their use as analgesics and antipsychotics
IN Strupczewski, Joseph T.; Helsley, Grover C.; Glamkowski, Edward J.; Chiang, Yulin; Bordeau, Kenneth J.; Nemoto, Peter A.; Tegeler, John J.
PA Hoechst Marion Roussel, Inc., USA
SO U.S., 78 pp., Cont.-in-part of U.S. Ser. No. 144,265, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776963	A	19980707	US 1994-329000	19941025
	ZA 9003830	A	19910227	ZA 1990-3830	19900518
	US 5364866	A	19941115	US 1992-969383	19921030
	IL 103622	A1	20001206	IL 1992-103622	19921103
	CA 2175212	AA	19950504	CA 1994-2175212	19941027
	WO 9511680	A1	19950504	WO 1994-US12054	19941027
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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	EP 730452	A1	19960911	EP 1995-900390	19941027
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	JP 09511215	T2	19971111	JP 1994-512724	19941027
	PL 181059	B1	20010531	PL 1994-314135	19941027
	RU 2216545	C2	20031120	RU 1996-110214	19941027
	ZA 9408501	A	19960528	ZA 1994-8501	19941028
	ZA 9500423	A	19960528	ZA 1995-423	19941028
	ZA 9502653	A	19960528	ZA 1995-2653	19941028
	TW 460468	B	20011021	TW 1994-83110396	19941110
	US 5550130	A	19960827	US 1995-465697	19950606
	US 5552414	A	19960903	US 1995-466246	19950606
	US 5554614	A	19960910	US 1995-467173	19950606
	US 5556858	A	19960917	US 1995-467387	19950606
	US 5559117	A	19960924	US 1995-466726	19950606
	US 5559116	A	19960924	US 1995-469521	19950606
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	US 5580891	A	19961203	US 1995-471236	19950606
	US 5580887	A	19961203	US 1995-471753	19950606
	US 5583145	A	19961210	US 1995-466895	19950606
	US 5589488	A	19961231	US 1995-468074	19950606
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	US 5591745	A	19970107	US 1995-469365	19950606

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	US 5597842	A	19970128	US 1995-470438	19950606
	US 5599821	A	19970204	US 1995-469357	19950606
	US 5607945	A	19970304	US 1995-466821	19950606
	US 5612342	A	19970318	US 1995-466252	19950606
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	US 5811435	A	19980922	US 1995-468991	19950606
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	US 5965546	A	19991012	US 1995-471512	19950606
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	US 6251907	B1	20010626	US 1999-335271	19990617
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	US 1991-944705	B2	19910905		
	US 1991-788269	B2	19911105		
	US 1992-969383	A2	19921030		
	US 1993-144265	B2	19931028		
	US 1998-354411	B1	19890519		
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	WO 1994-US12054	W	19941027		
	US 1995-468611	A3	19950606		
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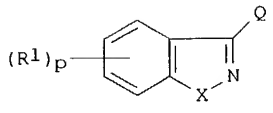

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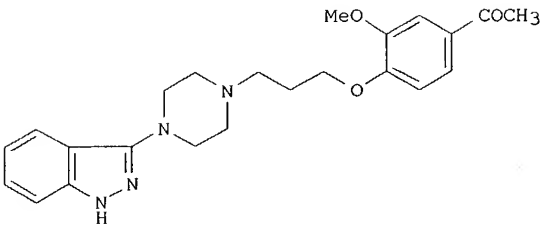


II

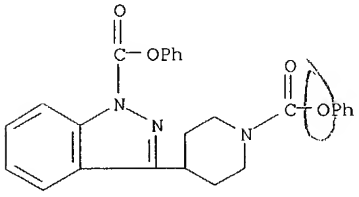
AB Heteroaryl-substituted piperidines, pyrrolidines, and piperazines, specifically I [Q = N-substituted 3-pyrrolidinyl, 4-piperidinyl, or 1-piperazinyl; X = O, S, NH, NR2; R1 = H, alkyl, OH, Cl, F, Br, iodo, alkoxy, CF3, NO2, amino; R2 = alkyl, aralkyl, aryl, cycloalkyl, aroyl, alkanoyl, alkoxycarbonyl, phenylsulfonyl; p = 1 or 2], are useful as antipsychotic and analgesic agents. The compds. are especially useful for treating psychosis, and depot derivs. in particular are useful for providing long-acting effects. For instance, , coupling of 3-(1-piperazinyl)-1H-indazole with 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone in DMF containing K2CO3 and KI at 90° gave title compound II. In the apomorphine-induced climbing assay in mice, selected I were typically over 8-fold more potent than clozapine. Similarly, 3 compds. I were more potent than propoxyphene and pentazocine in the phenylquinone-induced writhing test in mice.

IT **170218-77-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 170218-77-6 CAPLUS

CN 1H-Indazole-1-carboxylic acid, 3-[1-(phenoxycarbonyl)-4-piperidinyl]-, phenyl ester (9CI) (CA INDEX NAME)

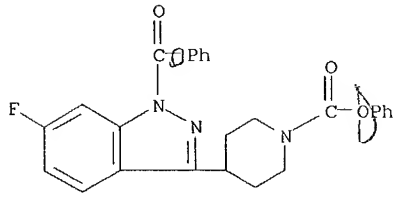


IT **170218-95-8**

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 170218-95-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-, phenyl ester (9CI) (CA INDEX NAME)

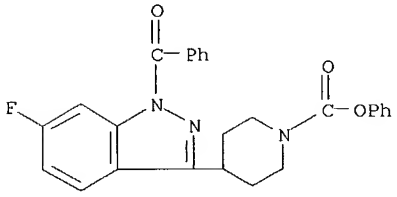


IT **170218-96-9P 170219-04-2P 170219-05-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 170218-96-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-, phenyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

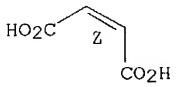
CRN 170218-95-8
CMF C26 H22 F N3 O3



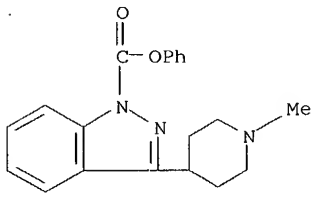
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



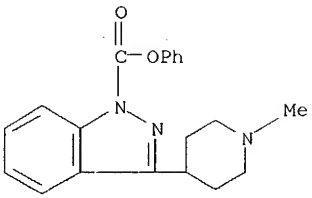
RN 170219-04-2 CAPLUS
CN 1H-Indazole-1-carboxylic acid, 3-(1-methyl-4-piperidinyl)-, phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 170219-05-3 CAPLUS
CN 1H-Indazole-1-carboxylic acid, 3-(1-methyl-4-piperidinyl)-, phenyl ester (9CI) (CA INDEX NAME)

10691937



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:521203 CAPLUS
DN 125:167980
TI Preparation of indazolylpiperidineacetates as fibrinogen antagonists
IN Allen, David George; Eldred, Colin David; Mitchell, William Leonard
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9620192	A1	19960704	WO 1995-EP5043	19951221
	W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9501822	A	19960926	ZA 1995-10822	19951220
	AU 9643878	A1	19960719	AU 1996-43878	19951221
	AU 704496	B2	19990422		
	EP 799223	A1	19971008	EP 1995-942704	19951221
	EP 799223	B1	19990609		
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	CN 1175254	A	19980304	CN 1995-197625	19951221
	AT 181071	E	19990615	AT 1995-942704	19951221
	ES 2132761	T3	19990816	ES 1995-942704	19951221
	US 5861414	A	19990119	US 1997-836981	19970529
	FI 9702684	A	19970619	FI 1997-2684	19970619
	NO 9702887	A	19970820	NO 1997-2887	19970620
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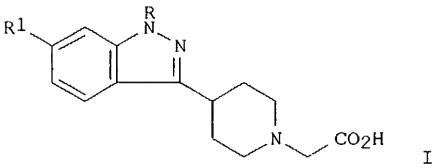
	WO 1995-EP5043		19951221		

OS MARPAT 125:167980
GI



I

AB Title compds. [I; R = H, (halo)phenylmethyl; R1 = 2-(4-piperidinyl)eth(en)yl] were prepared Thus, 3-BrC6H4Br was acylated by 1-acetylpiperidine-4-carbonyl chloride and the deprotected product condensed with H2NNH2 to give, after cyclization, I (R = H, R1 = Br) which was N-alkylated by BrCH2CO2CMe3 and the product alkenylated by tert-Bu 4-vinylpiperidine-1-carboxylate to give, after deprotection, I.HCl [R = H, R1 = (E)-2-(4-piperidinyl)ethenyl]. The latter had IC50 of 67nM against

fibrinogen-induced platelet aggregation in vitro.

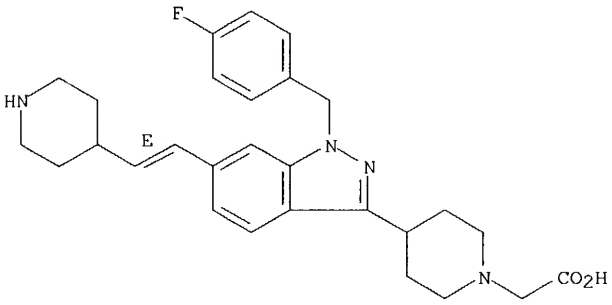
IT **180307-39-5P 180307-44-2P 180307-46-4P**
180307-48-6P 180307-49-7P 180307-65-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazolylpiperidineacetates as fibrinogen antagonists)

RN 180307-39-5 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-fluorophenyl)methyl]-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, trifluoroacetate (20:57) (9CI) (CA INDEX NAME)

CM 1

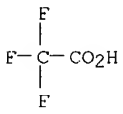
CRN 180307-38-4
CMF C28 H33 F N4 O2

Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

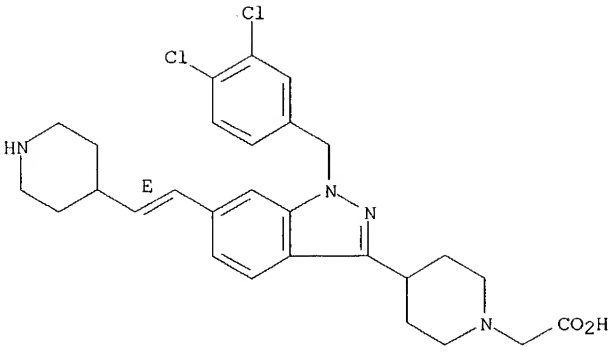


RN 180307-44-2 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(3,4-dichlorophenyl)methyl]-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

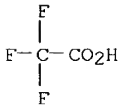
CRN 180307-43-1
CMF C28 H32 Cl2 N4 O2

Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

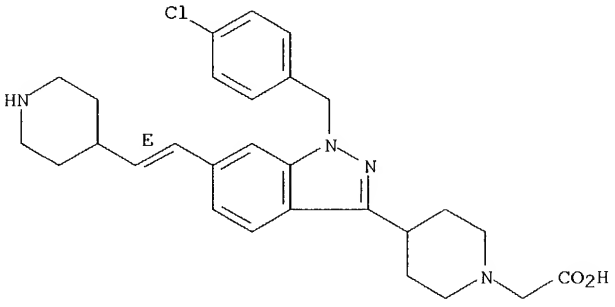


RN 180307-46-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-chlorophenyl)methyl]-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, trifluoroacetate (20:43) (9CI) (CA INDEX NAME)

CM 1

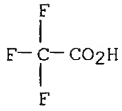
CRN 180307-45-3
CMF C28 H33 Cl N4 O2

Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

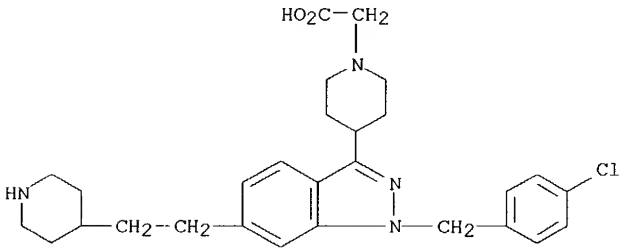


10691937

RN 180307-48-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-chlorophenyl)methyl]-6-[2-(4-piperidinyl)ethyl]-1H-indazol-3-yl]-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

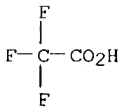
CM 1

CRN 180307-47-5
CMF C28 H35 Cl N4 O2



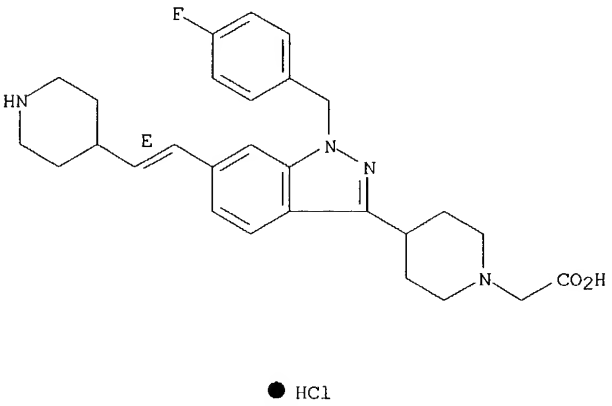
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 180307-49-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-fluorophenyl)methyl]-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



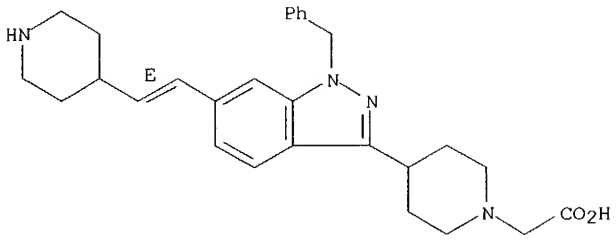
● HCl

RN 180307-65-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-(phenylmethyl)-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

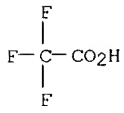
CRN 180307-64-6
CMF C28 H34 N4 O2

Double bond geometry as shown.



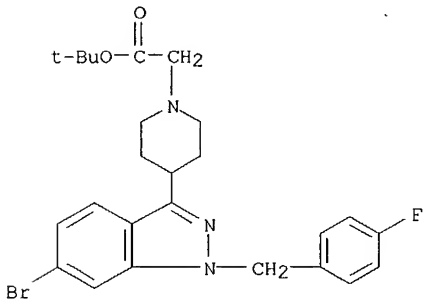
CM 2

CRN 76-05-1
CMF C2 H F3 O2



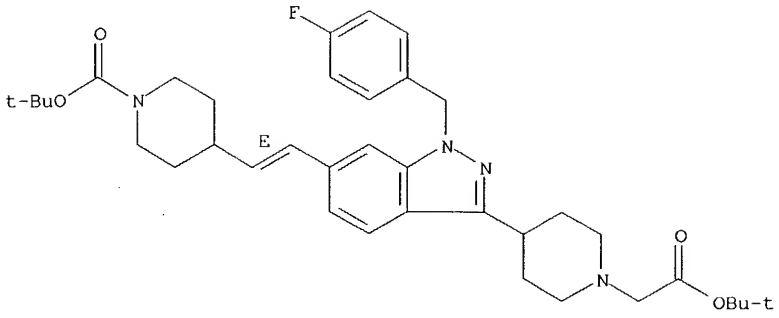
IT **180307-55-5P 180307-57-7P 180307-59-9P**
180307-60-2P 180307-62-4P 180307-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazolylpiperidineacetates as fibrinogen antagonists)

RN 180307-55-5 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-bromo-1-[(4-fluorophenyl)methyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



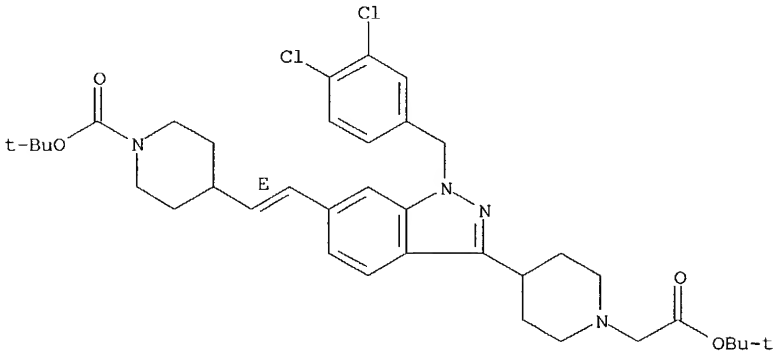
RN 180307-57-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-1-[(4-fluorophenyl)methyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



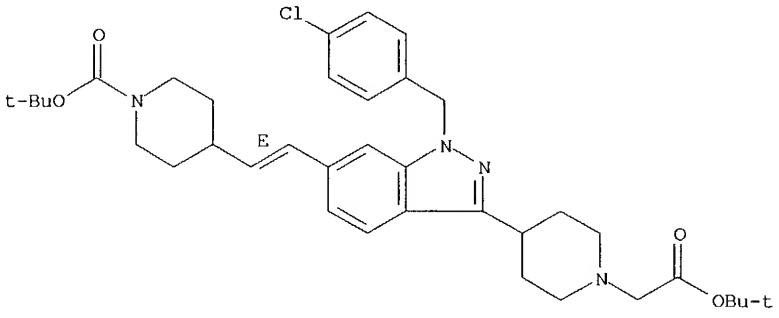
RN 180307-59-9 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(3,4-dichlorophenyl)methyl]-6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

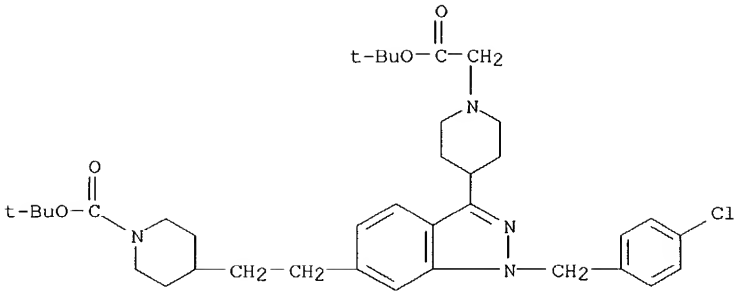


RN 180307-60-2 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-chlorophenyl)methyl]-6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

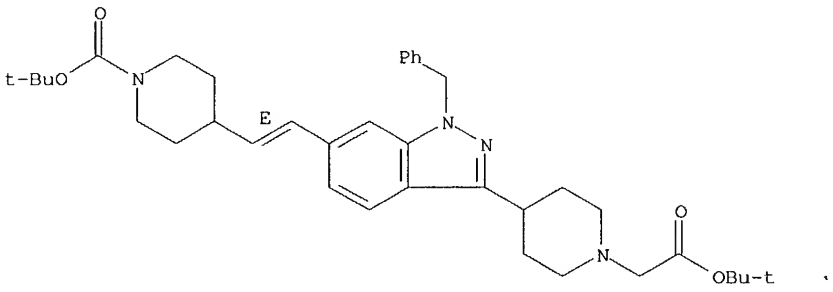


RN 180307-62-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-chlorophenyl)methyl]-6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 180307-63-5 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-1-(phenylmethyl)-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

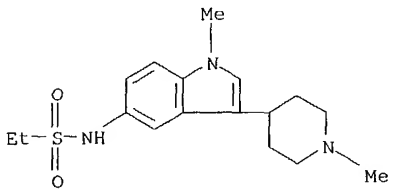


L17 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:280303 CAPLUS
DN 120:280303
TI Pharmaceutical sachets containing 5-HT1 receptor agonists
IN Schaeffer, Alain Emile Edouard
PA Laboratoires Glaxo, Fr.
SO Fr. Demande, 11 pp.
CODEN: FRXXBL
DT **Patent**
LA French
FAN.CNT 1

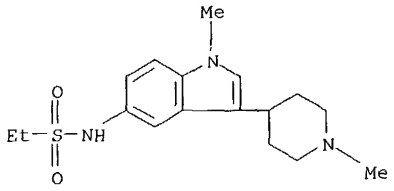
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2691630	A1	19931203	FR 1993-6435	19930528
	FR 2691630	B1	19950524		
PRAI	GB 1992-11276		19920528		

AB Oral pharmaceutical compns. containing 5-HT1 receptor agonists are disclosed. A unit dose sachet contained 3[2-(Vdimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide succinate 140, lactose 204, aspartame 40, and flavors 16mg.
IT **155019-91-3 155019-93-5**
RL: BIOL (Biological study)
(pharmaceutical sachets containing)
RN 155019-91-3 CAPLUS
CN Ethanesulfonamide, N-[1-methyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

10691937



RN 155019-93-5 CAPLUS
CN Ethanesulfonamide, N-[1-methyl-3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

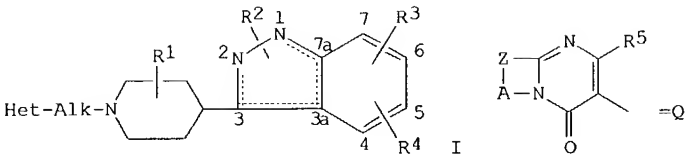


● HCl

L17 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:515310 CAPLUS
DN 113:115310
TI Preparation of antihypertensive 3-piperidinylindazoles
IN Vandenberk, Jan; Kennis, Ludo Edmond Josephine; Van Heertum, Albertus H. M. T.
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 357134	A1	19900307	EP 1989-202152	19890825
	EP 357134	B1	19950628		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5196425	A	19930323	US 1989-380958	19890717
	CA 1331610	A1	19940823	CA 1989-606920	19890728
	ES 2076201	T3	19951101	ES 1989-202152	19890825
	AU 8940848	A1	19900308	AU 1989-40848	19890828
	AU 614871	B2	19910912		
	SU 1720489	A3	19920315	SU 1989-4742322	19890829
	DK 8904347	A	19900303	DK 1989-4347	19890901
	DK 169547	B1	19941128		
	FI 8904125	A	19900303	FI 1989-4125	19890901
	FI 91864	B	19940513		
	FI 91864	C	19940825		
	NO 8903523	A	19900305	NO 1989-3523	19890901
	NO 176608	B	19950123		
	NO 176608	C	19950503		
	HU 51622	A2	19900528	HU 1989-4541	19890901
	HU 202232	B	19910228		
	JP 02160778	A2	19900620	JP 1989-224762	19890901
	ZA 8906741	A	19910529	ZA 1989-6741	19890901
	CN 1040589	A	19900321	CN 1989-106733	19890902
	CN 1024346	B	19940427		
	US 5321028	A	19940614	US 1992-984820	19921203
PRAI	US 1988-239915		19880902		
	US 1989-380958		19890717		

OS MARPAT 113:115310
GI



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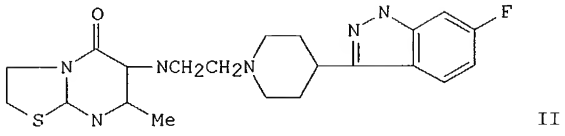


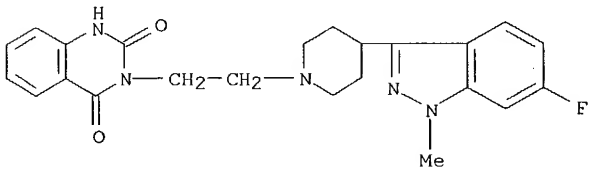
II

AB The title compds. [I; R1 = H, C1-6 alkyl; R2 = H, (un)substituted C1-6 alkyl or Ph; R3, R4 = H, halo, OH, C1-6 alkyl, C1-6 alkyl; A = (un)substituted alkylidene, alkenylidene, etc.; Z = S, CH2, CHOH, etc.; the dotted lines represents a conjugated diene system], their pharmaceutically acceptable salts or stereoisomers, dopaminergic and serotoninergic neurotransmitter antagonists, useful as antihypertensives which act peripherally without significant effect on the CNS, were prepared A mixture of 6-(2-bromoethyl)-2,3-dihydro-7-methyl-5H-thiazolo[3,2-a]pyrimidin-5-one monohydrobromide, 6-fluoro-3-(4-piperidinyl)-1H-indazole dihydrochloride, Na2CO3, and MeCOCH2CHME2 was stirred 6 h at reflux to give 48.3% the title compound II. In spontaneously hypertensive rats II gave a reduction of the average systolic and diastolic blood pressure of 140 and 100 mmHg, resp. In rats, II protected animals from tryptamine-induced hyperemia with an ED50 of 0.005 mg/kg, and in dogs 0.002 mg II/kg protected 50% animals from vomiting.

IT **129014-51-3P 129014-54-6P 129014-56-8P**
129014-59-1P 129014-62-6P 129014-63-7P
129014-66-0P 129014-67-1P 129014-69-3P
129014-70-6P 129014-72-8P 129014-73-9P
129014-75-1P 129014-77-3P 129014-79-5P
129014-80-8P 129014-82-0P 129014-83-1P
129014-84-2P 129014-87-5P 129014-88-6P
129014-89-7P 129014-91-1P 129014-93-3P
129014-94-4P 129014-96-6P 129014-97-7P
129014-98-8P 129014-99-9P 129015-00-5P
129044-43-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 129014-51-3 CAPLUS

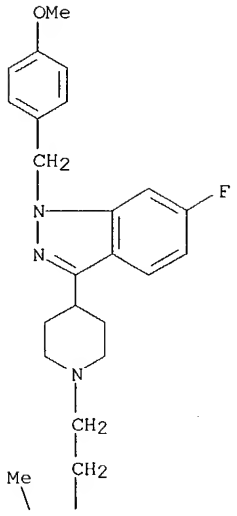
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



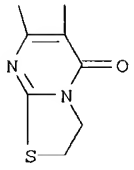
RN 129014-54-6 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-[(4-methoxyphenyl)methyl]-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)

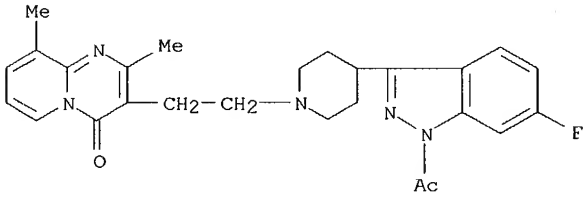
PAGE 1-A



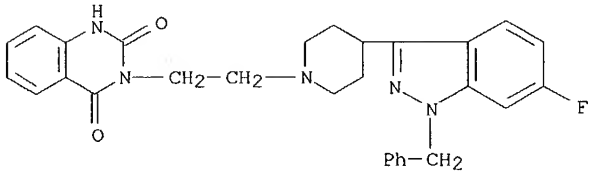
PAGE 2-A



RN 129014-56-8 CAPLUS
CN 1H-Indazole, 1-acetyl-3-[1-[2-(2,9-dimethyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

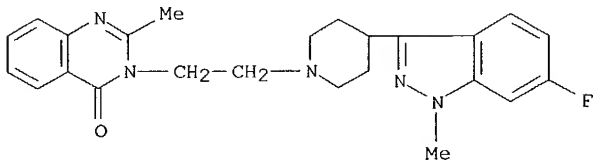


RN 129014-59-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

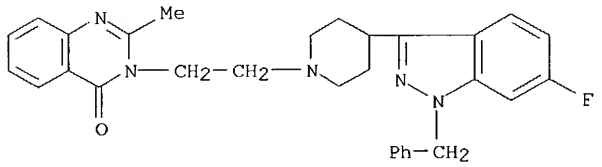


RN 129014-62-6 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-

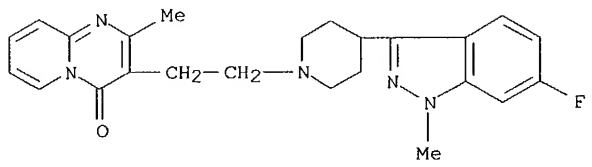
piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)



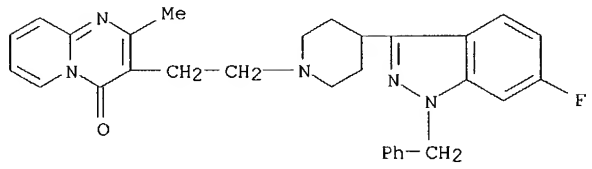
RN 129014-63-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)



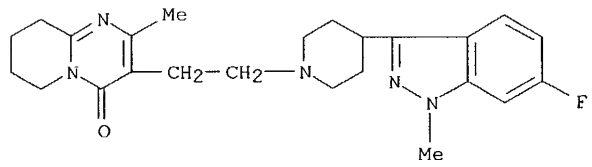
RN 129014-66-0 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 129014-67-1 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

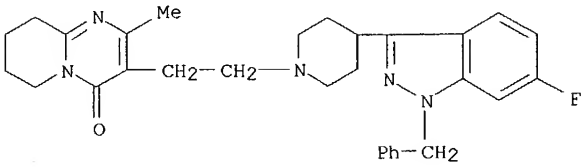


RN 129014-69-3 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

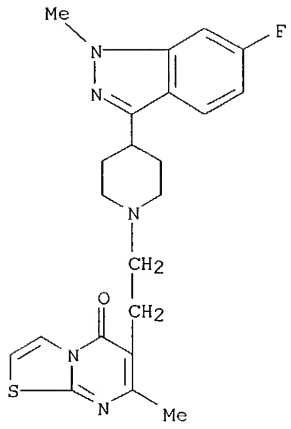


RN 129014-70-6 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-

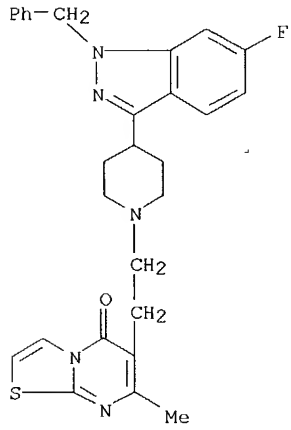
indazol-3-yl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



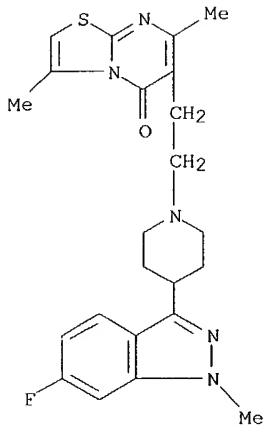
RN 129014-72-8 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



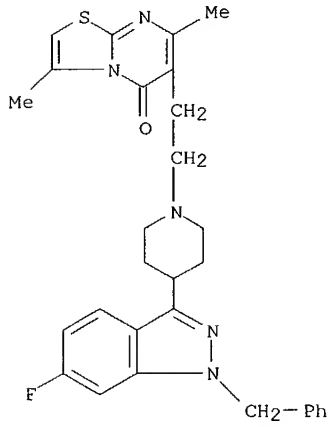
RN 129014-73-9 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



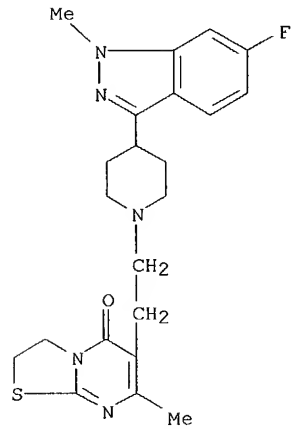
RN 129014-75-1 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



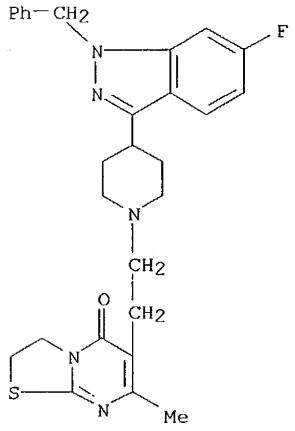
RN 129014-77-3 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



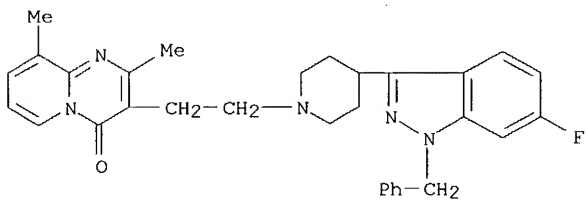
RN 129014-79-5 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)



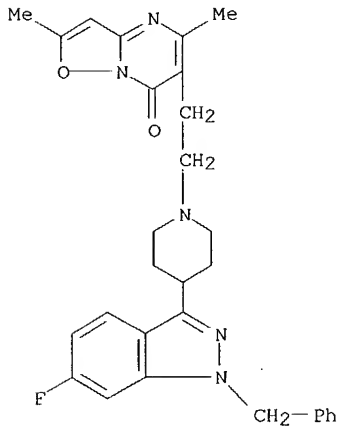
RN 129014-80-8 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)



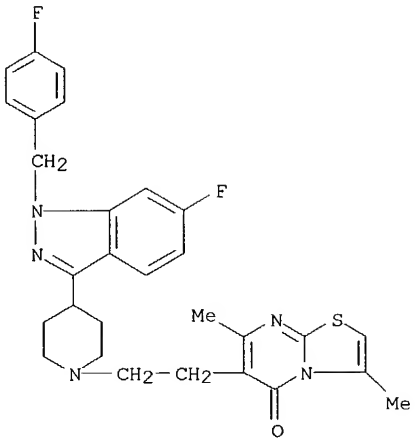
RN 129014-82-0 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2,9-dimethyl- (9CI) (CA INDEX NAME)



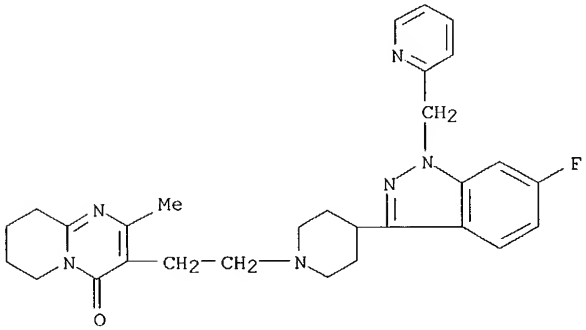
RN 129014-83-1 CAPLUS
CN 7H-Isoxazolo[2,3-a]pyrimidin-7-one, 6-[2-[4-[6-fluoro-1-(phenylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



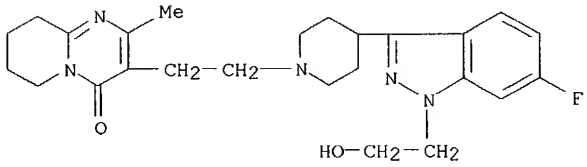
RN 129014-84-2 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-[(4-fluorophenyl)methyl]-1H-indazol-3-yl]-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



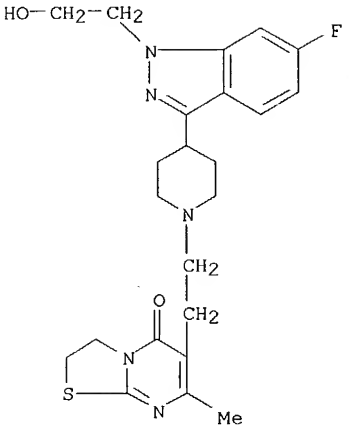
RN 129014-87-5 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(2-pyridinylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



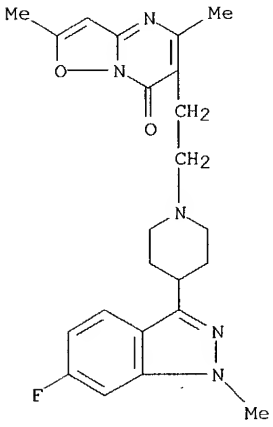
RN 129014-88-6 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(2-hydroxyethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



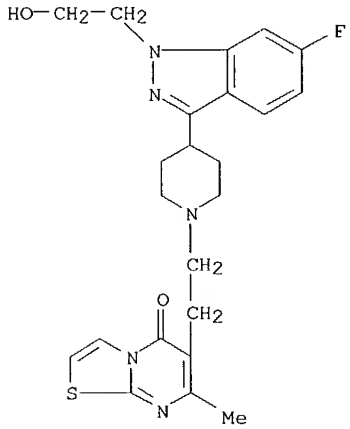
RN 129014-89-7 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-(2-hydroxyethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)



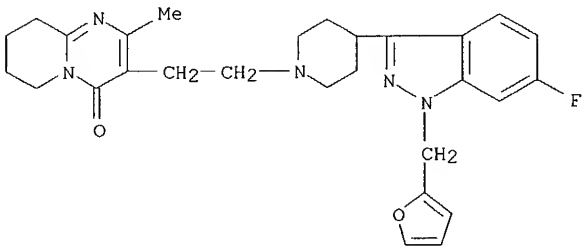
RN 129014-91-1 CAPLUS
CN 7H-Isoxazolo[2,3-a]pyrimidin-7-one, 6-[2-[4-(6-fluoro-1-methyl-1H-indazol-3-yl)-1-piperidinyl]ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



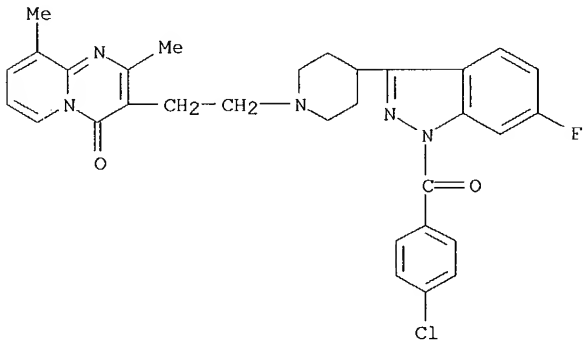
RN 129014-93-3 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[6-fluoro-1-(2-hydroxyethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



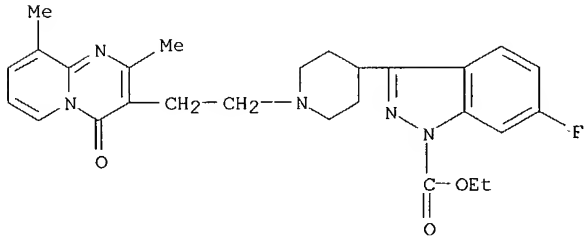
RN 129014-94-4 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(2-furanylmethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



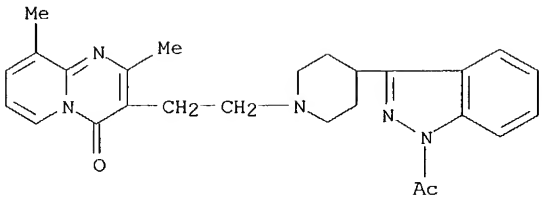
RN 129014-96-6 CAPLUS
CN 1H-Indazole, 1-(4-chlorobenzoyl)-3-[1-[2-(2,9-dimethyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)



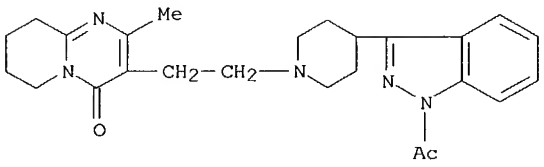
RN 129014-97-7 CAPLUS
CN 1H-Indazole-1-carboxylic acid, 3-[1-[2-(2,9-dimethyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl]-4-piperidinyl]-6-fluoro-, ethyl ester (9CI) (CA INDEX NAME)



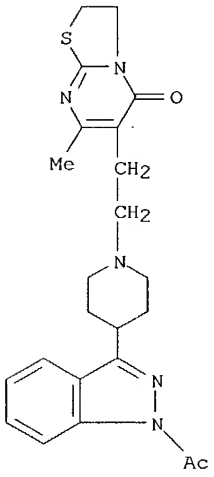
RN 129014-98-8 CAPLUS
CN 1H-Indazole, 1-acetyl-3-[1-[2-(2,9-dimethyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



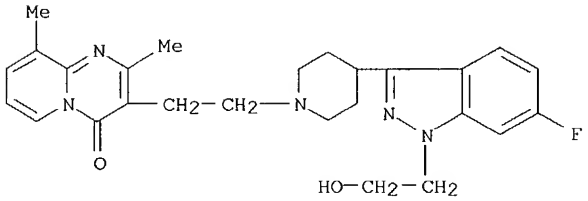
RN 129014-99-9 CAPLUS
CN 1H-Indazole, 1-acetyl-3-[1-[2-(6,7,8,9-tetrahydro-2-methyl-4-oxo-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 129015-00-5 CAPLUS
CN 1H-Indazole, 1-acetyl-3-[1-[2-(2,3-dihydro-7-methyl-5-oxo-5H-thiazolo[3,2-a]pyrimidin-6-yl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



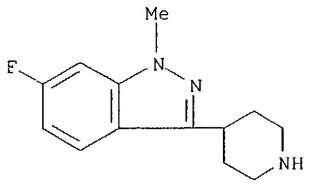
RN 129044-43-5 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[6-fluoro-1-(2-hydroxyethyl)-1H-indazol-3-yl]-1-piperidinyl]ethyl]-2,9-dimethyl- (9CI) (CA INDEX NAME)



IT **129014-50-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antihypertensives)

10691937

RN 129014-50-2 CAPLUS
CN 1H-Indazole, 6-fluoro-1-methyl-3-(4-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

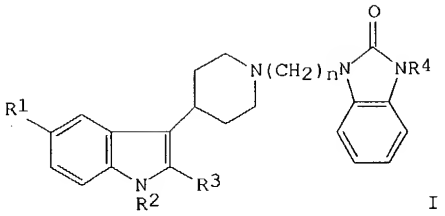


● HCl

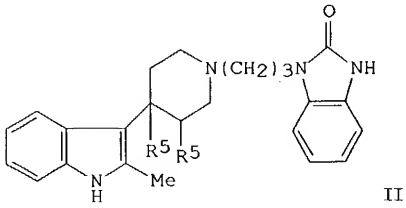
L17 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:160710 CAPLUS
DN 98:160710
TI Substituted N-(4-indolylpiperidinoalkyl)benzimidazolones and their use as pharmaceutical preparations
IN Freter, Kurt; Fuchs, Viktor; Oliver, James T.
PA Boehringer Ingelheim Ltd., USA
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT **Patent**
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 58975	A1	19820901	EP 1982-101315	19820220
	EP 58975	B1	19841212		
	R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
	US 4359468	A	19821116	US 1981-237966	19810225
	AT 10742	E	19841215	AT 1982-101315	19820220
	DD 202562	A5	19830921	DD 1982-237583	19820222
	FI 8200594	A	19820826	FI 1982-594	19820223
	FI 71558	B	19861010		
	FI 71558	C	19870119		
	CS 227343	P	19840416	CS 1982-1228	19820223
	NO 8200583	A	19820826	NO 1982-583	19820224
	NO 157296	B	19871116		
	NO 157296	C	19880224		
	DK 8200798	A	19820826	DK 1982-798	19820224
	DK 151017	B	19871012		
	DK 151017	C	19880613		
	GB 2093455	A	19820902	GB 1982-5386	19820224
	GB 2093455	B2	19840613		
	JP 57156484	A2	19820927	JP 1982-28704	19820224
	JP 03018637	B4	19910313		
	ES 509871	A1	19830501	ES 1982-509871	19820224
	ZA 8201196	A	19831026	ZA 1982-1196	19820224
	HU 30047	O	19840228	HU 1982-566	19820224
	HU 187652	B	19860228		
	SU 1088665	A3	19840423	SU 1982-3396888	19820224
	IL 65097	A1	19850331	IL 1982-65097	19820224
	CA 1191137	A1	19850730	CA 1982-396960	19820224
	AU 8280783	A1	19820902	AU 1982-80783	19820225
	AU 543948	B2	19850509		
	ES 517988	A1	19840101	ES 1982-517988	19821207
PRAI	US 1981-237966		19810225		
	EP 1982-101315		19820220		

OS CASREACT 98:160710
GI



I



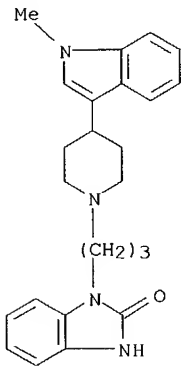
II

AB Benzimidazolones I (R1 = H, halo, MeO; R2, R3 = H, alkyl; R4 = H, alkyl, alkenyl; n = 2-6) and their physiol. tolerable acid addition salts, useful as antihistaminics, were prepared by 4 methods. Stirring 2-methyl-3-(1,2,5,6-tetrahydro-4-pyridyl)indole, N-(3-chloropropyl)benzimidazolone, NaHCO3, DMF, and THF 18 h at 100° gave 62% II (R5R5 = bond), hydrogenation of which in AcOH over 5% Pd/coal in 24 h at 20°/5 atm gage gave 70% II (R5 = H). I (R1-R4 = H, n = 3).HCl had ED50 1.6 mg/kg (rat) in the passive cutaneous anaphylaxis test vs. 8.3 for oxatomide.

IT **84461-68-7P 84461-76-7P 84461-79-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

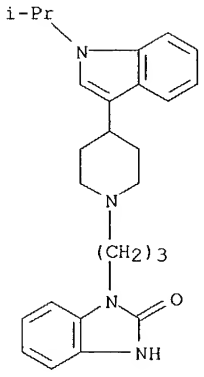
RN 84461-68-7 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-(1-methyl-1H-indol-3-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



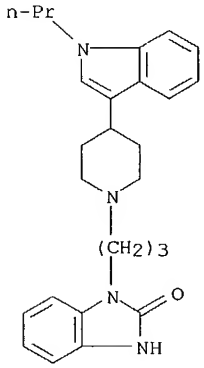
RN 84461-76-7 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-[1-(1-methylethyl)-1H-indol-3-yl]-1-piperidinyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

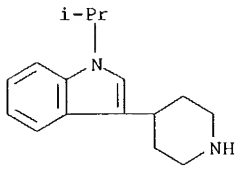


●x HCl

RN 84461-79-0 CAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-(1-propyl-1H-indol-3-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



IT **84461-75-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of, by (chloropropyl)benzimidazolone)
RN 84461-75-6 CAPLUS
CN 1H-Indole, 1-(1-methylethyl)-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1977:171268 CAPLUS
DN 86:171268
TI Piperidyl indoles
IN Derible, Pierre Henri; Lavaux, Jean Paul
PA Roussel-UCLAF, Fr.
SO Ger. Offen., 15 pp. Division of Ger. Offen. 2,338,283.
CODEN: GWXXBX
DT **Patent**
LA German

10691937

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2365967	A1	19770210	DE 1973-2365967	19730727
	DE 2365967	B2	19771222		
	FR 2193584	A1	19740222	FR 1972-27263	19720728
	CH 571500	A	19760115	CH 1973-10177	19730712
	US 3850938	A	19741126	US 1973-380407	19730718
	ZA 7304998	A	19740925	ZA 1973-4998	19730723
	NL 7310268	A	19740130	NL 1973-10268	19730724
	SE 406589	B	19790219	SE 1973-10396	19730726
	SE 406589	C	19790531		
	BE 802912	A1	19740128	BE 1973-133973	19730727
	JP 49062481	A2	19740617	JP 1973-84231	19730727
	JP 56002555	B4	19810120		
	AU 7358629	A1	19750130	AU 1973-58629	19730727
	ES 417333	A1	19760216	ES 1973-417333	19730727
	DK 134991	B	19770221	DK 1973-4146	19730727
	CA 1013748	A1	19770712	CA 1973-177501	19730727
	GB 1382782	A	19750205	GB 1973-36110	19730730
	US 3947578	A	19760330	US 1974-506964	19740918
PRAI	FR 1972-27263		19720728		
	US 1973-380407		19730718		

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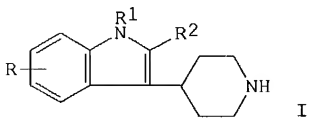


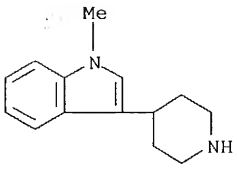
I

AB Piperidinylindoles I (R = H, 5-MeO, 6-MeO; R1 = R2 = H, Me) are prepared by standard procedures. Thus, alkylation of 43 g 3-(1-benzyl-1,2,3,6-tetrahydro-4-pyridinyl)indole with MeI in DMF in presence of NaH gives 34.5 g of the corresponding 1-methyl derivative (II). Hydrogenation and debenzylation of 30.2 g II in AcOH over 10% Pd/C gives 14.5 g I (R = R2 = H, R1 = Me).

IT **52157-73-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 52157-73-0 CAPLUS

CN 1H-Indole, 1-methyl-3-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:512749 CAPLUS

DN 85:112749

TI Pharmaceutical compositions containing piperidylindole derivatives

IN Dumont, Claude; Laurent, Jacques

PA Roussel-UCLAF, Fr.

SO Ger. Offen., 16 pp.
CODEN: GWXXBX

DT **Patent**

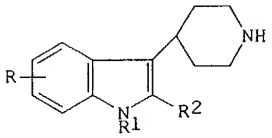
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2552869	A1	19760610	DE 1975-2552869	19751125
	DE 2552869	C2	19810917		
	FR 2293931	A1	19760709	FR 1974-40233	19741209
	FR 2328468	A2	19770520	FR 1975-32483	19751023
	IL 48508	A1	19791031	IL 1975-48508	19751120

	ES 442910	A1	19790501	ES 1975-442910	19751124
	ZA 7507444	A	19770126	ZA 1975-7444	19751126
	SE 7513391	A	19760610	SE 1975-13391	19751127
	SE 408422	C	19790920		
	SE 408422	B	19790611		
	US 3993764	A	19761123	US 1975-636098	19751128
	GB 1529329	A	19781018	GB 1975-49210	19751201
	CA 1089766	A1	19801118	CA 1975-240857	19751201
	AU 7587173	A1	19770609	AU 1975-87173	19751202
	AU 498955	B2	19790329		
	BE 836391	A1	19760608	BE 1975-162540	19751208
	DK 7505531	A	19760610	DK 1975-5531	19751208
	DK 139580	C	19790827		
	DK 139580	B	19790312		
	NL 7514255	A	19760611	NL 1975-14255	19751208
	JP 51086475	A2	19760729	JP 1975-145182	19751208
	CH 605915	A	19781013	CH 1975-15946	19751208
	JP 61028644	B4	19860701	JP 1976-711	19760101
PRAI	FR 1974-40233		19741209		
	FR 1975-32483		19751023		

GI



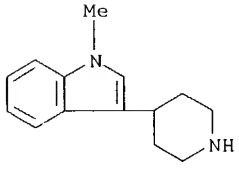
I

AB Piperidylindole derivs. (I:R = H or C1-5 alkoxy; R1 and R2 = same or different H or C1-5 alkyl) and their salts, and pharmaceutical compns. containing these compds. were prepared For example, a saturated methanolic solution of HCl was added to a suspension of 12 g 3-(4-piperidyl)indole in 70 ml MeOH until pH 1 was reached to give 8.4g 3-(4-piperidyl)indole-HCl (II) [60155-63-7]. Tablets were prepared from 25 mg II and 200 mg excipients. I.p. administration of 20 mg II/kg increased amphetamine stereotypy in rats by 100% in 5 hr. A 0.5 mg/kg i.p. dose and a 2 mg/kg oral dose antagonized prochlorpemazine-induced catalepsy. I (0.5 mg/kg s.c.) also antagonized apomorphine-induced vomiting. The oral and i.p. LD50's for I were 200 and 95 mg/kg, resp.

IT **60155-64-8**
RL: BIOL (Biological study)
(in pharmaceuticals)

RN 60155-64-8 CAPLUS

CN 1H-Indole, 1-methyl-3-(4-piperidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

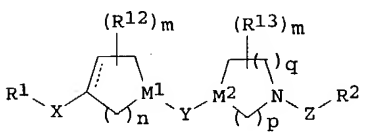
10691937

=> d 1-8 bib abs hitstr

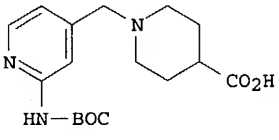
L28 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:2876 CAPLUS
DN 140:59522
TI Preparation of indole derivatives as histamine H3 antagonists
IN Aslanian, Robert G.; Berlin, Michael Y.; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.
PA Schering Corporation, USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000831	A1	20031231	WO 2003-US19619	20030620
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004019099	A1	20040129	US 2003-600674	20030620
PRAI	US 2002-390987P	P	20020624		

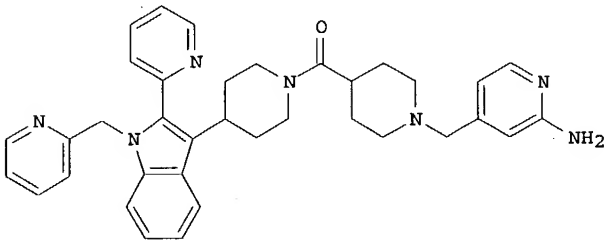
OS MARPAT 140:59522
GI



I



II

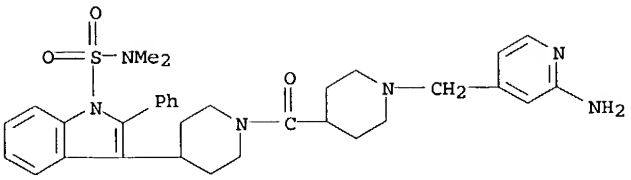


III

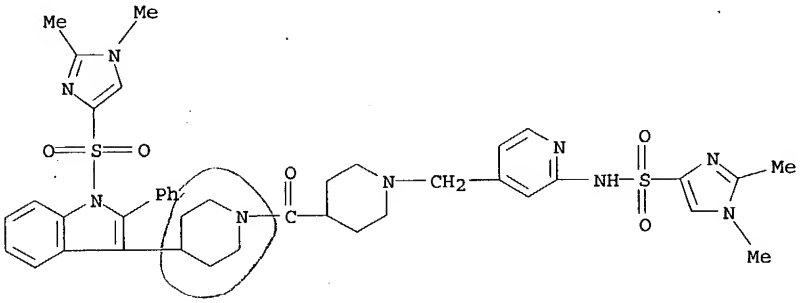
AB Title compds. I [wherein R1 = (un)substituted indolyl or an aza derivative thereof; R2 = (un)substituted (hetero)aryl, quinolyl, heterocycloalkyl; R12, R13 = alkyl, hydroxyl, alkoxy, etc., or R13 = O; m = independently 0-3; n = 1-3; p = 1-3; q = 1-5; X = a bond or alkylene; Y = CO, CS, COCH2, etc.; Z = a bond, alkylene, alkenylene, CO, etc.; M1 = CH or N; M2 = CR3 or N; and salts or solvates thereof] were prepared as histamine H3 antagonists in treatment of H3 receptor related diseases. For example, reaction of II with 3-(4-piperidinyl)-2-(2-pyridinyl)indole, followed by deprotection and substitution with 2-chloromethylpyridine gave III, which showed 1.50 nM binding constant with histamine H3. Thus, I and their pharmaceutical compds., as well as in combination with H1 receptor antagonists, are useful as histamine H3 antagonists for the treatment of inflammatory diseases, allergic conditions and central nervous system disorders (no data).

IT **639505-66-1P 639506-27-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as histamine H3 antagonists)

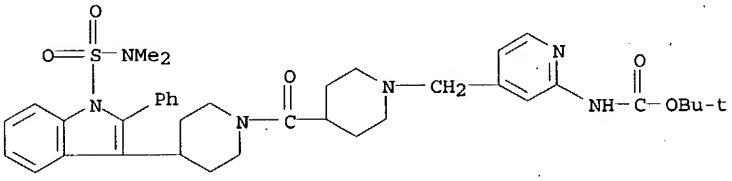
RN 639505-66-1 CAPLUS
CN Piperidine, 1-[[1-[(2-amino-4-pyridinyl)methyl]-4-piperidinyl]carbonyl]-4-[1-[(dimethylamino)sulfonyl]-2-phenyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



RN 639506-27-7 CAPLUS
CN Piperidine, 1-[[1-[[2-[[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]amino]-4-pyridinyl]methyl]-4-piperidinyl]carbonyl]-4-[1-[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]-2-phenyl-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



IT **639505-32-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as histamine H3 antagonists)
RN 639505-32-1 CAPLUS
CN Carbamic acid, [4-[[4-[[4-[1-[(dimethylamino)sulfonyl]-2-phenyl-1H-indol-3-yl]-1-piperidinyl]carbonyl]-1-piperidinyl]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:142709 CAPLUS
DN 136:200183
TI Substituted and/or fused pyrazoles, particularly indolylpiperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
IN Cai, Hui; Edwards, James P.; Meduna, Steven P.; Pio, Barbara A.; Wei, Jianmei
PA Ortho McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002014317	A2	20020221	WO 2001-US25180	20010810
WO 2002014317	A3	20020704		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU 2001084823	A5	20020225	AU 2001-84823	20010810
	US 2002040019	A1	20020404	US 2001-927188	20010810
	US 6635633	B2	20031021		
	EP 1309592	A2	20030514	EP 2001-963912	20010810
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004512273	T2	20040422	JP 2002-519457	20010810
	US 2003225062	A1	20031204	US 2003-402694	20030328
	US 2003225063	A1	20031204	US 2003-402696	20030328
	US 2003229075	A1	20031211	US 2003-401486	20030328
	US 2004044027	A1	20040304	US 2003-638032	20030808
PRAI	US 2000-225178P	P	20000814		
	US 2001-927188	A	20010810		
	WO 2001-US25180	W	20010810		

OS MARPAT 136:200183
GI

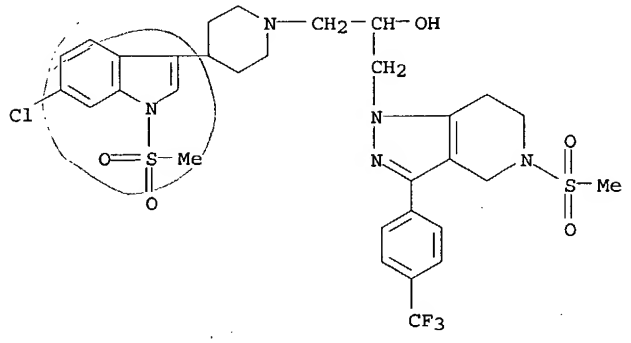
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [W, X, Y, Z = N, (un)substituted CH (0-3 of them may be N; or 1 can be N-oxide when other 3 ≠ N); R = H, alkyl, cyano, hydroxyalkyl, acyl, CHO, alkoxycarbonyl, or (un)substituted carbamoyl; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo- or heterocyclic ring; Ar = (un)substituted mono- or bicyclic (hetero)aryl; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); Q = O, S, (un)substituted NH; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed uses include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 70 individual compds. I were prepared and/or claimed, with detailed prepns. given for 13 compds. For instance, 6-(morpholin-4-yl)-3-(piperidin-4-yl)-1H-pyrrolo[3,2-c]pyridine (prepared in 5 steps) reacted with the corresponding epoxide (prepared in several steps) to give title compound II, a preferred compound In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.02 μM. Compound III is another one of four specifically preferred compds.

IT **400802-09-7P**, 1-[4-(6-Chloro-1-methanesulfonyl-1H-indol-3-yl)piperidin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indolylpiperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

RN 400802-09-7 CAPLUS

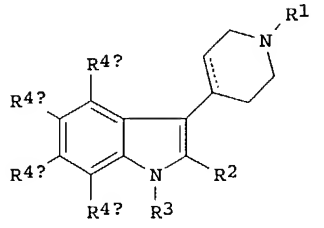
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, α-[[4-[6-chloro-1-(methylsulfonyl)-1H-indol-3-yl]-1-piperidinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



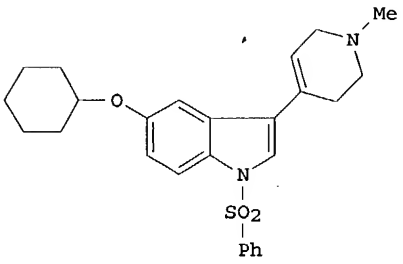
L28 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:736262 CAPLUS
DN 133:309845
TI Preparation of 1-(arylsulfonyl)-3-(tetrahydropyridinyl)indoles as 5-HT6 receptor inhibitors
IN Slassi, Abdelmalik; Edwards, Louise; O'Brien, Anne; Xin, Tao; Tehim, Ashok
PA Allelix Biopharmaceuticals Inc., Can.
SO U.S., 22 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6133287	A	20001017	US 1998-46669	19980324
	WO 2000063203	A1	20001026	WO 1999-CA342	19990421
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9934035	A1	20001102	AU 1999-34035	19990421
	EP 1173432	A1	20020123	EP 1999-915418	19990421
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-46669	A	19980324		
	WO 1999-CA342	A	19990421		

OS MARPAT 133:309845
GI



I



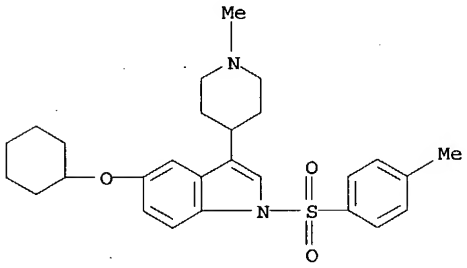
II

AB The title compds. (I) [wherein R1 = H or alkyl; R2 = H, alkyl, or benzyl; R3 = COR5 or SO2R5; R4a = H, OH, halo, alkyl, or alkoxy; R4b H, OH, halo, (cyclo)alkyloxy, alkyl, benzyloxy, phenoxy, trifluoromethyl, trifluoromethoxy, or vinyl; R4c and R4d = independently H, OH, halo, alkyl, or alkoxy; R5 = (un)substituted Ph, pyridyl, thienyl, quinolinyl, or naphthyl] were prepared as serotonin 5-HT6 receptor antagonists. For example, addition of Na bis(trimethylsilyl)amide to 5-cyclohexyloxy-3-(1-methyl-1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole in THF followed by addition of PhSO2Cl yielded II (92%). In an assay assessing the binding affinity of test compds., II bound selectively to the human 5-HT6 receptor (Ki ≤ 50 nM), showing a 300-fold greater affinity for the 5-HT6 receptor relative to the human 5-HT2c and 5-HT7 receptors. Compds. of the

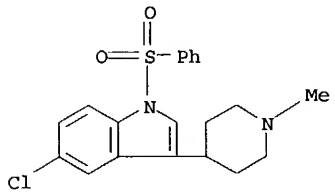
invention inhibited serotonin-stimulated cAMP response of human 5-HT6 receptors in stably transfected HEK293 cells, establishing them as 5-HT6 receptor antagonists. I are useful for the treatment of conditions where inhibition of the 5-HT6 receptor is implicated, such as schizophrenia, psychosis, manic depression, depression, neurol. disturbances, memory disturbances, Parkinsonism, amyotrophic lateral sclerosis, Alzheimer's disease, and Huntington's disease (no data).

IT **301855-98-1P**, 5-Cyclohexyloxy-1-(4-methylphenylsulfonyl)-3-(1-methyl-4-piperidinyl)indole **301855-99-2P**, 5-Chloro-3-(1-methyl-4-piperidinyl)-1-phenylsulfonylindole **301856-00-8P**, 5-Chloro-1-(4-fluorophenylsulfonyl)-3-(1-methyl-4-piperidinyl)indole **301856-01-9P**, 3-(1-Methyl-4-piperidinyl)-1-phenylsulfonylindole **301856-02-0P**, 1-(4-Fluorophenylsulfonyl)-3-(1-methyl-4-piperidinyl)indole **301856-03-1P**, 6-Chloro-3-(1-methyl-4-piperidinyl)-1-phenylsulfonylindole **301856-04-2P**, 1-(4-Fluorophenylsulfonyl)-6-chloro-3-(1-methyl-4-piperidinyl)indole **301856-05-3P**, 5-Fluoro-1-phenylsulfonyl-3-(1-methyl-4-piperidinyl)indole **301856-06-4P**, 1-(4-Fluorophenylsulfonyl)-5-fluoro-3-(1-methyl-4-piperidinyl)indole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-substituted-3-(tetrahydropyridinyl or piperidinyl)indole 5-HT6 receptor inhibitors by reaction of 3-(tetrahydropyridinyl or piperidinyl)indoles with arylsulfonyl or arylcarbonyl chlorides)

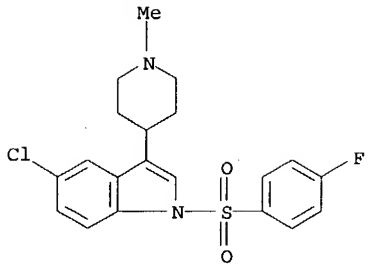
RN 301855-98-1 CAPLUS
CN 1H-Indole, 5-(cyclohexyloxy)-1-[(4-methylphenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



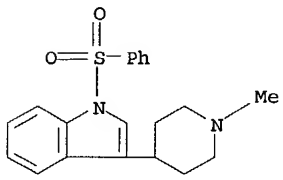
RN 301855-99-2 CAPLUS
CN 1H-Indole, 5-chloro-3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



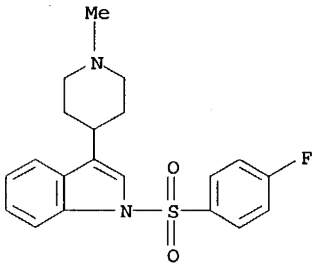
RN 301856-00-8 CAPLUS
CN 1H-Indole, 5-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



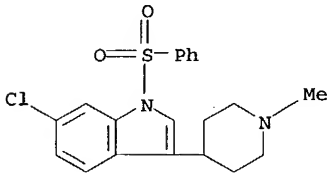
RN 301856-01-9 CAPLUS
CN 1H-Indole, 3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



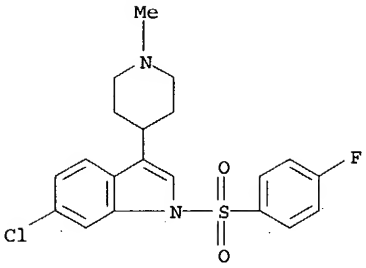
RN 301856-02-0 CAPLUS
CN 1H-Indole, 1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



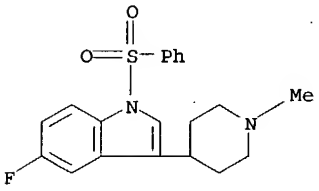
RN 301856-03-1 CAPLUS
CN 1H-Indole, 6-chloro-3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



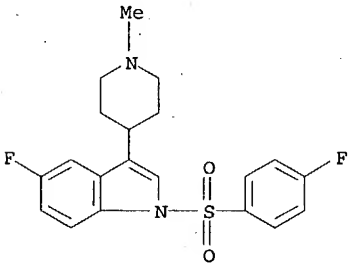
RN 301856-04-2 CAPLUS
CN 1H-Indole, 6-chloro-1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 301856-05-3 CAPLUS
CN 1H-Indole, 5-fluoro-3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 301856-06-4 CAPLUS
CN 1H-Indole, 5-fluoro-1-[(4-fluorophenyl)sulfonyl]-3-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:42395 CAPLUS
DN 128:102085
TI Preparation of piperidinylvinylindazolylpiperidineacetates as inhibitors of fibrinogen-dependent platelet aggregation.
IN Allen, David George; Eldred, Colin David; Judkins, Brian David; Mitchell, William Leonard
PA Glaxo Group Ltd., UK; Allen, David George; Eldred, Colin David; Judkins, Brian David; Mitchell, William Leonard
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9749699	A1	19971231	WO 1997-EP3196	19970619

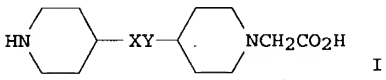
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,

GN, ML, MR, NE, SN, TD, TG

CA 2258753	AA	19971231	CA 1997-2258753	19970619
AU 9732611	A1	19980114	AU 1997-32611	19970619
ZA 9705431	A	19981221	ZA 1997-5431	19970619
EP 912555	A1	19990506	EP 1997-928243	19970619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1222153	A	19990707	CN 1997-195652	19970619
BR 9709930	A	19990810	BR 1997-9930	19970619
JP 2000512648	T2	20000926	JP 1998-502284	19970619
NO 9805974	A	19990217	NO 1998-5974	19981218
KR 2000022041	A	20000425	KR 1998-710439	19981219
PRAI GB 1996-13017	A	19960621		
GB 1996-13018	A	19960621		
GB 1996-13095	A	19960621		
WO 1997-EP3196	W	19970619		

OS MARPAT 128:102085

GI



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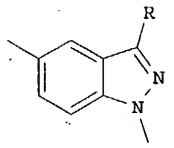
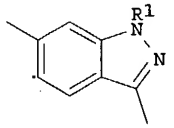
Q1 = 

Q2 = 

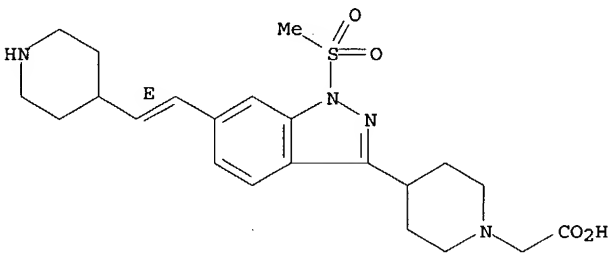
AB Title compds. (I; X = CH2CH2, CH:CH; Y = Q1, Q2; R = SO2Me, CONH2; R1 = SO2Me), were prepared for treatment of conditions in which the glycoprotein complex Gp IIb/IIIa or other integrin receptors are implicated. Thus, [4-[3-methanesulfonyl-5-(2-piperidin-4-ylethyl)indazol-1-yl]piperidin-1-yl]acetic acid trifluoroacetate (preparation given) inhibited fibrinogen-induced platelet aggregation with IC50 = 53 nM.

IT **201227-10-3P 201227-11-4P 201227-48-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylvinylindazolylpiperidineacetates as inhibitors of fibrinogen-dependent platelet aggregation)

RN 201227-10-3 CAPLUS

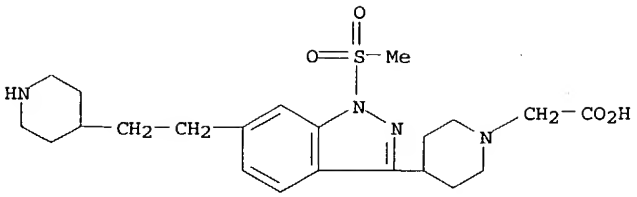
CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 201227-11-4 CAPLUS

CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethyl]-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)

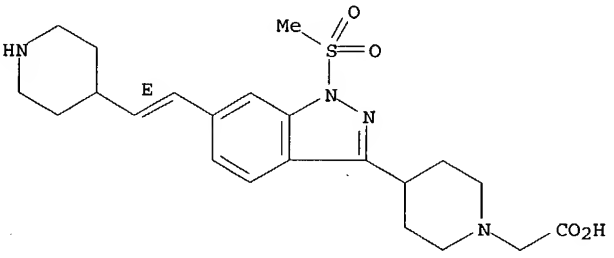


RN 201227-48-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

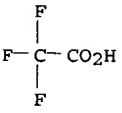
CRN 201227-10-3
CMF C22 H30 N4 O4 S

Double bond geometry as shown.



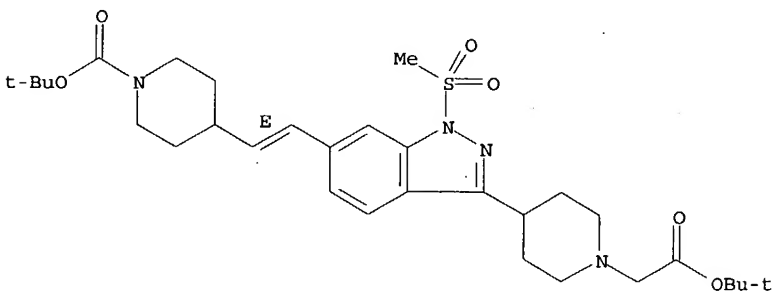
CM 2

CRN 76-05-1
CMF C2 H F3 O2



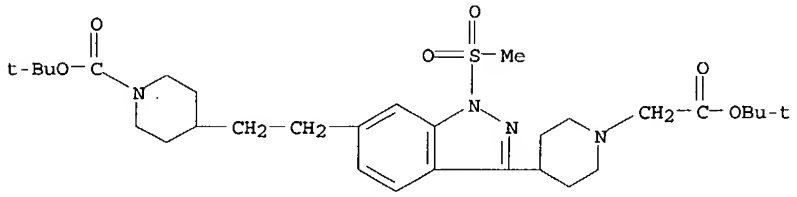
IT **201227-45-4P 201227-50-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinylvinylindazolylpiperidineacetates as inhibitors of fibrinogen-dependent platelet aggregation)
RN 201227-45-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-1-(methylsulfonyl)-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



10691937

RN 201227-50-1 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-1-(methylsulfonyl)-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:42394 CAPLUS
DN 128:102084
TI Preparation of 4-heterocyclyl-1-piperidineacetates as glycoprotein IIb/IIIa receptor antagonists
IN Allen, David George; Eldred, Colin David; Judkins, Brian David; Mitchell, William Leonard; Scopes, David Ian Carter
PA Glaxo Group Ltd., UK; Allen, David George; Eldred, Colin David; Judkins, Brian David; Mitchell, William Leonard; Scopes, David Ian Carter
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9749698	A1	19971231	WO 1997-EP3194	19970619
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9732610	A1	19980114	AU 1997-32610	19970619
	ZA 9705431	A	19981221	ZA 1997-5431	19970619
	CN 1222153	A	19990707	CN 1997-195652	19970619
PRAI	GB 1996-13017	A	19960621		
	GB 1996-13018	A	19960621		
	GB 1996-13026	A	19960621		
	GB 1996-13095	A	19960621		
	WO 1997-EP3194	W	19970619		

OS MARPAT 128:102084
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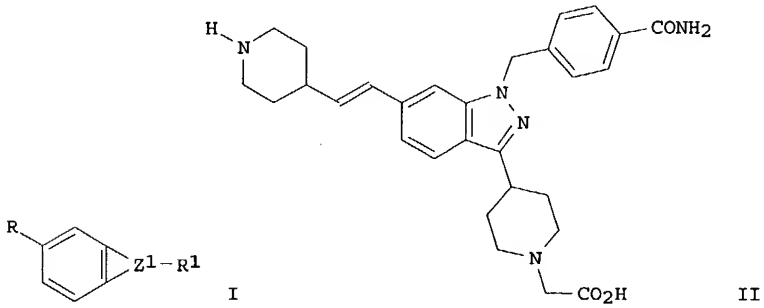


I II

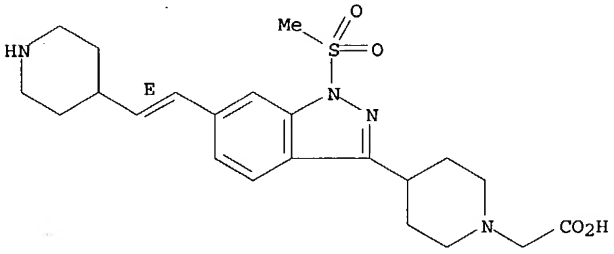
AB Title compds. [I; R = Z2R2; R1 = Z3CHR3CO2H; R2 = piperidinyl,

piperazinyl, quinuclidinyl; R3 = H, alkyl, (hetero)aryl, etc.; Z1 = atoms to complete an (un)substituted R1-substituted heterocyclic ring; Z2 = CH2CH2, CH:CH, C.tplbond.C; Z3 = piperidine-4,1-diyl] were prepared Thus, 3-BrC6H4Br was acylated by 1-acetylpiperidine-4-carbonyl chloride and the hydrazone of the deprotected product cyclized to give I (R = Br, R1 = 4-piperidinyl, Z1 = C:NNH) which was N-alkylated by BrCH2CO2CMe3 to give, in 2 addnl. steps, title compound II. Data for biol. activity of I were given.

IT **201227-10-3P 201482-22-6P 201482-23-7P**
201482-59-9P 201482-60-2P 201483-09-2P
201483-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-heterocyclyl-1-piperidineacetates as glycoprotein IIb/IIIa receptor antagonists)

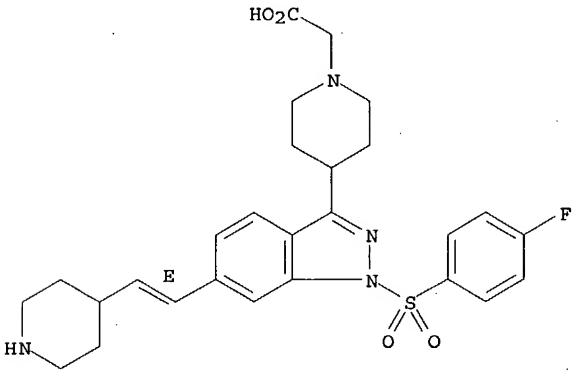
RN 201227-10-3 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 201482-22-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-fluorophenyl)sulfonyl]-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



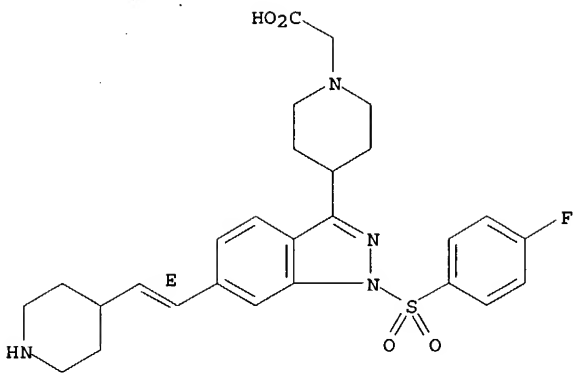
RN 201482-23-7 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-[(4-fluorophenyl)sulfonyl]-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 201482-22-6
CMF C27 H31 F N4 O4 S

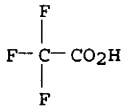
Double bond geometry as shown.

10691937



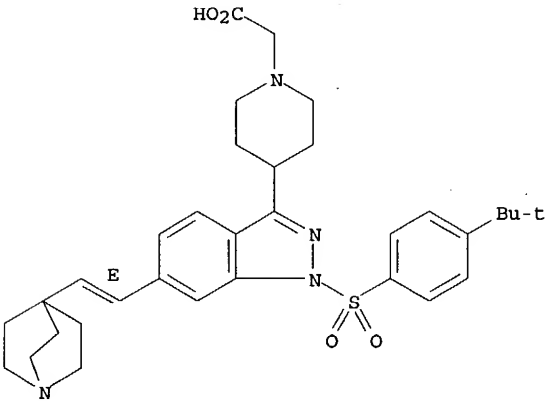
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 201482-59-9 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-(1-azabicyclo[2.2.2]oct-4-yl)ethenyl]-1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1H-indazol-3-yl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



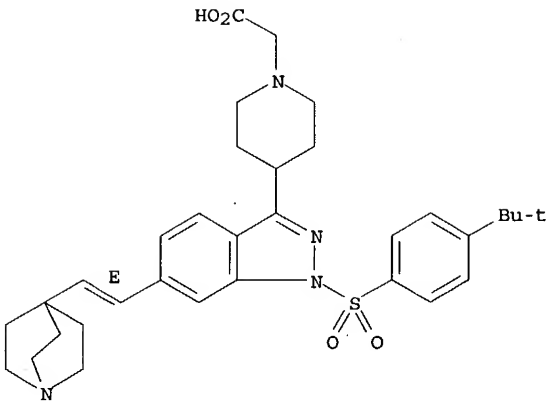
RN 201482-60-2 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-(1-azabicyclo[2.2.2]oct-4-yl)ethenyl]-1-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1H-indazol-3-yl]-, (E)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 201482-59-9
CMF C33 H42 N4 O4 S

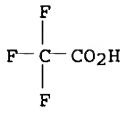
Double bond geometry as shown.

10691937



CM 2

CRN 76-05-1
CMF C2 H F3 O2

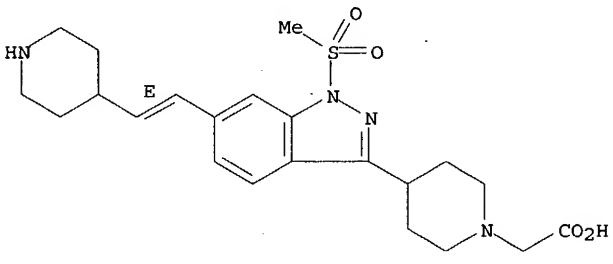


RN 201483-09-2 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethenyl]-1H-indazol-3-yl]-, (E)-, trifluoroacetate (5:12) (9CI) (CA INDEX NAME)

CM 1

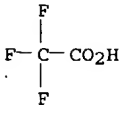
CRN 201227-10-3
CMF C22 H30 N4 O4 S

Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



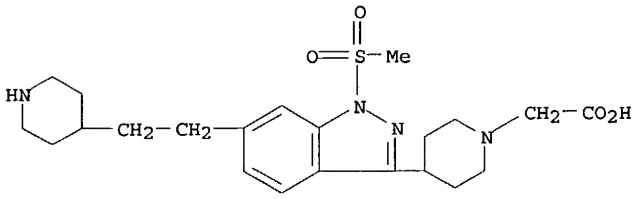
RN 201483-10-5 CAPLUS

10691937

CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethyl]-1H-indazol-3-yl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

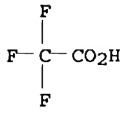
CM 1

CRN 201227-11-4
CMF C22 H32 N4 O4 S



CM 2

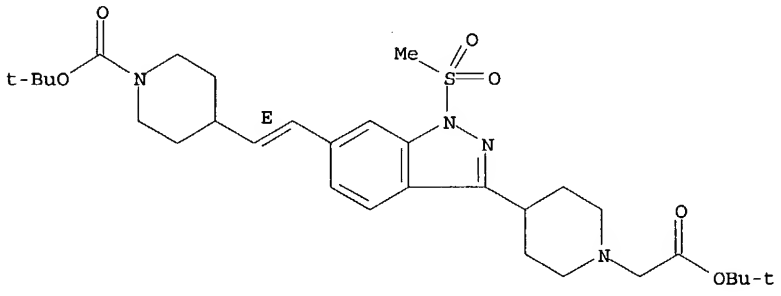
CRN 76-05-1
CMF C2 H F3 O2



IT **201227-45-4P 201227-50-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-heterocyclyl-1-piperidineacetates as glycoprotein IIb/IIIa receptor antagonists)

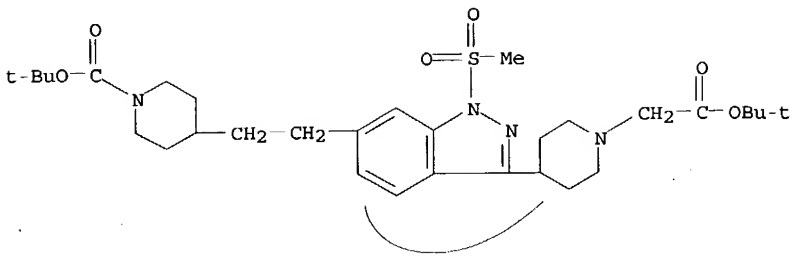
RN 201227-45-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethenyl]-1-(methylsulfonyl)-1H-indazol-3-yl]-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 201227-50-1 CAPLUS
CN 1-Piperidineacetic acid, 4-[6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethyl]-1-(methylsulfonyl)-1H-indazol-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

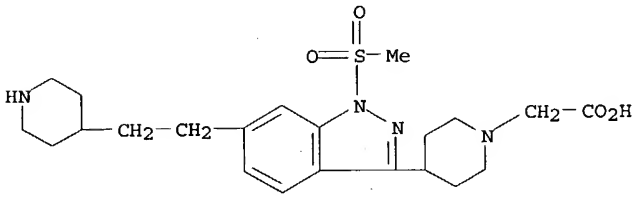
10691937



L28 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:42262 CAPLUS
DN 128:119652
TI Iontophoretic delivery devices for antagonists of glycoprotein IIb/IIIa
IN Baxter, Allan
PA Glaxo Group Ltd., UK; Baxter, Allan
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9749382	A1	19971231	WO 1997-GB1670	19970620
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9731833	A1	19980114	AU 1997-31833	19970620
PRAI	GB 1996-13096		19960621		
	WO 1997-GB1670		19970620		

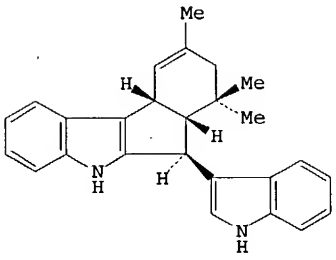
OS MARPAT 128:119652
AB The invention describes an iontophoretic drug delivery device characterized in that it comprises, as an active ingredient, an antagonist of GpIIb/IIIa, and its use in the treatment of a condition which is mediated through the Glycoprotein complex GpIIb/IIIa or other integrin receptor. An example is given for the iontophoretic transport of [4-[6-(2-piperidin-4-yl-E-vinyl)-1H-indazol-3-yl]piperidin-1-yl]acetic acid.
IT **201227-11-4**
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(iontophoretic delivery devices for antagonists of glycoprotein IIb/IIIa)
RN 201227-11-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[1-(methylsulfonyl)-6-[2-(4-piperidinyl)ethyl]-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)



L28 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:510719 CAPLUS
DN 109:110719
TI Yuehchukene analogs
AU Wenkert, Ernest; Moeller, Peter D. R.; Piettre, Serge R.; McPhail, Andrew T.
CS Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093, USA
SO Journal of Organic Chemistry (1988), 53(14), 3170-8
CODEN: JOCEAH; ISSN: 0022-3263

10691937

DT Journal
LA English
OS CASREACT 109:110719
GI



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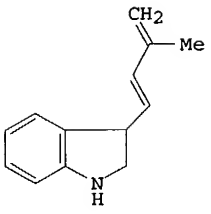


II

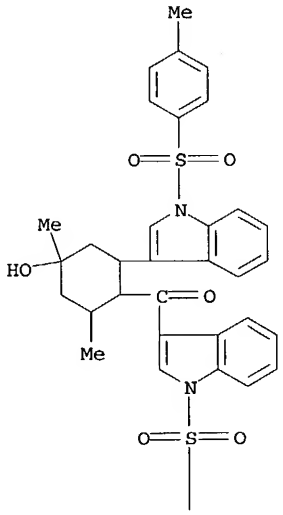
AB Yuechukene (I) and the bisnoryuehchukenes have been synthesized by the dimerization of β-(dehydroprenyl)indole (II) and its demethyl derivative, resp. Several routes of preparation of the monomers were developed. These β-indolyl dienes were used in Diels-Alder reactions, the products of one of which served as intermediates in the synthesis of some seconoryuehchukenes.

IT **114907-12-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 114907-12-9 CAPLUS

CN 1H-Indole, 3-[5-hydroxy-3,5-dimethyl-2-[[1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]carbonyl]cyclohexyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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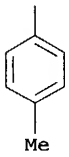
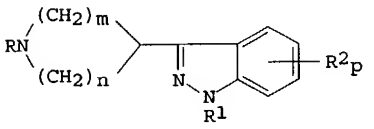


L28 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:523473 CAPLUS
DN 103:123473
TI 3-(Piperidinyl)- and 3-(pyrrolidinyl)-1H-indazoles and their use as medicaments
IN Strupczewski, Joseph T.
PA Hoechst-Roussel Pharmaceuticals, Inc., USA
SO Eur. Pat. Appl., 89 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 135781	A1	19850403	EP 1984-109800	19840817
	EP 135781	B1	19891011		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	HU 37139	O	19851128	HU 1984-3095	19840815
	HU 198036	B	19890728		
	AT 47139	E	19891015	AT 1984-109800	19840817
	FI 8403281	A	19850223	FI 1984-3281	19840820
	FI 82242	B	19901031		
	FI 82242	C	19910211		
	ES 535289	A1	19851101	ES 1984-535289	19840820
	DK 8404002	A	19850223	DK 1984-4002	19840821
	AU 8432250	A1	19850228	AU 1984-32250	19840821
	AU 575846	B2	19880811		
	ZA 8406485	A	19850327	ZA 1984-6485	19840821
	JP 60100573	A2	19850604	JP 1984-172528	19840821
	JP 05001792	B4	19930111		
	CA 1292232	A1	19911119	CA 1984-461452	19840821
	IL 72743	A1	19890131	IL 1984-72743	19840828
	ES 543206	A1	19860101	ES 1985-543206	19850516
	US 4670447	A	19870602	US 1985-811090	19851219
	US 4710573	A	19871201	US 1987-37194	19870319
	US 4758668	A	19880719	US 1987-102684	19870930
	US 4775761	A	19881004	US 1988-181960	19880415
	US 4806649	A	19890221	US 1988-228201	19880804
	US 4853470	A	19890801	US 1988-289874	19881223
	US 4933460	A	19900612	US 1989-351133	19890513

PRAI	US 1983-525088	19830822
	EP 1984-109800	19840817
	US 1984-679662	19841207
	US 1985-694198	19850123
	US 1985-811090	19851219
	US 1987-37194	19870319
	US 1987-102684	19870930
	US 1988-181960	19880415
	US 1988-228201	19880804
	US 1988-289874	19881223

OS CASREACT 103:123473
GI



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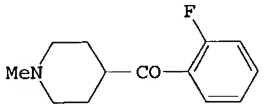


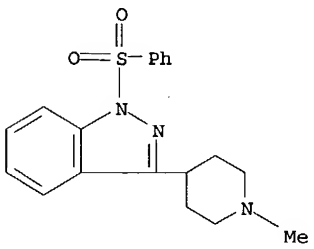
II

AB Indazoles I [R = H, (un)substituted alkyl, alkenyl, cycloalkyl, cyano, acyl, alkoxycarbonyl; R1 = H, (un)substituted alkyl, alkenyl, cycloalkyl, cyano, acyl, alkoxycarbonyl, (un)substituted Ph, arylsulfonyl, pyridinyl, 2-pyrimidinyl; R2 = H, halogen, alkyl, alkoxy, OH, NO2, NH2, CF3; m = 2, 3; n = 1, 2; p = 1, 2] were prepared Thus, N-methyl-4-chloropiperidine underwent Grignard reaction with 2-FC6H4CN to give, after hydrolysis, 42% benzoylpiperidine II.HCl. II was treated with N2H4 to give 23.7% I (R = Me, R1 = R2 = H; m = n = 2; p = 1; III). III showed an ED50 of 4.5 mg/kg i.p. against apomorphine-induced climbing in mice.

IT **98294-79-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

10691937

RN 98294-79-2 CAPLUS
CN 1H-Indazole, 3-(1-methyl-4-piperidinyl)-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl